

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17

chain bonds :

11-17

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-13 5-6 5-17 7-8 7-12 8-9 9-10 10-11
11-12 13-14 14-15 15-16 16-17

exact/norm bonds :

4-13 5-17 13-14 14-15 15-16 16-17

exact bonds :

11-17

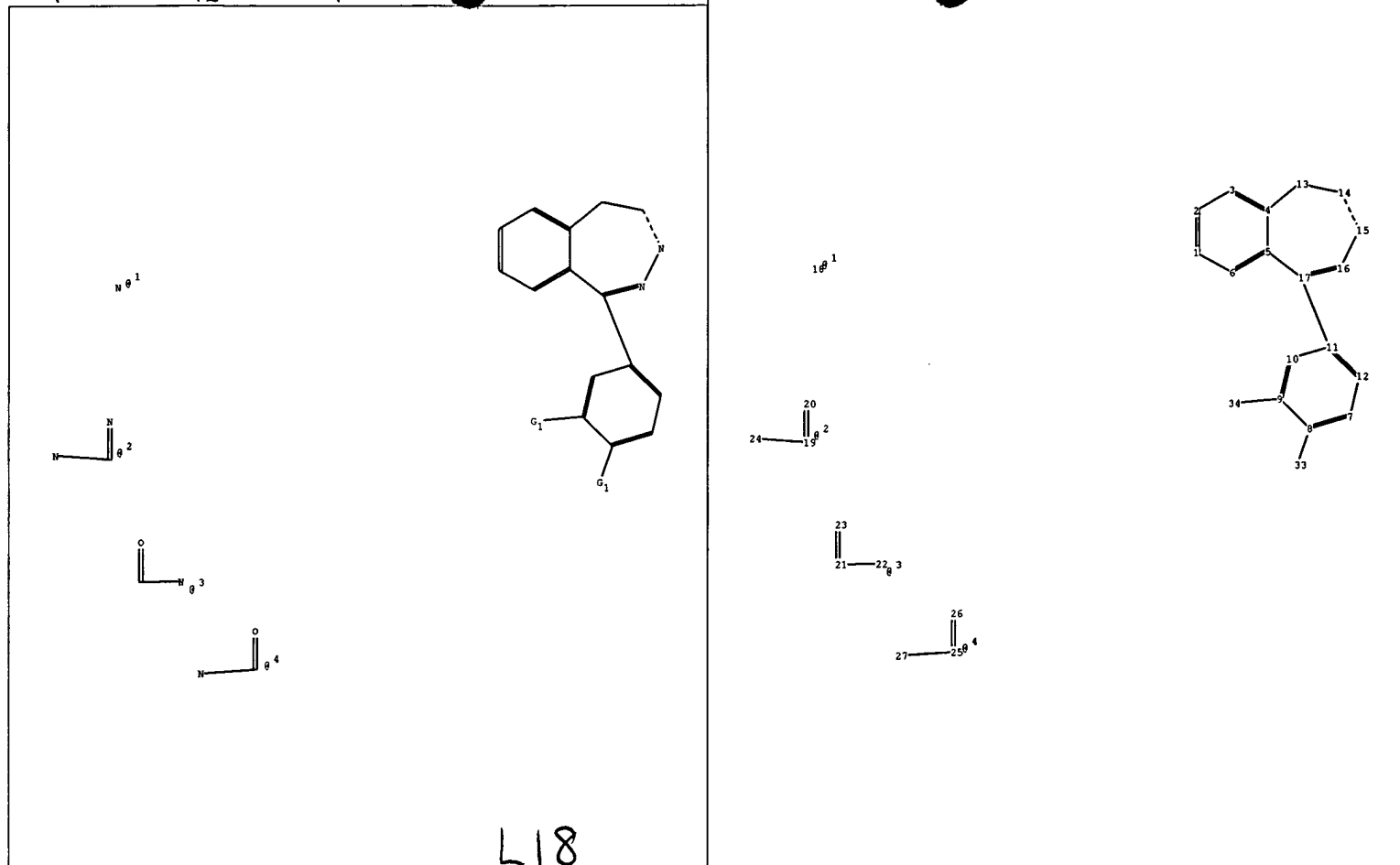
normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

G1:H

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom



chain nodes :

19 20 21 22 23 24 25 26 27 33 34

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17

ring/chain nodes :

18

chain bonds :

8-33 9-34 11-17 19-20 19-24 21-22 21-23 25-26 25-27

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-13 5-6 5-17 7-8 7-12 8-9 9-10 10-11
11-12 13-14 14-15 15-16 16-17

exact/norm bonds :

4-13 5-17 8-33 9-34 13-14 14-15 15-16 16-17 19-20 19-24 21-22
21-23 25-26 25-27

exact bonds :

11-17

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

G1:H, [*1], [*2], [*3], [*4]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom
18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS
25:CLASS 26:CLASS 27:CLASS 33:CLASS 34:CLASS

09/882,843

=> d his

```
(FILE 'HOME' ENTERED AT 09:12:08 ON 10 SEP 2002)

FILE 'REGISTRY' ENTERED AT 09:12:13 ON 10 SEP 2002
L1          STRUCTURE UPLOADED
L2          QUE L1
L3          28 S L2
L4          1176 S L2 SSS FUL

FILE 'CAPLUS' ENTERED AT 09:12:54 ON 10 SEP 2002
L5          456 S L4
L6          ANALYZE L5 1- RN HIT :      1162 TERMS

FILE 'REGISTRY' ENTERED AT 09:13:41 ON 10 SEP 2002
L7          5 S 102771-26-6/RN OR 22345-47-7/RN OR 161832-65-1/RN OR 143692-4

FILE 'STNGUIDE' ENTERED AT 09:16:15 ON 10 SEP 2002

FILE 'STNGUIDE' ENTERED AT 09:29:21 ON 10 SEP 2002

FILE 'REGISTRY' ENTERED AT 09:32:05 ON 10 SEP 2002
L8          3 S L7 AND DIOXOLO
L9          2 S L7 NOT L8

FILE 'CAPLUS' ENTERED AT 09:33:10 ON 10 SEP 2002
L10         204 S L8

FILE 'REGISTRY' ENTERED AT 09:34:57 ON 10 SEP 2002
L11         557 S L4 AND DIOXOLO
L12         619 S L4 NOT L11

FILE 'CAPLUS' ENTERED AT 09:47:42 ON 10 SEP 2002
L13         242 S L12
L14         ANALYZE L13 1- RN HIT :      605 TERMS

FILE 'REGISTRY' ENTERED AT 09:49:33 ON 10 SEP 2002

FILE 'STNGUIDE' ENTERED AT 09:51:52 ON 10 SEP 2002

FILE 'REGISTRY' ENTERED AT 10:03:03 ON 10 SEP 2002
L15         STRUCTURE UPLOADED
L16         QUE L15
L17         25 S L16 SUB=L12 SAM
L18         444 S L16 SUB=L12 FUL
L19         175 S L12 NOT L18
L20         STRUCTURE UPLOADED
L21         QUE L20
L22         3 S L21 SUB=L12 SAM
L23         29 S L21 SUB=L12 FUL

FILE 'CAPLUS' ENTERED AT 10:08:47 ON 10 SEP 2002
L24         91 S L18
L25         178 S L9

FILE 'REGISTRY' ENTERED AT 10:11:45 ON 10 SEP 2002
L26         617 S L12 NOT L9
```

09/882,843

FILE 'CAPLUS' ENTERED AT 10:11:57 ON 10 SEP 2002
L27 108 S L26
L28 ANALYZE L27 1- RN HIT : 602 TERMS

FILE 'REGISTRY' ENTERED AT 10:13:25 ON 10 SEP 2002
L29 1 S 102771-12-0/RN
L30 1 S 41148-42-9/RN
L31 1 S 82059-51-6/RN
L32 1 S 82059-50-5/RN
L33 1 S 178616-26-7/RN
L34 614 S L26 NOT (L30 OR L31 OR L32)

FILE 'CAPLUS' ENTERED AT 10:15:19 ON 10 SEP 2002
L35 99 S L34

FILE 'REGISTRY' ENTERED AT 10:15:48 ON 10 SEP 2002
L36 100 S 74950?/RN
L37 29 S L12 AND L36
L38 443 S L18 NOT L9

FILE 'CAPLUS' ENTERED AT 10:22:19 ON 10 SEP 2002
L39 80 S L38

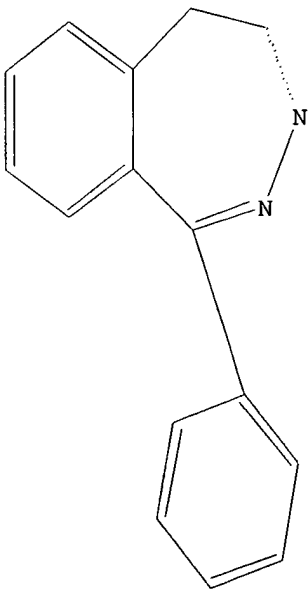
FILE 'REGISTRY' ENTERED AT 10:22:51 ON 10 SEP 2002

FILE 'CAPLUS' ENTERED AT 10:23:14 ON 10 SEP 2002

=> d 12

L2 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L2 QUE ABB=ON PLU=ON L1

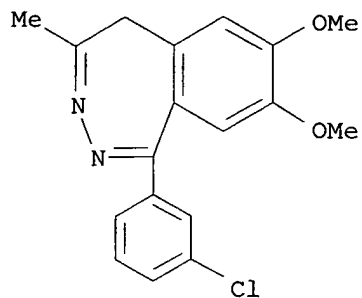
09/882,843

=> d scan 19

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

09/882,843

L9 2 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl- (9CI)
MF C18 H17 Cl N2 O2
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

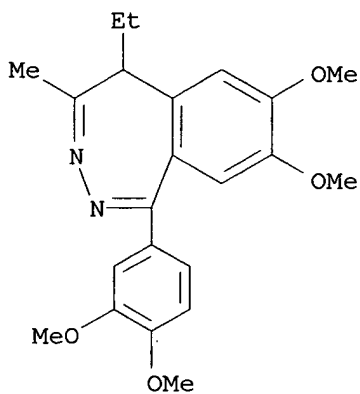
09/882,843

L9 2 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN 5H-2,3-Benzodiazepine, 1-(3,4-dimethoxyphenyl)-5-ethyl-7,8-dimethoxy-4-methyl- (8CI, 9CI)

MF C22 H26 N2 O4

CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

09/882,843

=> d bib abs hitstr 139 1-80

L39 ANSWER 1 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 2002:487537 CAPLUS

DN 137:63266

TI Preparation of 2,3-benzodiazepines as AMPA antagonists.

IN Ling, Istvan; Barkoczy, Jozsef; Simig, Gyula; Greff, Zoltan; Ratkai, Zoltan; Szabo, Geza; Vegh, Miklos; Gigler, Gabor; Szenasi, Gabor; Martonne Marko, Bernadett; Levay, Gyoergy; Harsing, Laszlo Gabor

PA Egis Gyogyszergyar Rt., Hung.

SO PCT Int. Appl., 40 pp.

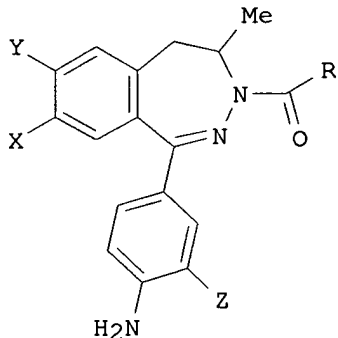
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002050044	A1	20020627	WO 2001-HU151	20011219
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	HU 2000-4994	A	20001221		
OS	MARPAT 137:63266				
GI					

70
43

AB Title compds. (I; X = H, Cl, MeO; Y = H, halo; Z = Me, Cl; R = alkyl, NR₁R₂; R₁, R₂ = H, alkyl, alkoxy, cycloalkyl), were prep'd. Thus, 3-acetyl-4,5-dihydro-8-chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepine in methanol/CH₂Cl₂ was stirred with wet Raney nickel and hydrazine hydrate for 45 min to give 49% 3-acetyl-1-(4-amino-3-methylphenyl)-4,5-dihydro-8-chloro-4-methyl-3H-2,3-benzodiazepine. The latter prolonged the survival time of MgCl₂-treated mice with PD₅₀ = 4.6 mg/kg i.p.

IT 439143-67-6P 439143-68-7P 439143-69-8P
439143-70-1P 439143-71-2P 439143-72-3P
439143-73-4P 439143-74-5P 439143-75-6P
439143-76-7P

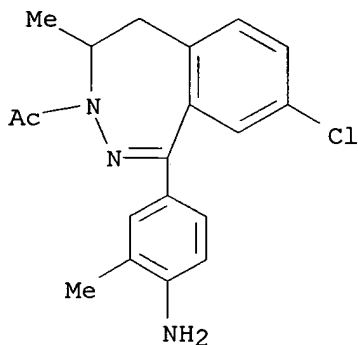
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2,3-benzodiazepines as AMPA antagonists)

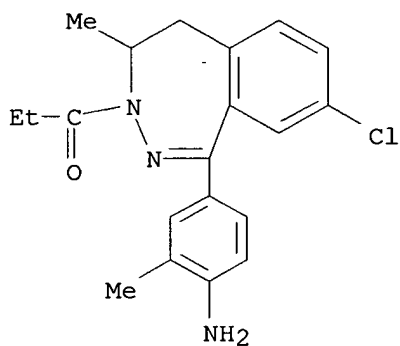
RN 439143-67-6 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-amino-3-methylphenyl)-8-chloro-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)



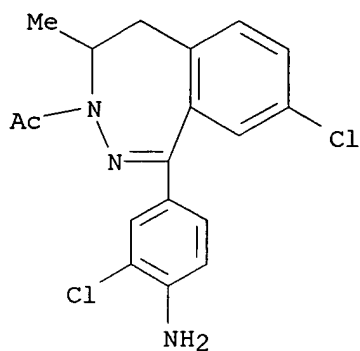
RN 439143-68-7 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(4-amino-3-methylphenyl)-8-chloro-4,5-dihydro-4-methyl-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)

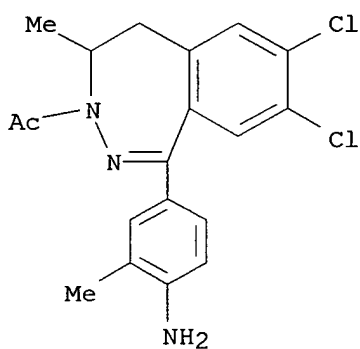


RN 439143-69-8 CAPLUS

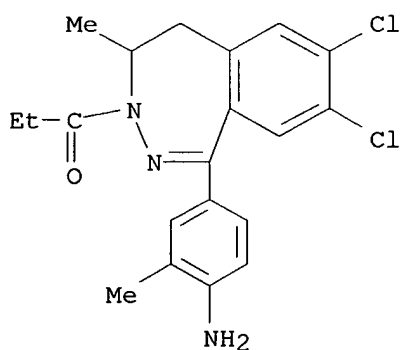
CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-amino-3-chlorophenyl)-8-chloro-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)



RN 439143-70-1 CAPLUS
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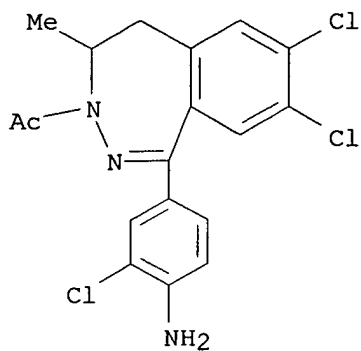


RN 439143-71-2 CAPLUS
 CN 3H-2,3-Benzodiazepine, 1-(4-amino-3-methylphenyl)-7,8-dichloro-4,5-dihydro-4-methyl-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)



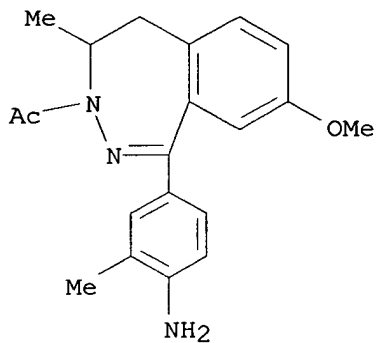
RN 439143-72-3 CAPLUS
 CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-amino-3-chlorophenyl)-7,8-dichloro-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)

09/882,843



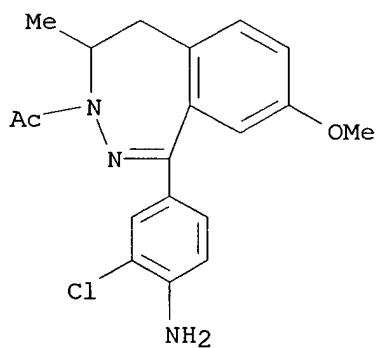
RN 439143-73-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-amino-3-methylphenyl)-4,5-dihydro-8-methoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 439143-74-5 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-amino-3-chlorophenyl)-4,5-dihydro-8-methoxy-4-methyl- (9CI) (CA INDEX NAME)

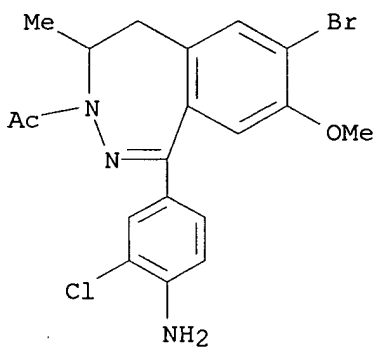


RN 439143-75-6 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-amino-3-methylphenyl)-7-chloro-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)

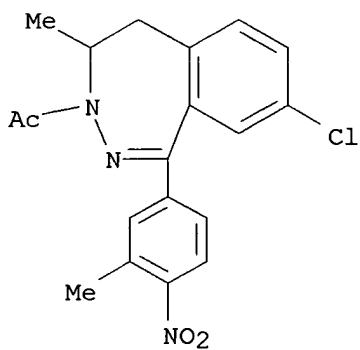
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CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-amino-3-chlorophenyl)-7-bromo-4,5-dihydro-8-methoxy-4-methyl- (9CI) (CA INDEX NAME)



RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 2,3-benzodiazepines as AMPA antagonists)

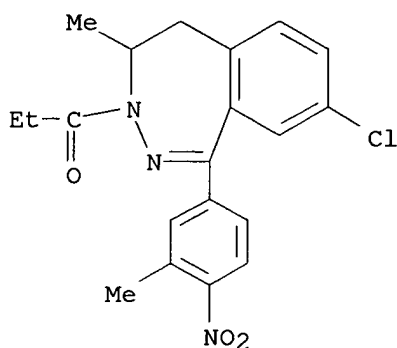
CN	3H-2,3-Benzodiazepine, 3-acetyl-8-chloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)- (9CI)	(CA INDEX NAME)
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09/882,843

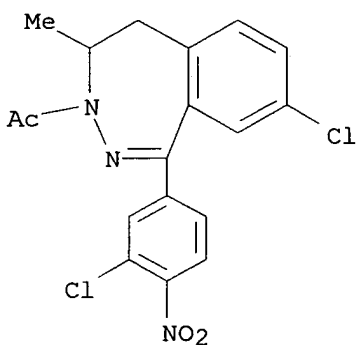
RN 439143-78-9 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)



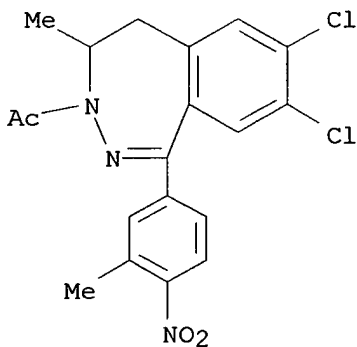
RN 439143-79-0 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-8-chloro-1-(3-chloro-4-nitrophenyl)-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)



RN 439143-80-3 CAPLUS

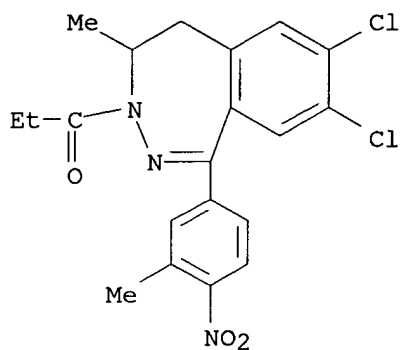
CN 3H-2,3-Benzodiazepine, 3-acetyl-7,8-dichloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 439143-81-4 CAPLUS

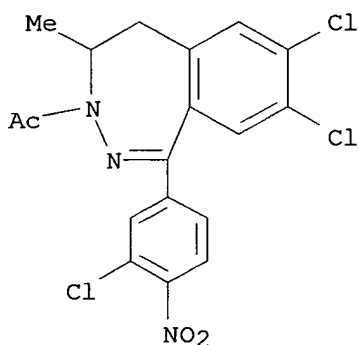
09/882,843

CN 3H-2,3-Benzodiazepine, 7,8-dichloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)



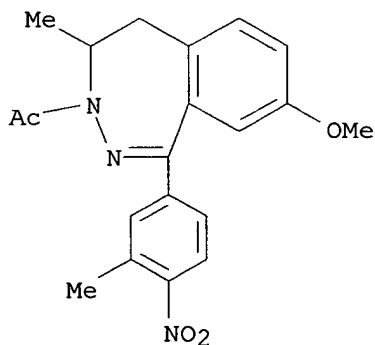
RN 439143-82-5 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-7,8-dichloro-1-(3-chloro-4-nitrophenyl)-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)



RN 439143-83-6 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-4,5-dihydro-8-methoxy-4-methyl-1-(3-methyl-4-nitrophenyl)- (9CI) (CA INDEX NAME)

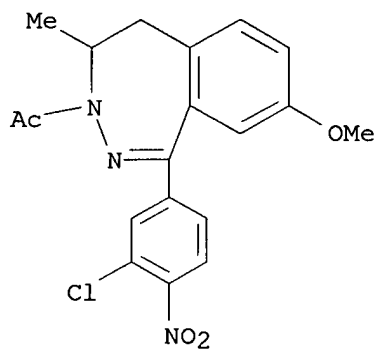


RN 439143-84-7 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(3-chloro-4-nitrophenyl)-4,5-dihydro-8-

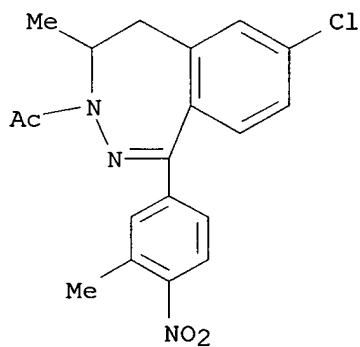
09/882,843

methoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 439143-85-8 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-7-chloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LS9~~ ANSWER 2 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 2002:293463 CAPLUS

DN 136:319412

TI Treatment of demyelinating diseases

IN Krissansen, Geoffrey Wayne; Kanwar, Jagat Rakesh

PA Neuronz Ltd., N. Z.; Freyberg, Derek P.

SO PCT Int. Appl., 46 pp.

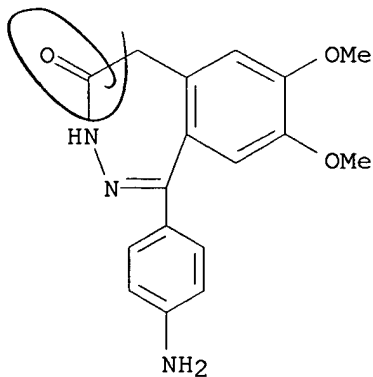
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002030448	A2	20020418	WO 2001-US32198	20011011
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, VZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	NZ 2000-507478	A	20001012		
AB	This invention relates to methods for the treatment or prevention of central nervous system (CNS) cell damage and functional damage in mammals due to demyelinating disease including multiple sclerosis. More specifically, the invention comprises a method of treating a demyelinating disease of the CNS in a mammal, the method comprising co-administering to the mammal, either sequentially or simultaneously, GPE or analogs or peptidomimetics or a prodrug thereof, or a pharmaceutically acceptable salt thereof, and an AMPA (.alpha.-amino-3-hydroxy-5-methyl-4-isoxazolepropionate)/kainate antagonist, or a pharmaceutically acceptable salt thereof, and an anti-inflammatory agent.				
IT	178616-26-7 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination drug therapy of demyelinating diseases)				
RN	178616-26-7 CAPLUS				
CN	4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-(9CI) (CA INDEX NAME)				



09/882,843

~~LS9~~ ANSWER 3 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2002:293462 CAPLUS

DN 136:319411

TI Treatment of demyelinating diseases

IN Krissansen, Geoffrey Wayne; Kanwar, Jagat Rakesh

PA Neuronz Ltd., N. Z.; Freyberg, Derek P.

SO PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002030447	A2	20020418	WO 2001-US32187	20011011
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI NZ 2000-507478 A 20001012

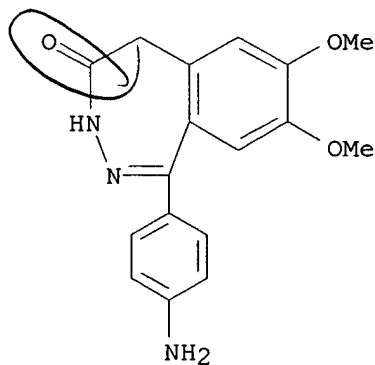
AB This invention relates to methods for the treatment or prevention of central nervous system (CNS) cell damage and functional damage in mammals due to demyelinating diseases including multiple sclerosis. More specifically, the invention comprises a method of treating a demyelinating disease of the CNS in a mammal, the method comprising co-administering to the mammal, either sequentially or simultaneously, GPE (Gly-Pro-Glu) or analogs or peptidomimetics or a prodrug thereof, or a pharmaceutically acceptable salt thereof, and an AMPA (.alpha.-amino-3-hydroxy-5-methyl-4-isoxazolepropionate)/kainate antagonist, or a pharmaceutically acceptable salt thereof.

IT **178616-26-7**

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination drug therapy of demyelinating diseases)

RN 178616-26-7 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-
(9CI) (CA INDEX NAME)



L39 ANSWER 4 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 2001:935585 CAPLUS

DN 136:69827

TI Preparation of 7- or 8-mono-substituted 5H-2,3-benzodiazepines as antagonists of excitatory amino acid receptors

IN Pei, Xue-Feng; Li, Baoqing; Maccecchini, Maria-Luisa

PA Annovis, Inc., USA

SO PCT Int. Appl., 56 pp.

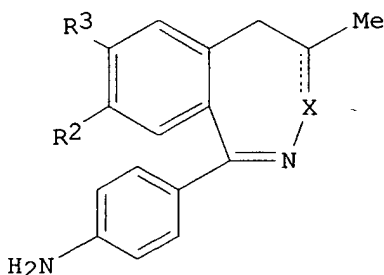
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001098280	A2	20011227	WO 2001-US19136	20010615
	WO 2001098280	A3	20020530		
	W: CA, JP				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	US 2002025958	A1	20020228	US 2001-882843	20010615
PRAI	US 2000-212238P	P	20000616		
OS	MARPAT 136:69827				
GI					



I

AB Title compds. [I; dotted bond = single, double; X = N, NR; R = COCH₃, CONHCH₃, CONHCH₂CH₃, CONHCH₂CH₂CH₃, CONHCH₂CH₂CH₂CH₃; R₂ = H, OCH₃, NH₂, SCH₃; R₃ = OCH₃, H, NH₂, SCH₃] and pharmaceutically acceptable salts are prepd. as active non-NMDA inotropic excitatory amino acid (EAA) receptor antagonists and are useful for treating disorders assocd. with excessive activation of the non-NMDA subtype of the inotropic EAA receptor. Title compds. I further are useful as testing agents to identify and characterize other compds. for the treatment of these disorders. The compds. are useful therapeutically as sedatives or for the treatment of neurosychopharmacol. disorders such as stroke, ischemia and epilepsy. The compns. may be provided in combination with a suitable carrier for oral or parenteral administration. The compds. may be administered orally or parenterally for the treatment of a variety of disorders assocd. with non-NMDA EAA receptor function.

IT **383857-60-1P**

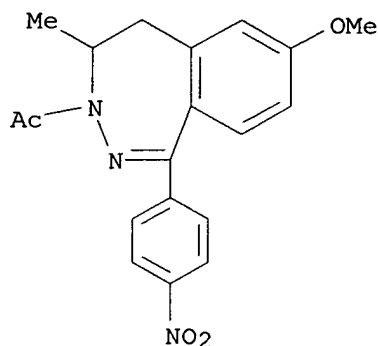
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of or 7- or 8-mono-substituted 5H-2,3-benzodiazepines as antagonists of excitatory amino acid receptors)

09/882,843

RN 383857-60-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-4,5-dihydro-7-methoxy-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



IT 194671-88-0P 194671-92-6P 383857-61-2P
383857-76-9P 383857-79-2P 383857-80-5P
383857-81-6P 383857-82-7P 383857-83-8P
383857-84-9P 383857-85-0P 383857-86-1P
383857-87-2P 383857-88-3P 383857-89-4P
383857-90-7P 383857-91-8P 383857-92-9P
383857-93-0P 383857-94-1P 383857-95-2P
383857-96-3P 383857-97-4P 383857-98-5P
383857-99-6P 383858-00-2P 383858-01-3P
383858-02-4P 383858-03-5P 383858-04-6P
383858-05-7P 383858-06-8P 383858-07-9P
383858-08-0P 383858-09-1P 383858-10-4P
383858-11-5P 383858-12-6P 383858-13-7P
383858-14-8P 383858-15-9P 383858-16-0P
383858-17-1P 383858-18-2P 383858-19-3P
383858-20-6P 383858-21-7P 383858-22-8P
383858-23-9P 383858-24-0P 383858-25-1P
383858-26-2P

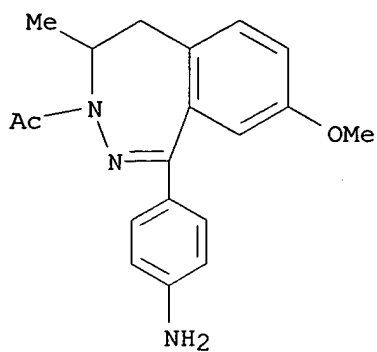
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of or 7- or 8-mono-substituted 5H-2,3-benzodiazepines as antagonists of excitatory amino acid receptors)

RN 194671-88-0 CAPLUS

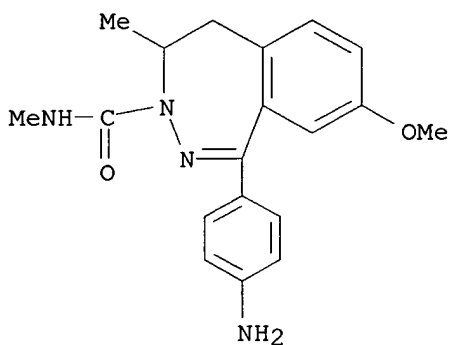
CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl- (9CI) (CA INDEX NAME)

09/882,843



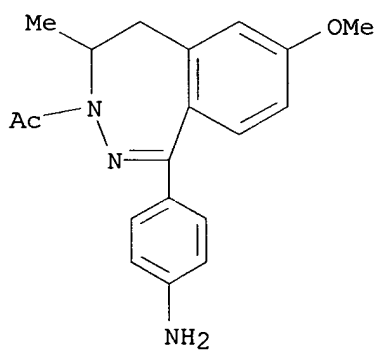
RN 194671-92-6 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-4,5-dihydro-8-methoxy-N,4-dimethyl- (9CI) (CA INDEX NAME)



RN 383857-61-2 CAPLUS

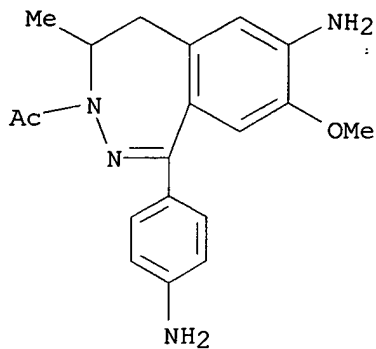
CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-aminophenyl)-4,5-dihydro-7-methoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 383857-76-9 CAPLUS

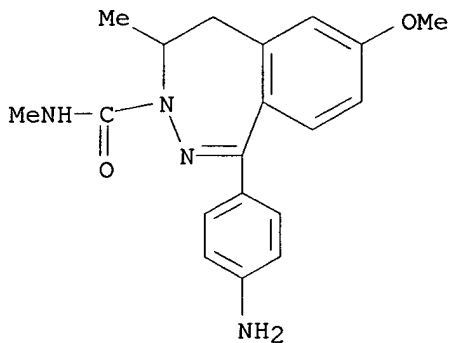
CN 3H-2,3-Benzodiazepin-7-amine, 3-acetyl-1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl- (9CI) (CA INDEX NAME)

09/882,843



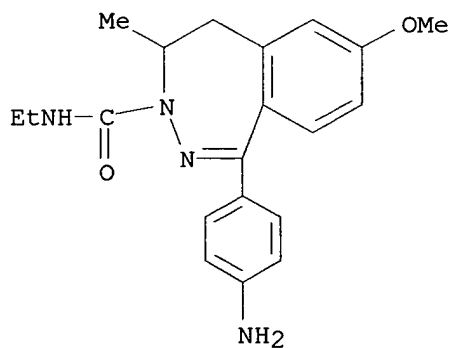
RN 383857-79-2 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-4,5-dihydro-7-methoxy-N,4-dimethyl- (9CI) (CA INDEX NAME)



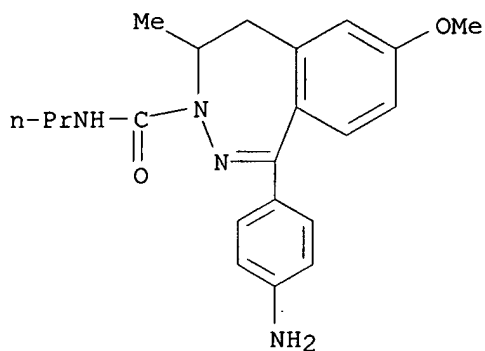
RN 383857-80-5 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-N-ethyl-4,5-dihydro-7-methoxy-4-methyl- (9CI) (CA INDEX NAME)



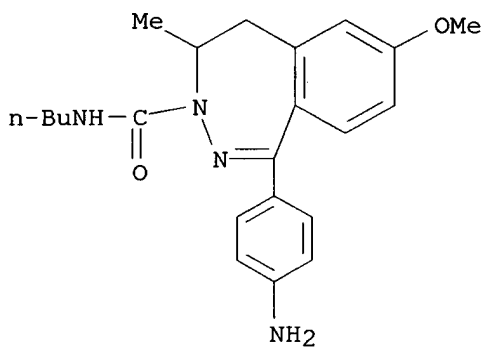
RN 383857-81-6 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-4,5-dihydro-7-methoxy-4-methyl-N-propyl- (9CI) (CA INDEX NAME)



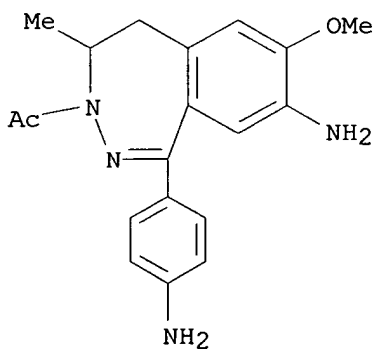
RN 383857-82-7 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-N-butyl-4,5-dihydro-7-methoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 383857-83-8 CAPLUS

CN 3H-2,3-Benzodiazepin-8-amine, 3-acetyl-1-(4-aminophenyl)-4,5-dihydro-7-methoxy-4-methyl- (9CI) (CA INDEX NAME)

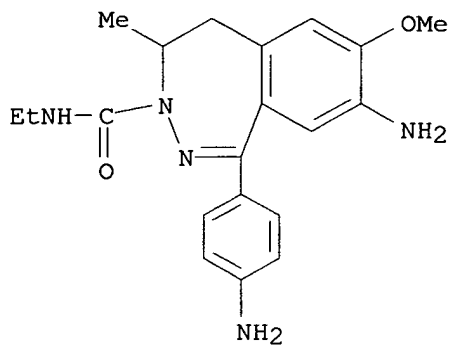


RN 383857-84-9 CAPLUS

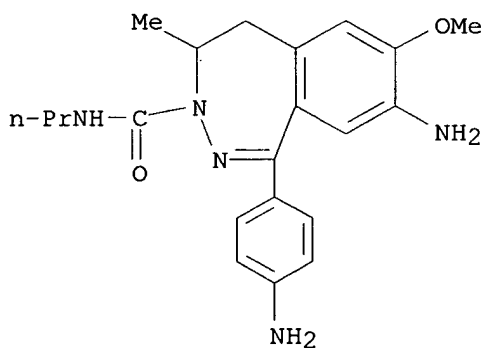
CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-amino-1-(4-aminophenyl)-4,5-dihydro-7-methoxy-N,4-dimethyl- (9CI) (CA INDEX NAME)

CN1C(=N2C(=C(C=C2)C(=C3C=C(C=C3)N)C=C3C=C(C=C3)N)C=C1C)C(=O)N

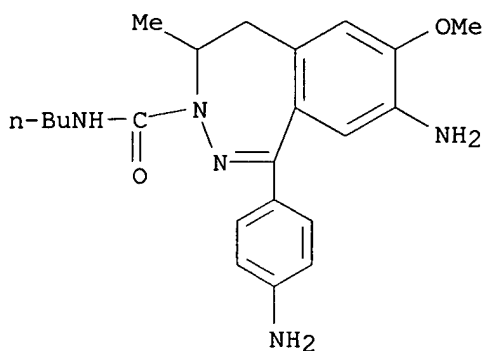
3H-2,3-Benzodiazepine-3-carboxamide, 8-amino-1-(4-aminophenyl)-N-ethyl-4,5-dihydro-7-methoxy-4-methyl- (9CI) (CA INDEX NAME)



CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-amino-1-(4-aminophenyl)-4,5-dihydro-7-methoxy-4-methyl-N-propyl- (9CI) (CA INDEX NAME)

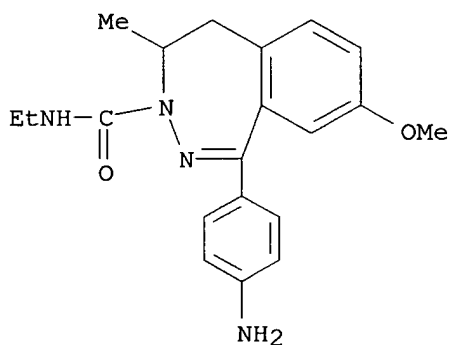


CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-amino-1-(4-aminophenyl)-N-butyl-4,5-dihydro-7-methoxy-4-methyl- (9CI) (CA INDEX NAME)



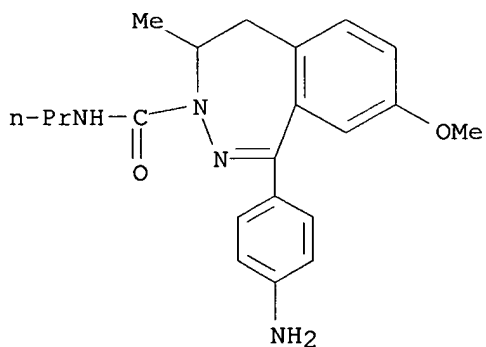
RN 383857-88-3 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-N-ethyl-4,5-dihydro-8-methoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 383857-89-4 CAPLUS

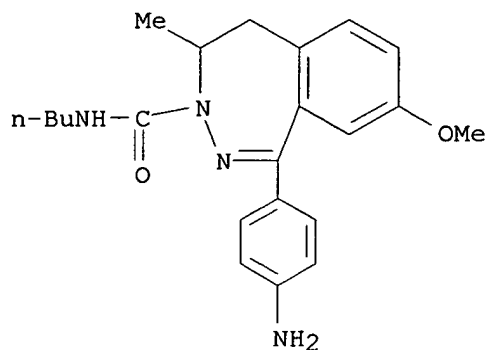
CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl-N-propyl- (9CI) (CA INDEX NAME)



RN 383857-90-7 CAPLUS

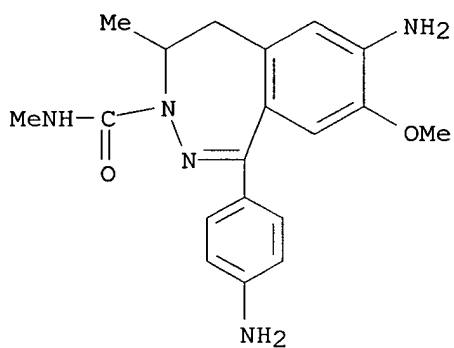
CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-N-butyl-4,5-dihydro-8-methoxy-4-methyl- (9CI) (CA INDEX NAME)

09/882,843



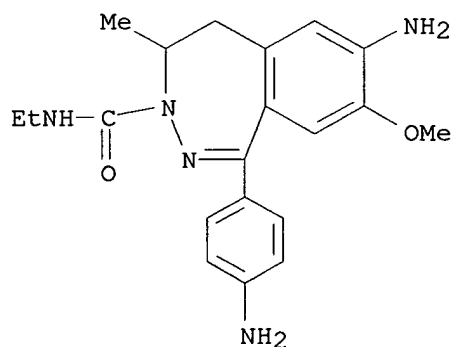
RN 383857-91-8 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 7-amino-1-(4-aminophenyl)-4,5-dihydro-8-methoxy-N,4-dimethyl- (9CI) (CA INDEX NAME)



RN 383857-92-9 CAPLUS

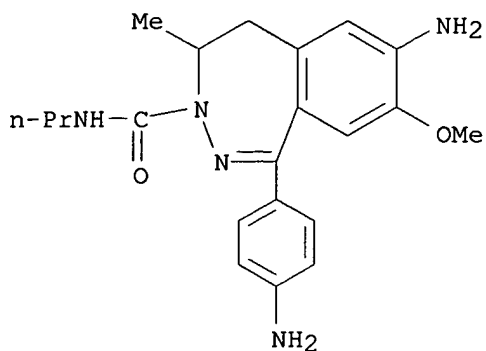
CN 3H-2,3-Benzodiazepine-3-carboxamide, 7-amino-1-(4-aminophenyl)-N-ethyl-4,5-dihydro-8-methoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 383857-93-0 CAPLUS

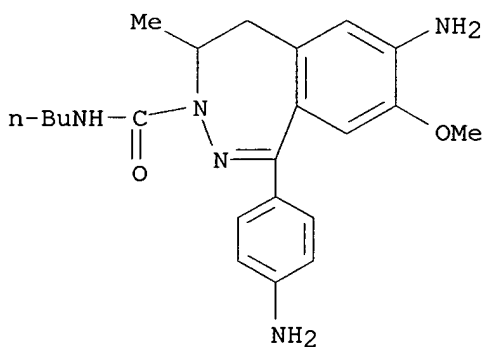
CN 3H-2,3-Benzodiazepine-3-carboxamide, 7-amino-1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl-N-propyl- (9CI) (CA INDEX NAME)

09/882,843



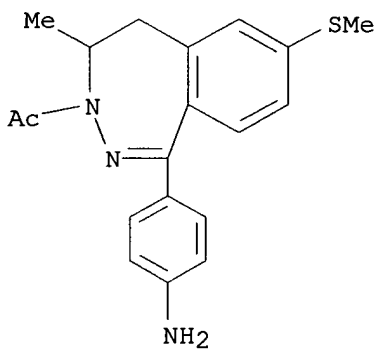
RN 383857-94-1 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 7-amino-1-(4-aminophenyl)-N-butyl-4,5-dihydro-8-methoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 383857-95-2 CAPLUS

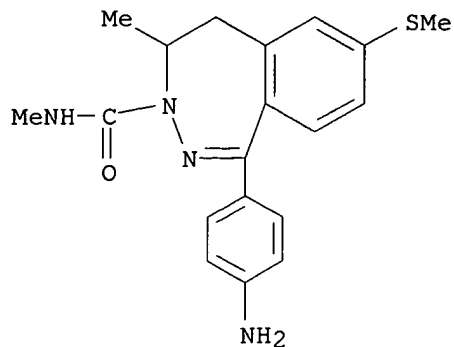
CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-aminophenyl)-4,5-dihydro-4-methyl-7-(methylthio)- (9CI) (CA INDEX NAME)



RN 383857-96-3 CAPLUS

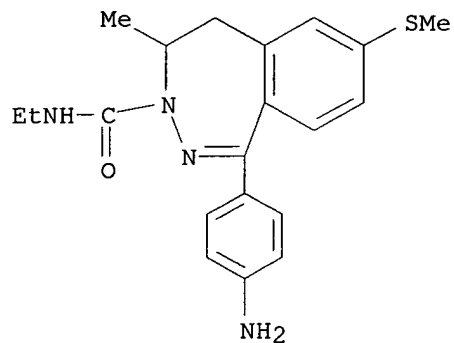
CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-4,5-dihydro-N,4-dimethyl-7-(methylthio)- (9CI) (CA INDEX NAME)

09/882,843



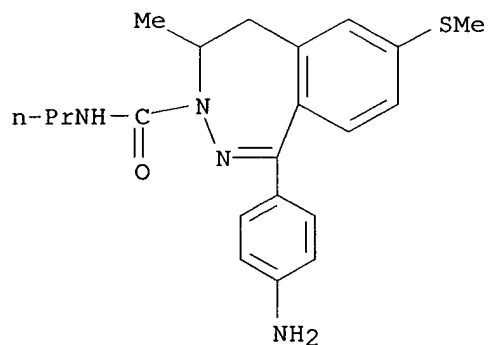
RN 383857-97-4 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-N-ethyl-4,5-dihydro-4-methyl-7-(methylthio)- (9CI) (CA INDEX NAME)



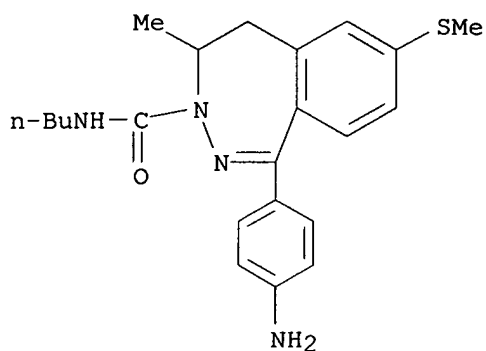
RN 383857-98-5 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-4,5-dihydro-4-methyl-7-(methylthio)-N-propyl- (9CI) (CA INDEX NAME)

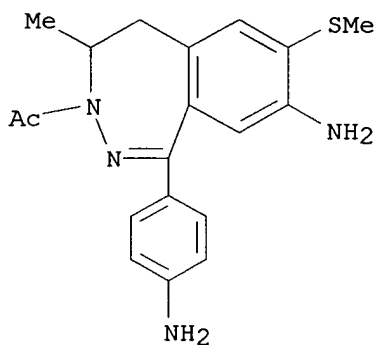


RN 383857-99-6 CAPLUS

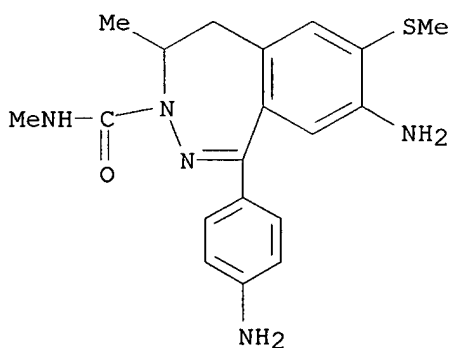
CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-N-butyl-4,5-dihydro-4-methyl-7-(methylthio)- (9CI) (CA INDEX NAME)



RN 383858-00-2 CAPLUS
 CN 3H-2,3-Benzodiazepin-8-amine, 3-acetyl-1-(4-aminophenyl)-4,5-dihydro-4-methyl-7-(methylthio)- (9CI) (CA INDEX NAME)

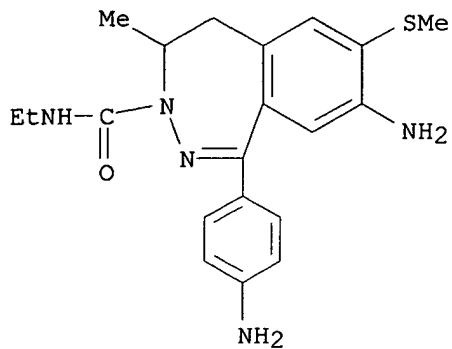


RN 383858-01-3 CAPLUS
 CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-amino-1-(4-aminophenyl)-4,5-dihydro-N,4-dimethyl-7-(methylthio)- (9CI) (CA INDEX NAME)



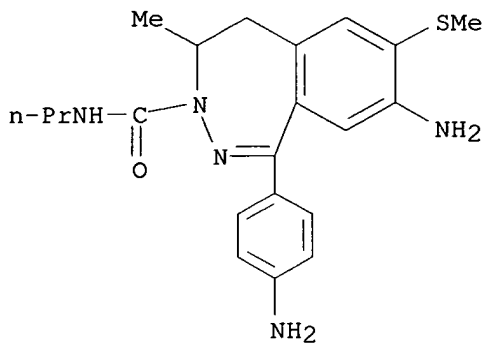
RN 383858-02-4 CAPLUS
 CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-amino-1-(4-aminophenyl)-N-ethyl-4,5-dihydro-4-methyl-7-(methylthio)- (9CI) (CA INDEX NAME)

09/882,843



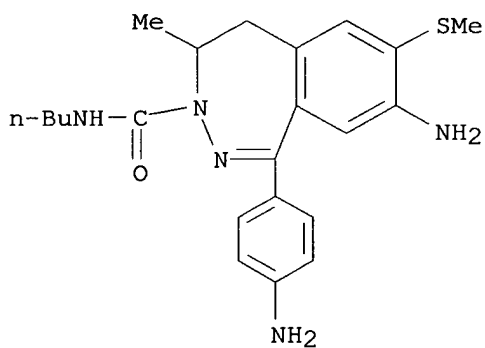
RN 383858-03-5 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-amino-1-(4-aminophenyl)-4,5-dihydro-4-methyl-7-(methylthio)-N-propyl- (9CI) (CA INDEX NAME)



RN 383858-04-6 CAPLUS

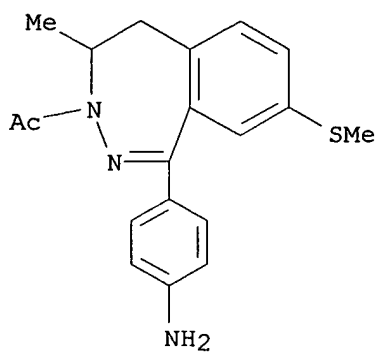
CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-amino-1-(4-aminophenyl)-N-butyl-4,5-dihydro-4-methyl-7-(methylthio)- (9CI) (CA INDEX NAME)



RN 383858-05-7 CAPLUS

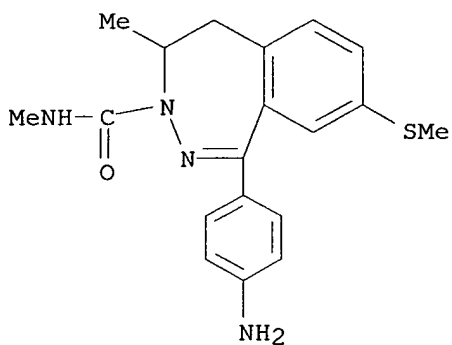
CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-aminophenyl)-4,5-dihydro-4-methyl-8-(methylthio)- (9CI) (CA INDEX NAME)

09/882,843



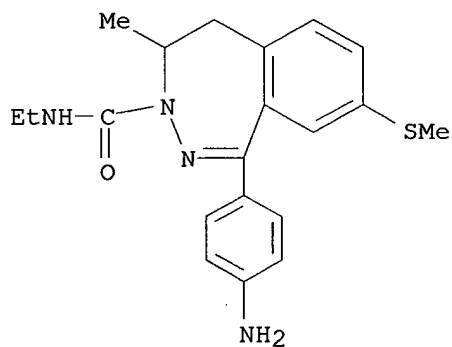
RN 383858-06-8 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-4,5-dihydro-N,4-dimethyl-8-(methylthio)- (9CI) (CA INDEX NAME)



RN 383858-07-9 CAPLUS

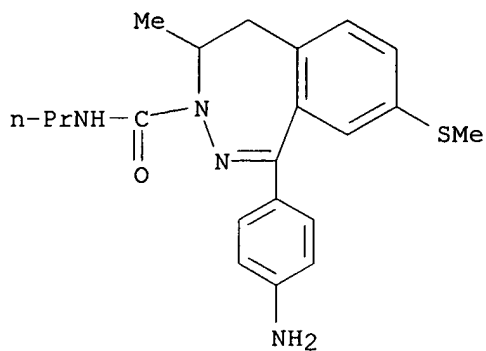
CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-N-ethyl-4,5-dihydro-4-methyl-8-(methylthio)- (9CI) (CA INDEX NAME)



RN 383858-08-0 CAPLUS

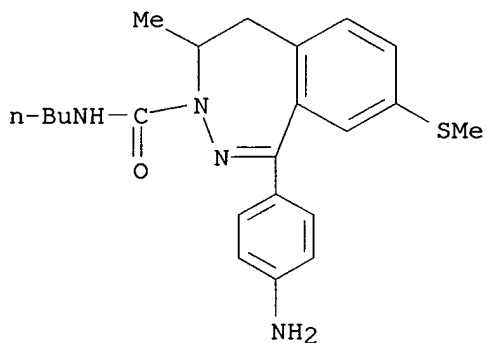
CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-4,5-dihydro-4-methyl-8-(methylthio)-N-propyl- (9CI) (CA INDEX NAME)

09/882,843



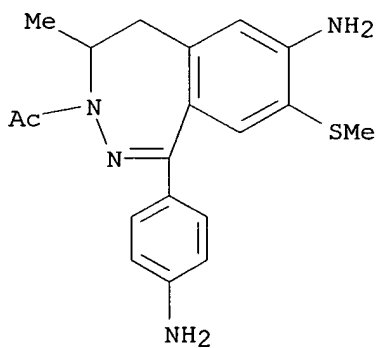
RN 383858-09-1 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-N-butyl-4,5-dihydro-4-methyl-8-(methylthio)- (9CI) (CA INDEX NAME)



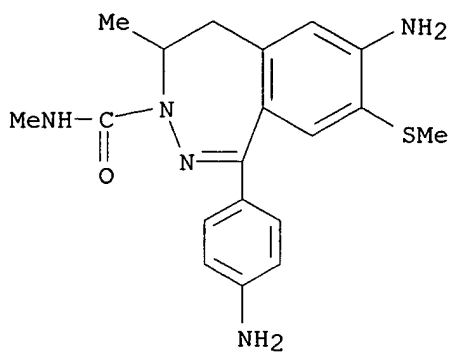
RN 383858-10-4 CAPLUS

CN 3H-2,3-Benzodiazepin-7-amine, 3-acetyl-1-(4-aminophenyl)-4,5-dihydro-4-methyl-8-(methylthio)- (9CI) (CA INDEX NAME)



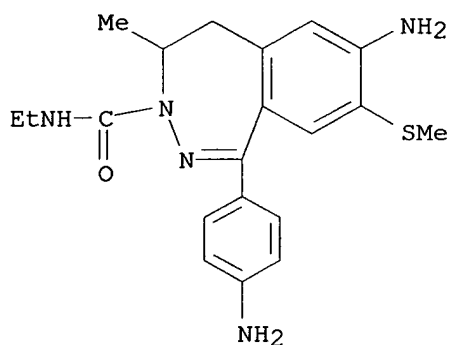
RN 383858-11-5 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 7-amino-1-(4-aminophenyl)-4,5-dihydro-N,4-dimethyl-8-(methylthio)- (9CI) (CA INDEX NAME)



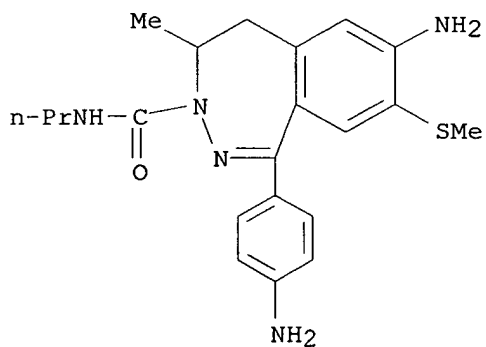
RN 383858-12-6 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 7-amino-1-(4-aminophenyl)-N-ethyl-4,5-dihydro-4-methyl-8-(methylthio)- (9CI) (CA INDEX NAME)



RN 383858-13-7 CAPLUS

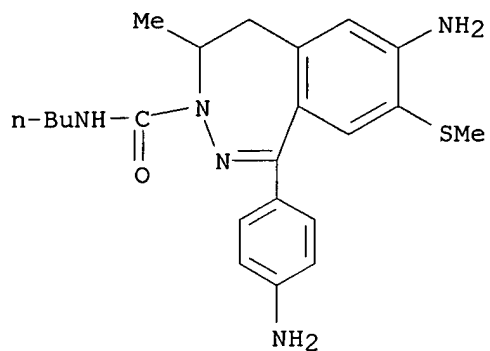
CN 3H-2,3-Benzodiazepine-3-carboxamide, 7-amino-1-(4-aminophenyl)-4,5-dihydro-4-methyl-8-(methylthio)-N-propyl- (9CI) (CA INDEX NAME)



RN 383858-14-8 CAPLUS

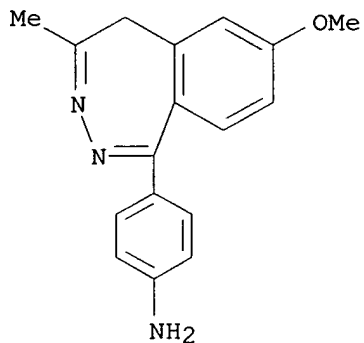
CN 3H-2,3-Benzodiazepine-3-carboxamide, 7-amino-1-(4-aminophenyl)-N-butyl-4,5-dihydro-4-methyl-8-(methylthio)- (9CI) (CA INDEX NAME)

09/882,843



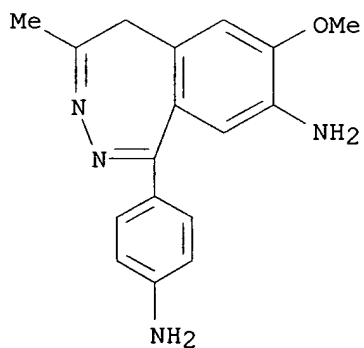
RN 383858-15-9 CAPLUS

CN Benzenamine, 4-(7-methoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 383858-16-0 CAPLUS

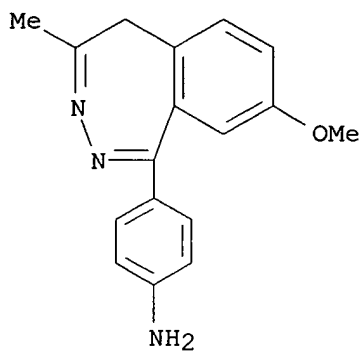
CN 5H-2,3-Benzodiazepin-8-amine, 1-(4-aminophenyl)-7-methoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 383858-17-1 CAPLUS

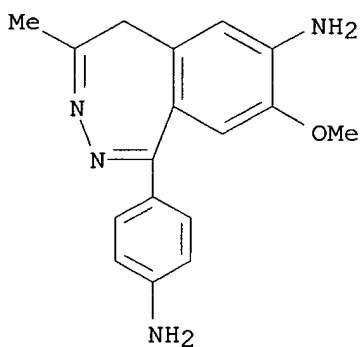
CN Benzenamine, 4-(8-methoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)

09/882,843



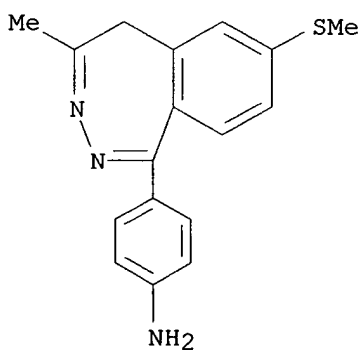
RN 383858-18-2 CAPLUS

CN 5H-2,3-Benzodiazepin-7-amine, 1-(4-aminophenyl)-8-methoxy-4-methyl- (9CI)
(CA INDEX NAME)



RN 383858-19-3 CAPLUS

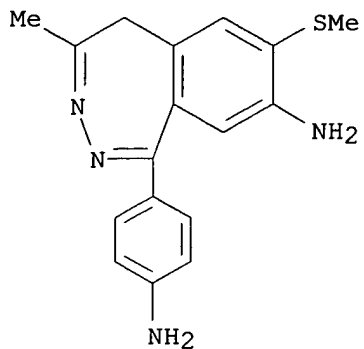
CN Benzenamine, 4-[4-methyl-7-(methylthio)-5H-2,3-benzodiazepin-1-yl]- (9CI)
(CA INDEX NAME)



RN 383858-20-6 CAPLUS

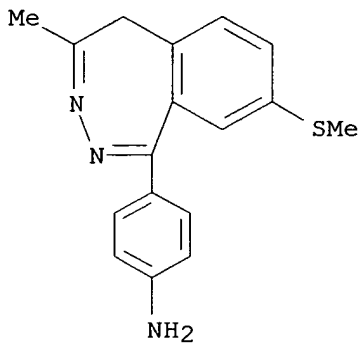
CN 5H-2,3-Benzodiazepin-8-amine, 1-(4-aminophenyl)-4-methyl-7-(methylthio)-
(9CI) (CA INDEX NAME)

09/882,843



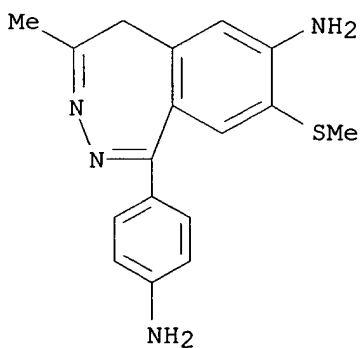
RN 383858-21-7 CAPLUS

CN Benzenamine, 4-[4-methyl-8-(methylthio)-5H-2,3-benzodiazepin-1-yl]- (9CI)
(CA INDEX NAME)



RN 383858-22-8 CAPLUS

CN 5H-2,3-Benzodiazepin-7-amine, 1-(4-aminophenyl)-4-methyl-8-(methylthio)-
(9CI) (CA INDEX NAME)

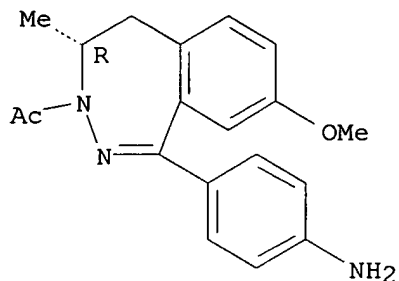


RN 383858-23-9 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

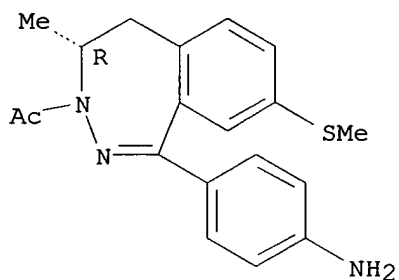
09/882,843



RN 383858-24-0 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-aminophenyl)-4,5-dihydro-4-methyl-8-(methylthio)-, (4R)- (9CI) (CA INDEX NAME)

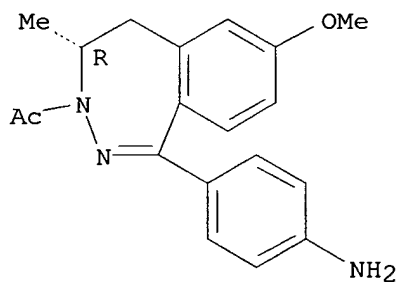
Absolute stereochemistry.



RN 383858-25-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-aminophenyl)-4,5-dihydro-7-methoxy-4-methyl-, (4R)- (9CI) (CA INDEX NAME)

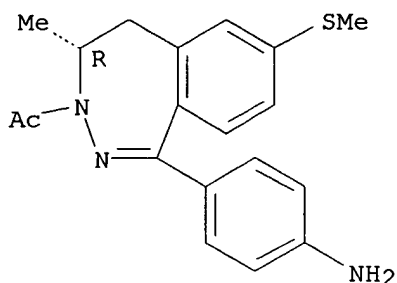
Absolute stereochemistry.



RN 383858-26-2 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-aminophenyl)-4,5-dihydro-4-methyl-7-(methylthio)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 383857-70-3P 383857-74-7P 383857-75-8P

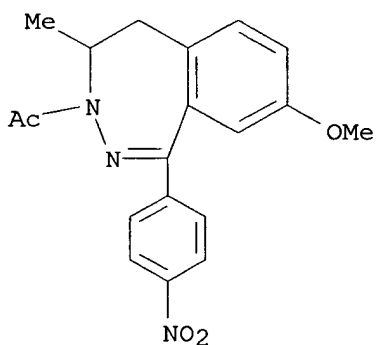
383857-78-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of or 7- or 8-mono-substituted 5H-2,3-benzodiazepines as antagonists of excitatory amino acid receptors)

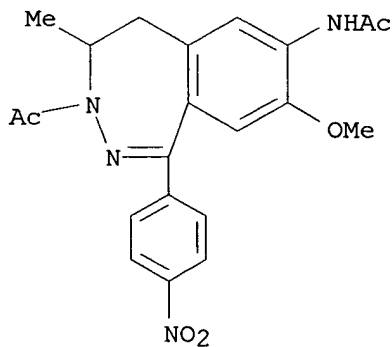
RN 383857-70-3 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 383857-74-7 CAPLUS

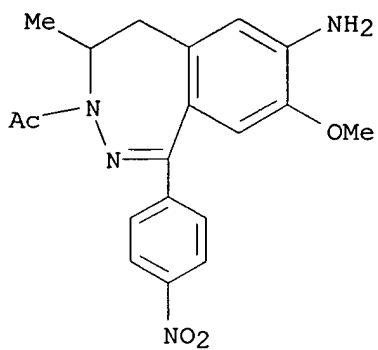
CN Acetamide, N-[3-acetyl-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)



RN 383857-75-8 CAPLUS

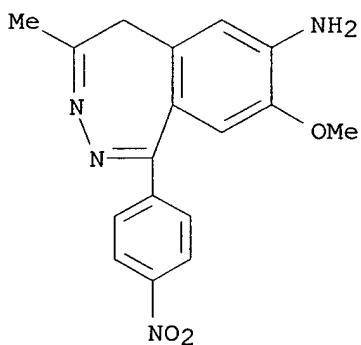
09/882,843

CN 3H-2,3-Benzodiazepin-7-amine, 3-acetyl-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 383857-78-1 CAPLUS

CN 5H-2,3-Benzodiazepin-7-amine, 8-methoxy-4-methyl-1-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



09/882,843

L39 ANSWER 5 OF 80 CAPLUS COPYRIGHT 2002 ACS

AM 2001:925001 CAPLUS

DN 136:226290

TI Thin-layer chromatographic characterization of metabolites formed from an AMPA antagonist drug candidate

AU Miglecz, Katalin; Hazai, Istvan; Jemnitz, Katalin; Patfalusi, Marta
CS Department of Pharmacokinetics, Institute for Drug Research, Budapest, H-1325, Hung.

SO Journal of Planar Chromatography--Modern TLC (2001), 14(4), 266-271
CODEN: JPCTE5; ISSN: 0933-4173

PB Research Institute for Medicinal Plants

DT Journal

LA English

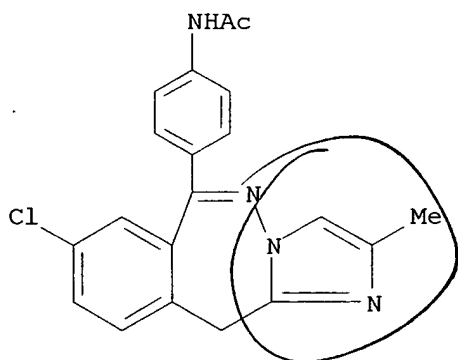
AB A pilot study has been conducted to develop sample prepn. procedure and TLC sepn. of radiolabeled metabolites of an AMPA (2-amino-3-(3-hydroxymethylisoxazol-4-yl)propionic acid) antagonist drug (6-(4-aminophenyl)-8-chloro-2-methyl-11H-imidazo[1,2-c] [2,3] benzodiazepine). The N-acetyl metabolite was identified by chromatog. and mass spectrometry. Both in vivo expts. with exptl. animals and in vitro study with rat hepatocytes indicated that the N-acetylation reaction is very important in the metab. of the compd. investigated. N-Acetylation behavior in the rat, dog, mouse, and rabbit were compared to enable selection of suitable species for further study.

IT 220445-41-0

RL: ANT (Analyte); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study)
(metab. and TLC of imidazobenzodiazepine AMPA antagonist)

RN 220445-41-0 CAPLUS

CN Acetamide, N-[4-(8-chloro-2-methyl-11H-imidazo[1,2-c] [2,3]benzodiazepin-6-yl)phenyl]- (9CI) (CA INDEX NAME)



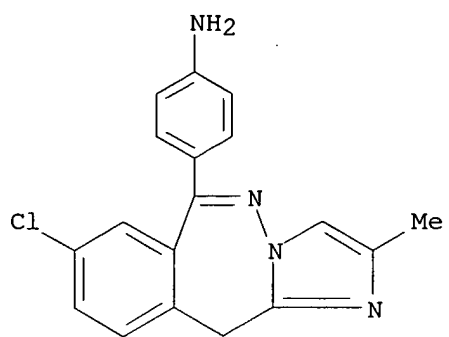
IT 220445-20-5

RL: ANT (Analyte); PKT (Pharmacokinetics); ANST (Analytical study); BIOL (Biological study)
(metab. and TLC of imidazobenzodiazepine AMPA antagonist)

RN 220445-20-5 CAPLUS

CN Benzenamine, 4-(8-chloro-2-methyl-11H-imidazo[1,2-c] [2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)

09/882,843



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/882,843

~~139~~ ANSWER 6 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2001:749782 CAPLUS

~~DN~~ 136:151133

TI Solid-phase Friedel-Crafts acylation on polystyrene resins - Synthesis of antiepileptic 1-aryl-3,5-dihydro-4H-2,3-benzodiazepin-4-ones

AU Bevacqua, F.; Basso, A.; Gitto, R.; Bradley, M.; Chimirri, A.

CS Dipartimento Farmaco-Chimico, Universita di Messina, Messina, 96168, Italy

SO Tetrahedron Letters (2001), 42(43), 7683-7685

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

AB Friedel-Crafts acylation with various acyl chlorides of resin-bound 3,4-dimethoxyphenylacetate afforded resin bound ketones which, following treatment with hydrazine, were converted into the corresponding 2,3-benzodiazepines in good yields and purities.

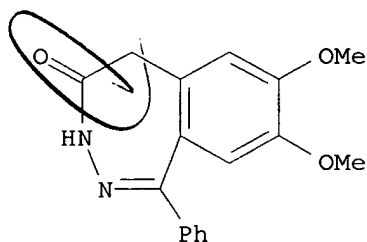
IT **41148-42-9P 142839-45-0P 395057-17-7P**

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(prepn. of antiepileptic 1-aryl-3,5-dihydro-4H-2,3-benzodiazepin-4-ones via solid-phase Friedel-Crafts acylation on polystyrene)

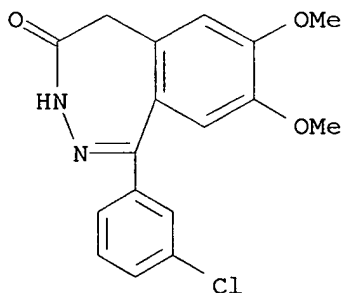
RN 41148-42-9 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA INDEX NAME)



RN 142839-45-0 CAPLUS

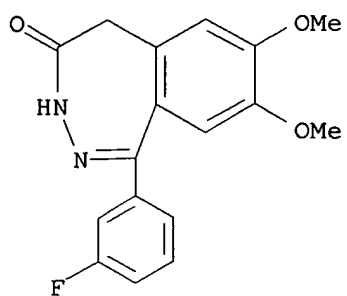
CN 4H-2,3-Benzodiazepin-4-one, 1-(3-chlorophenyl)-3,5-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)



RN 395057-17-7 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(3-fluorophenyl)-3,5-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)

09/882,843



RE.CNT 9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/882,843

LS9 ANSWER 7 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 2001:749433 CAPLUS

DN 135:378990

TI 4,5-Dihydro-7,8-dimethoxy-1-phenyl-3H-2,3-benzodiazepin-4-one

AU Bruno, Giuseppe; Nicolo, Francesco; Rotondo, Archimede; Gitto, Rosaria; Zappala, Maria

CS Dipartimento di Chimica Inorganica, Chimica Analitica e Chimica Fisica, Universita degli Studi di Messina, Messina, 98166, Italy

SO Acta Crystallographica, Section C: Crystal Structure Communications (2001), C57(10), 1225-1227

CODEN: ACSCEE; ISSN: 0108-2701

PB Munksgaard International Publishers Ltd.

DT Journal

LA English

AB The title compd., C₁₇H₁₆N₂O₃, is an antagonist for AMPA/kainate receptors. The mol. has its seven-membered oxadiazole ring in a boat conformation. Asymmetry of the two methoxy bond angles is evident, with (Me)O-C-C angles of 115.45(12) and 124.78(13).degree., and 114.67(12) and 125.31(12).degree.. A centrosym. dimer involving the HN-CO moieties, with an N...O distance of 2.876(2) .ANG., graph set R22(8), is further linked into chains through methoxy Csp³-H...N H bonds, with a C...N distance of 3.418(2) .ANG.. Crystallog. data are given.

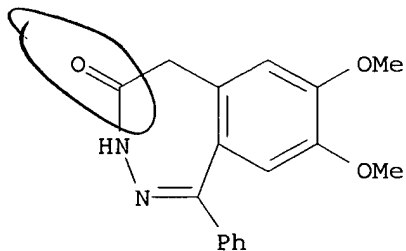
IT 41148-42-9

RL: PRP (Properties)

(crystal structure of)

RN 41148-42-9 CAPLUS

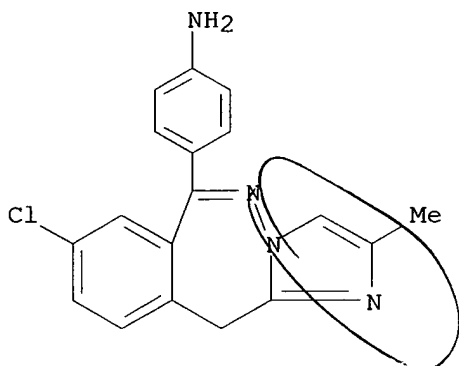
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/882,843

LB9 ANSWER 8 OF 80 CAPLUS COPYRIGHT 2002 ACS
AN 2001:627455 CAPLUS
DN 136:95563
TI Research on new AMPA antagonists of 2,3-benzodiazepine type
AU Solyom, Sandor
CS Gyogyszerkutato Intezet Kft., Budapest, H-1045, Hung.
SO Acta Pharmaceutica Hungarica (2001), 71(1), 80-87
CODEN: APHGAO; ISSN: 0001-6659
PB Magyar Gyogyszereszeti Tarsasag
DT Journal
LA Hungarian
AB This article is a written version of a lecture held at the 50th anniversary of the foundation of Institute for Drug Research. It describes the chain of ideas as well as the most interesting studies made during our structure-activity relation investigations with AMPA antagonists of 2,3-benzodiazepine type, which led to the discovery of several new types of active non competitive AMPA antagonists. One proprietary mol. (GYKI-47261) exerts a broad spectrum of anticonvulsive and excellent neuroprotective effects and is going to be developed.
IT **220445-20-5**, GYKI-47261
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new 2,3-benzodiazepine type AMPA antagonists)
RN 220445-20-5 CAPLUS
CN Benzenamine, 4-(8-chloro-2-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



09/882,843

~~139~~ ANSWER 9 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN-~~ 2001:401743 CAPLUS

DN 135:205098

TI Glutamate antagonists limit tumor growth

AU Rzeski, Wojciech; Turski, Lechoslaw; Ikonomidou, Chrysanthy

CS Department of Pediatric Neurology, Children's Hospital, Charite-Virchow, Humboldt University, Berlin, D-13353, Germany

SO Proceedings of the National Academy of Sciences of the United States of America (2001), 98(11), 6372-6377

CODEN: PNASA6; ISSN: 0027-8424

PB National Academy of Sciences

DT Journal

LA English

AB Neuronal progenitors and tumor cells possess propensity to proliferate and to migrate. Glutamate regulates proliferation and migration of neurons during development, but it is not known whether it influences proliferation and migration of tumor cells. We demonstrate that glutamate antagonists inhibit proliferation of human tumor cells. Colon adenocarcinoma, astrocytoma, and breast and lung carcinoma cells were most sensitive to the antiproliferative effect of the N-methyl-D-aspartate antagonist dizocilpine, whereas breast and lung carcinoma, colon adenocarcinoma, and neuroblastoma cells responded most favorably to the .alpha.-amino-3-hydroxy-5-methyl-4-isoxazole-propionate antagonist GYK152466. The antiproliferative effect of glutamate antagonists was Ca²⁺ dependent and resulted from decreased cell division and increased cell death. Morphol. alterations induced by glutamate antagonists in tumor cells consisted of reduced membrane ruffling and pseudopodial protrusions. Furthermore, glutamate antagonists decreased motility and invasive growth of tumor cells. These findings suggest anticancer potential of glutamate antagonists.

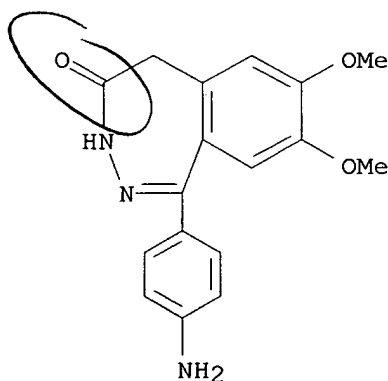
IT 178616-26-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CFM 2; glutamate antagonists limit tumor growth)

RN 178616-26-7 CAPLUS

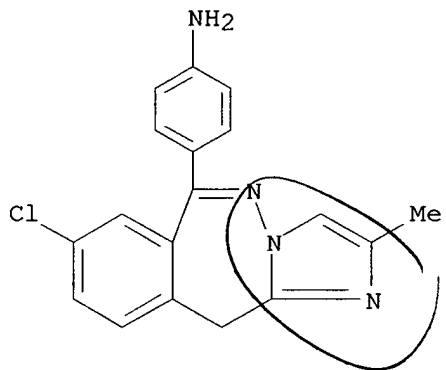
CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-(9CI) (CA INDEX NAME)



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/882,843

~~139~~ ANSWER 10 OF 80 CAPLUS COPYRIGHT 2002 ACS
~~AN~~ 2001:258383 CAPLUS
~~DN~~ 134:335921
TI Search for new non competitive AMPA antagonists
AU Solyom, Sandor
CS Institute for Drug Research, Ltd., Budapest, H-1045, Hung.
SO Acta Poloniae Pharmaceutica (2000), 57(Suppl.), 151-157
CODEN: APPHAX; ISSN: 0001-6837
PB Polish Pharmaceutical Society
DT Journal; General Review
LA English
AB A review, with 9 refs. The author shows the chain of ideas leading to several new types of active non competitive AMPA antagonists. One proprietary mol. (GYKI 47261) exerts a broad spectrum of anticonvulsive effects and an excellent neuroprotective effect. It was also attempted to apply some structural features being successful at the group of 2,3-benzodiazepines, to dihydropthalazine type compds., but this generalization was not successful.
IT **220445-20-5P**, GYKI 47261
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(non competitive AMPA antagonists including GYKI 47261 in relation to anticonvulsive and neuroprotective effects and structural features)
RN 220445-20-5 CAPLUS
CN Benzenamine, 4-(8-chloro-2-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

139 ANSWER 11 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 2000:805436 CAPLUS

DN 134:110364

TI Synthesis and Evaluation of Pharmacological and Pharmacokinetic Properties of 11H-[1,2,4]Triazolo[4,5-c][2,3]benzodiazepin-3(2H)-ones

AU Zappala, Maria; Gitto, Rosaria; Bevacqua, Francesca; Quartarone, Silvana; Chimirri, Alba; Rizzo, Milena; De Sarro, Giovambattista; De Sarro, Angela

CS Dipartimento Farmaco-Chimico and Istituto di Farmacologia Facolta di Medicina e Chirurgia, Universita di Messina, Messina, Italy

SO Journal of Medicinal Chemistry (2000), 43(25), 4834-4839

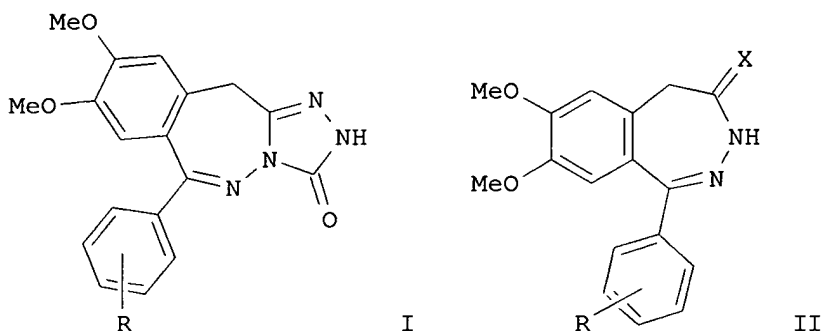
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

GI



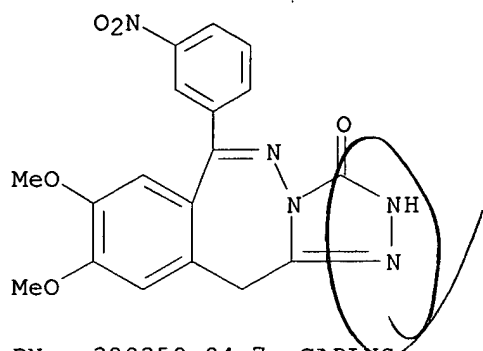
AB A series of 2,3-benzodiazepine derivs. has been previously described as noncompetitive AMPA-type glutamate receptor antagonists potentially useful for treatment of epilepsy. To further explore the structure-activity relationships of AMPA antagonists, a series of [1,2,4]triazolo[4,5-c][2,3]benzodiazepinones I (R = H, 3-O₂N, 3-H₂N, 4-F, 3-O₂N, 3-H₂N) was synthesized starting from the corresponding bicyclic arylbenzodiazepinones II (X = O) or the arylbenzodiazepinones II (X = S). I were found to possess anticonvulsant effects against seizures induced both by means of auditory stimulation in DBA/2 mice and by pentylenetetrazole or maximal electroshock in Swiss mice. I antagonize the AMPA-induced seizures, and their anticonvulsant activity is reversed by pretreatment with aniracetam, thus suggesting the involvement of AMPA receptors. The pharmacol. studies revealed that I herein reported show anticonvulsant activity comparable to that of their bicyclic precursors. Furthermore, an HPLC study provided evidence that I were converted in vivo into II, the agents likely to be mainly responsible for the anticonvulsant properties obsd.

IT 320350-03-6P 320350-04-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn. and anticonvulsant activity of triazolobenzodiazepinone derivs.)

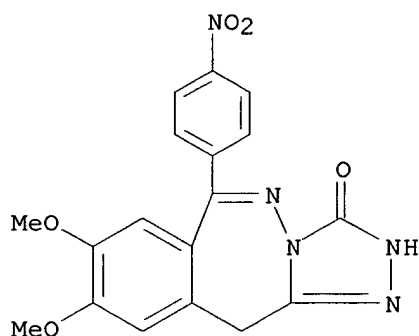
RN 320350-03-6 CAPLUS

CN 3H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepin-3-one, 2,11-dihydro-8,9-dimethoxy-6-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 320350-04-7 CAPLUS

CN 3H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepin-3-one, 2,11-dihydro-8,9-dimethoxy-6-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



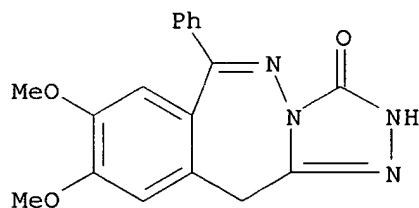
IT 320350-01-4P 320350-05-8P 320350-06-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and anticonvulsant activity of triazolobenzodiazepinone derivs.)

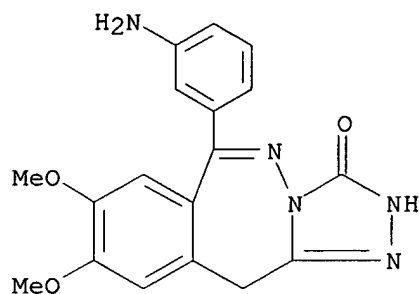
RN 320350-01-4 CAPLUS

CN 3H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepin-3-one, 2,11-dihydro-8,9-dimethoxy-6-phenyl- (9CI) (CA INDEX NAME)



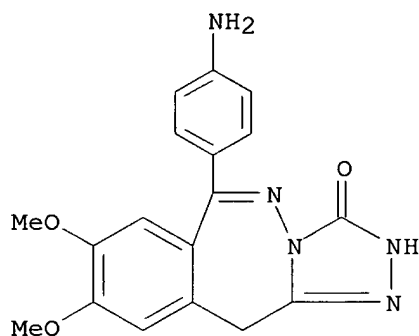
RN 320350-05-8 CAPLUS

CN 3H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepin-3-one, 6-(3-aminophenyl)-2,11-dihydro-8,9-dimethoxy- (9CI) (CA INDEX NAME)



RN 320350-06-9 CAPLUS

CN 3H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepin-3-one, 6-(4-aminophenyl)-2,11-dihydro-8,9-dimethoxy- (9CI) (CA INDEX NAME)

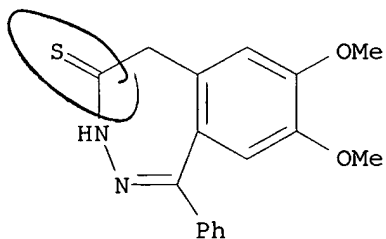


IT 213385-71-8 213385-74-1 213385-75-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and anticonvulsant activity of triazolobenzodiazepinone
derivs.)

RN 213385-71-8 CAPLUS

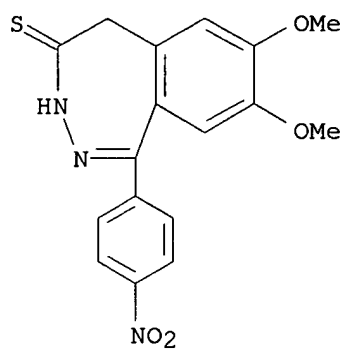
CN 4H-2,3-Benzodiazepine-4-thione, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI)
(CA INDEX NAME)



RN 213385-74-1 CAPLUS

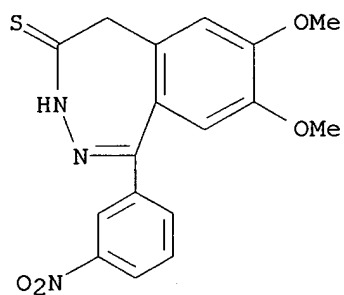
CN 4H-2,3-Benzodiazepine-4-thione, 3,5-dihydro-7,8-dimethoxy-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

09/882,843



RN 213385-75-2 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 3,5-dihydro-7,8-dimethoxy-1-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/882,843

~~LN~~ ANSWER 12 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2000:564507 CAPLUS

DN 133:335218

TI New non competitive AMPA antagonists

AU Abraham, G.; Solyom, S.; Csuzdi, E.; Berzsenyi, P.; Ling, I.; Tarnawa, I.; Hamori, T.; Pallagi, I.; Horvath, K.; Andrasi, F.; Kapus, G.; Harsing, L. G.; Kiraly, I.; Patthy, M.; Horvath, G.

CS Institute for Drug Research, Budapest, H-1045, Hung.

SO Bioorganic & Medicinal Chemistry (2000), 8(8), 2127-2143

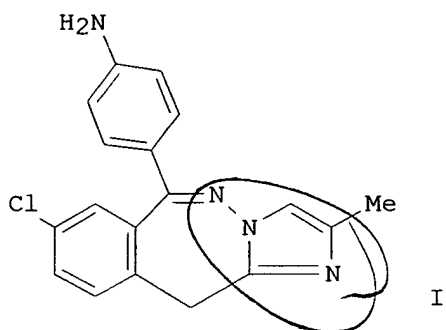
CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier Science Ltd.

DT Journal

LA English

GI



AB New halogen atom substituted 2,3-benzodiazepine derivs. condensed with an azole ring on the seven membered part of the ring system were synthesized. It was found that chloro-, dichloro- and bromo-substitutions in the benzene ring and addnl. imidazole ring condensation on the diazepine ring can successfully substitute the methylenedioxy group in the well known mols. GYKI 52466 and GYKI 53773 and the 3-acetyl-4-Me structural feature in GYKI 53773, resp., preserving the highly active AMPA antagonist characteristic of the original mols. From the most active compds. I (GYKI 47261) was chosen for detailed investigations. I revealed an excellent, broad spectrum anticonvulsant activity against seizures evoked by electroshock and different chemoconvulsive agents indicating a possible antiepileptic efficacy. I is highly active in a transient model of focal ischemia predictive of a therapeutic value in human stroke. I also reversed the dopamine depleting effect of MPTP and antagonized the oxotremorine induced tremor in mice indicating a potential antiparkinson activity.

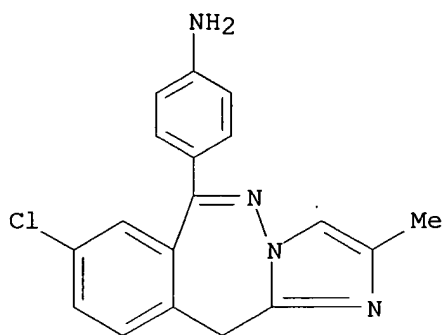
IT **220445-20-5P 220445-21-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of imidazobenzodiazepines as non-competitive AMPA antagonists)

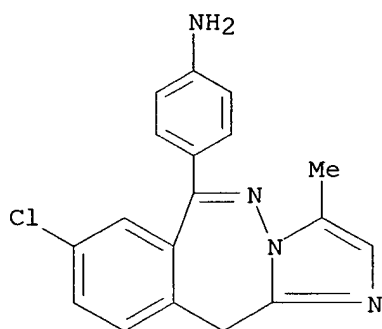
RN 220445-20-5 CAPLUS

CN Benzenamine, 4-(8-chloro-2-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



RN 220445-21-6 CAPLUS

CN Benzenamine, 4-(8-chloro-3-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



IT 220445-17-0P 220445-18-1P 220445-19-2P
 220445-22-7P 220445-23-8P 220445-24-9P
 220445-25-0P 220445-26-1P 220445-27-2P
 220445-28-3P 220445-29-4P 220445-30-7P
 220445-31-8P 220445-37-4P 220445-38-5P
 220445-39-6P 220445-40-9P 220445-41-0P
 220445-42-1P 220445-44-3P 220445-51-2P
 220445-53-4P

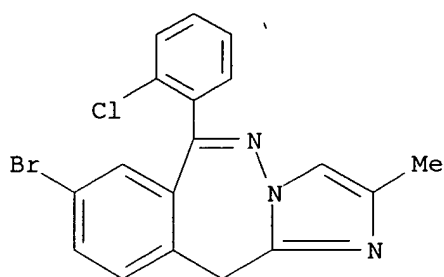
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of imidazobenzodiazepines as non-competitive AMPA antagonists)

RN 220445-17-0 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-bromo-6-(2-chlorophenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

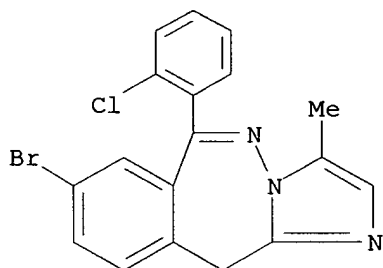
09/882,843



● HCl

RN 220445-18-1 CAPLUS

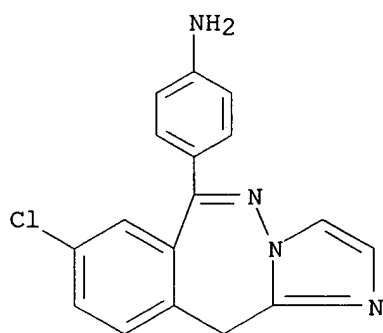
CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-bromo-6-(2-chlorophenyl)-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 220445-19-2 CAPLUS

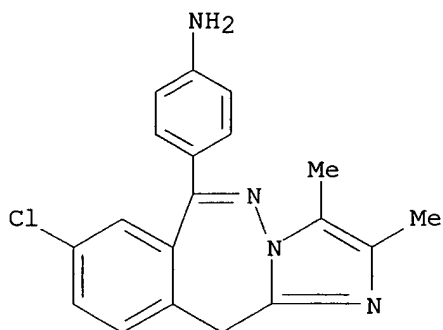
CN Benzenamine, 4-(8-chloro-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI)
(CA INDEX NAME)



RN 220445-22-7 CAPLUS

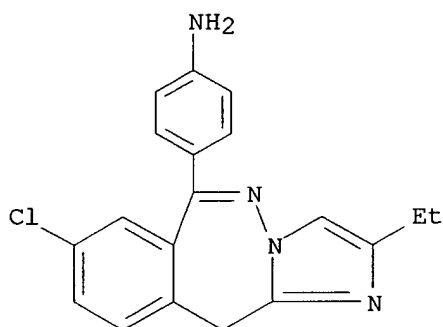
09/882,843

CN Benzenamine, 4-(8-chloro-2,3-dimethyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



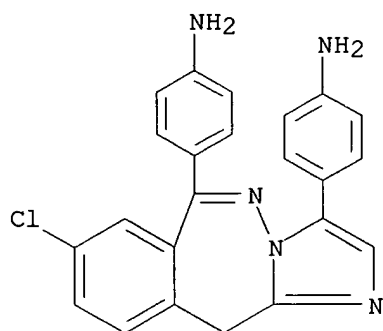
RN 220445-23-8 CAPLUS

CN Benzenamine, 4-(8-chloro-2-ethyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



RN 220445-24-9 CAPLUS

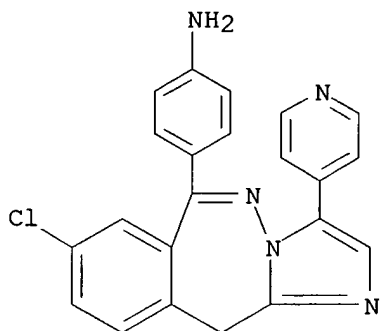
CN Benzenamine, 4,4'-(8-chloro-11H-imidazo[1,2-c][2,3]benzodiazepine-3,6-diyl)bis- (9CI) (CA INDEX NAME)



RN 220445-25-0 CAPLUS

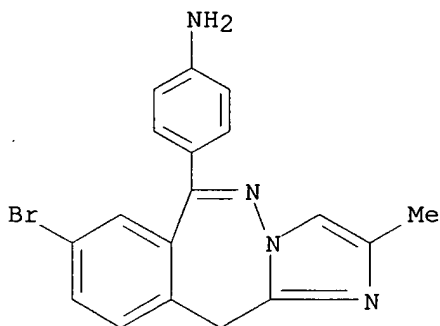
CN Benzenamine, 4-[8-chloro-3-(4-pyridinyl)-11H-imidazo[1,2-

c][2,3]benzodiazepin-6-yl)-(9CI) (CA INDEX NAME)



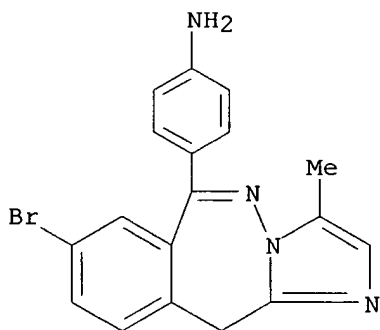
RN 220445-26-1 CAPLUS

CN Benzenamine, 4-(8-bromo-2-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)-(9CI) (CA INDEX NAME)



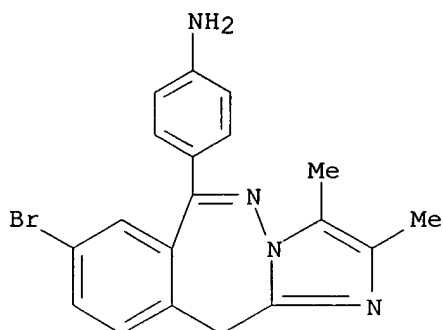
RN 220445-27-2 CAPLUS

CN Benzenamine, 4-(8-bromo-2,3-dimethyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)-(9CI) (CA INDEX NAME)

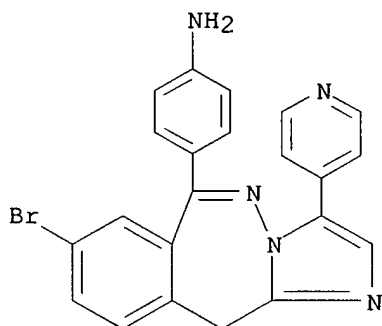


RN 220445-28-3 CAPLUS

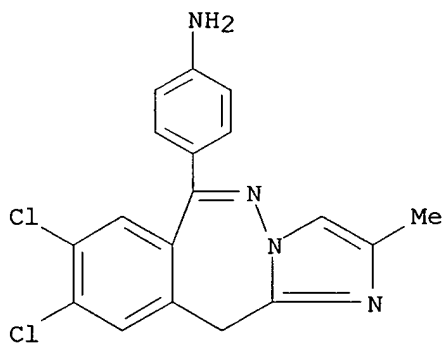
CN Benzenamine, 4-(8-bromo-2,3-dimethyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)-(9CI) (CA INDEX NAME)



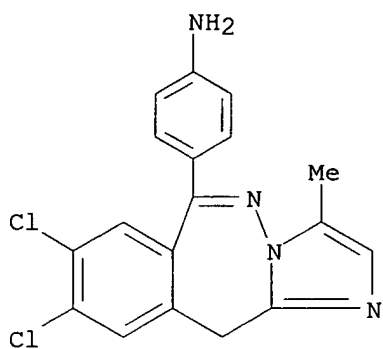
RN 220445-29-4 CAPLUS
 CN Benzenamine, 4-[8-bromo-3-(4-pyridinyl)-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl]- (9CI) (CA INDEX NAME)



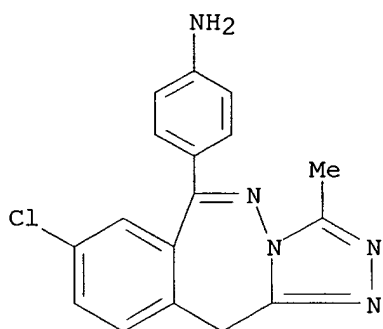
RN 220445-30-7 CAPLUS
 CN Benzenamine, 4-(8,9-dichloro-2-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



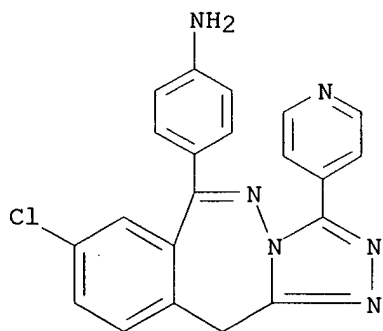
RN 220445-31-8 CAPLUS
 CN Benzenamine, 4-(8,9-dichloro-3-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



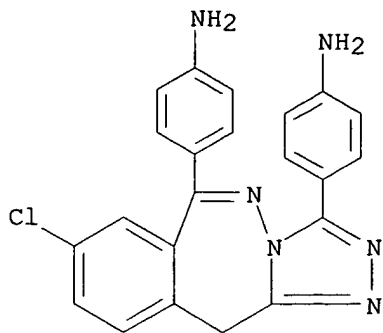
RN 220445-37-4 CAPLUS
 CN Benzenamine, 4-(8-chloro-3-methyl-11H-1,2,4-triazolo[4,3-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



RN 220445-38-5 CAPLUS
 CN Benzenamine, 4-[8-chloro-3-(4-pyridinyl)-11H-1,2,4-triazolo[4,3-c][2,3]benzodiazepin-6-yl]- (9CI) (CA INDEX NAME)

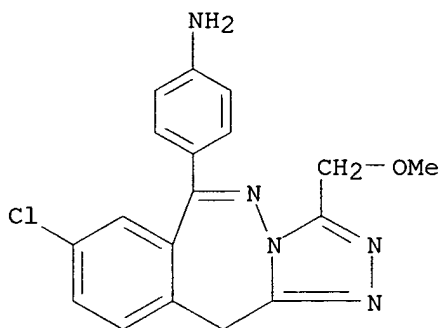


RN 220445-39-6 CAPLUS
 CN Benzenamine, 4,4'-(8-chloro-11H-1,2,4-triazolo[4,3-c][2,3]benzodiazepine-3,6-diyl)bis- (9CI) (CA INDEX NAME)



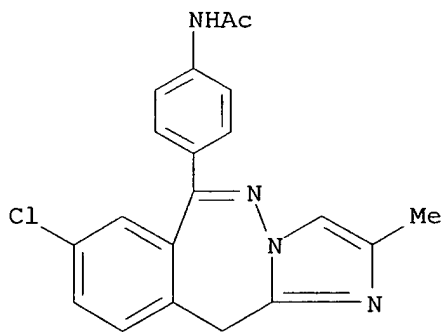
RN 220445-40-9 CAPLUS

CN Benzenamine, 4-[8-chloro-3-(methoxymethyl)-11H-1,2,4-triazolo[4,3-c][2,3]benzodiazepin-6-yl]- (9CI) (CA INDEX NAME)



RN 220445-41-0 CAPLUS

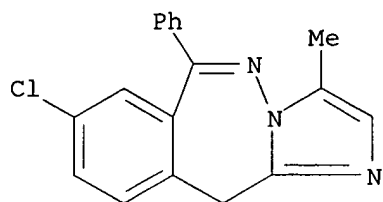
CN Acetamide, N-[4-(8-chloro-2-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 220445-42-1 CAPLUS

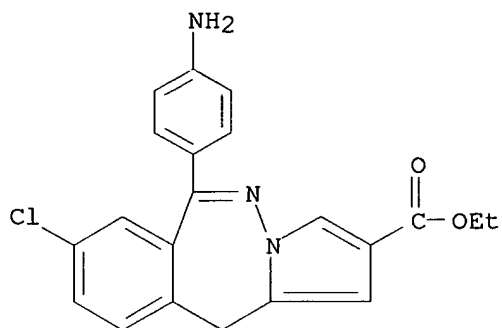
CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-3-methyl-6-phenyl- (9CI) (CA INDEX NAME)

09/882,843



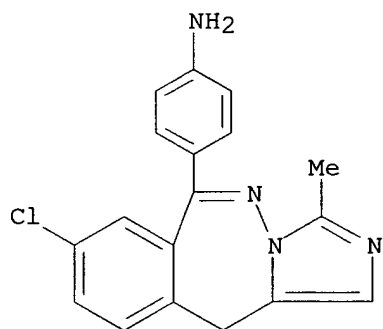
RN 220445-44-3 CAPLUS

CN 11H-Pyrrolo[1,2-c][2,3]benzodiazepine-2-carboxylic acid,
6-(4-aminophenyl)-8-chloro-, ethyl ester (9CI) (CA INDEX NAME)



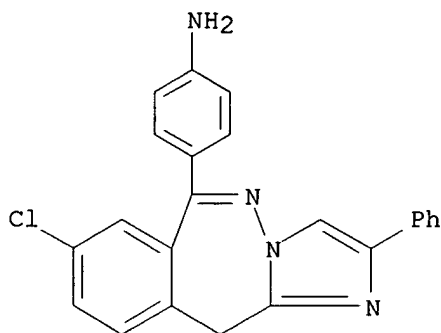
RN 220445-51-2 CAPLUS

CN Benzenamine, 4-(8-chloro-3-methyl-11H-imidazo[1,5-c][2,3]benzodiazepin-6-
yl)- (9CI) (CA INDEX NAME)



RN 220445-53-4 CAPLUS

CN Benzenamine, 4-(8-chloro-2-phenyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-
yl)- (9CI) (CA INDEX NAME)



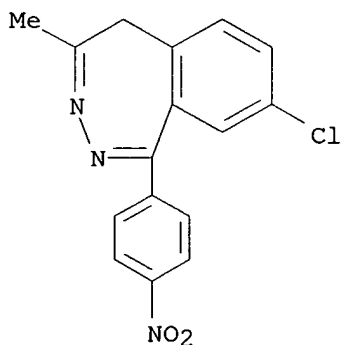
IT 200419-71-2P 220444-91-7P 220444-92-8P
 220444-93-9P 220444-94-0P 220444-95-1P
 220444-96-2P 220444-97-3P 220444-98-4P
 220445-01-2P 220445-02-3P 220445-03-4P
 220445-04-5P 220445-05-6P 220445-09-0P
 220445-10-3P 220445-11-4P 220445-12-5P
 220445-15-8P 220445-16-9P 220445-32-9P
 220445-33-0P 220445-34-1P 220445-35-2P
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 220445-47-6P 220445-48-7P 220445-49-8P
 220445-50-1P 220445-52-3P 304647-09-4P
 304647-10-7P 304647-11-8P 304647-12-9P
 304647-13-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of imidazobenzodiazepines as non-competitive AMPA antagonists)

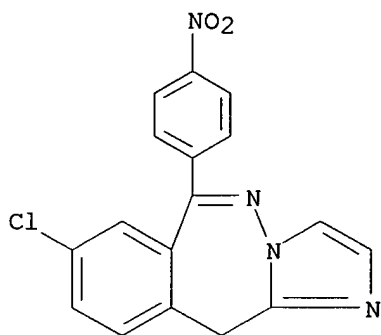
RN 200419-71-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 8-chloro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 220444-91-7 CAPLUS

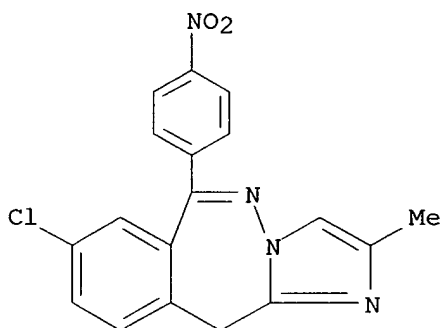
CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 220444-92-8 CAPLUS

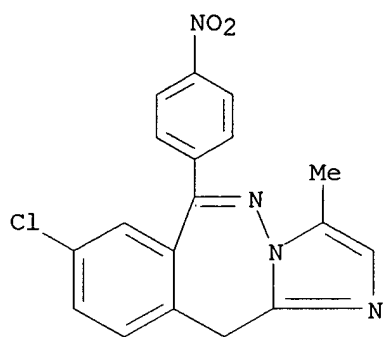
CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-2-methyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

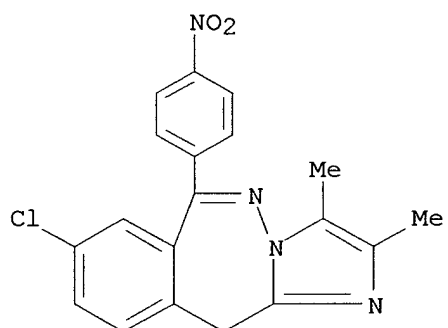
RN 220444-93-9 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-3-methyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

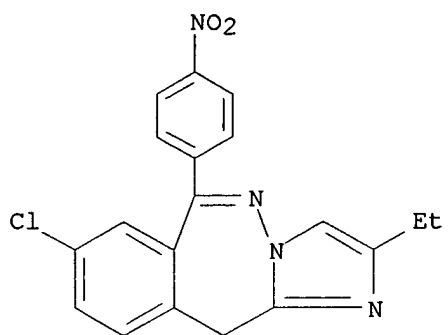
RN 220444-94-0 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-2,3-dimethyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

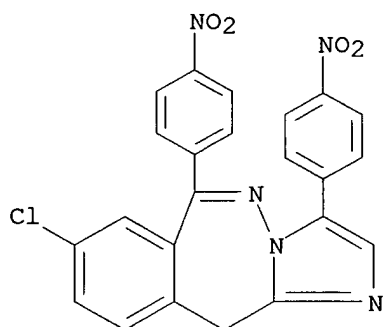
RN 220444-95-1 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-2-ethyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

09/882,843

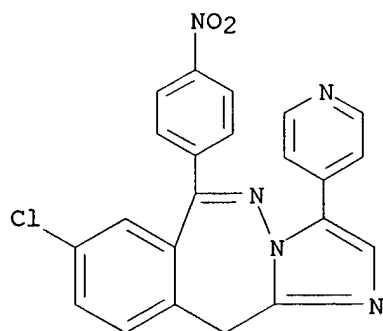


● HCl

RN 220444-96-2 CAPLUS
CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-3,6-bis(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



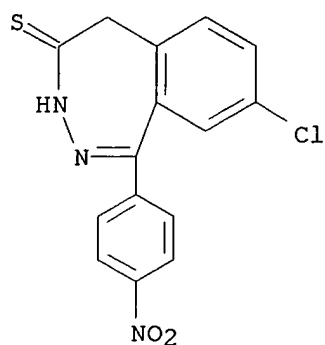
RN 220444-97-3 CAPLUS
CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-6-(4-nitrophenyl)-3-(4-
pyridinyl)- (9CI) (CA INDEX NAME)



RN 220444-98-4 CAPLUS

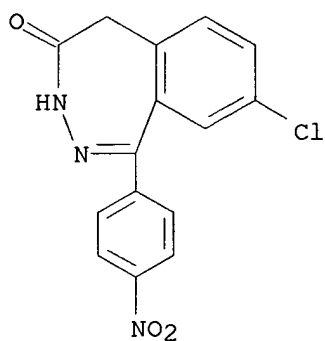
09/882,843

CN 4H-2,3-Benzodiazepine-4-thione, 8-chloro-3,5-dihydro-1-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



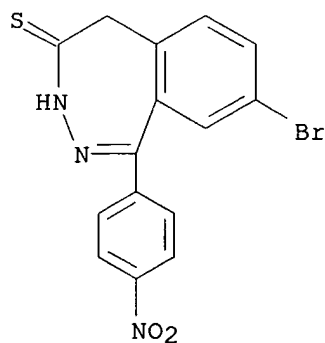
RN 220445-01-2 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 8-chloro-3,5-dihydro-1-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



RN 220445-02-3 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 8-bromo-3,5-dihydro-1-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)

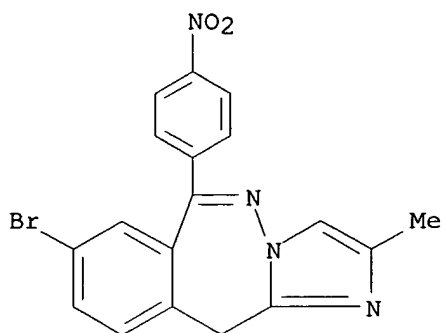


RN 220445-03-4 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-bromo-2-methyl-6-(4-nitrophenyl)-

09/882,843

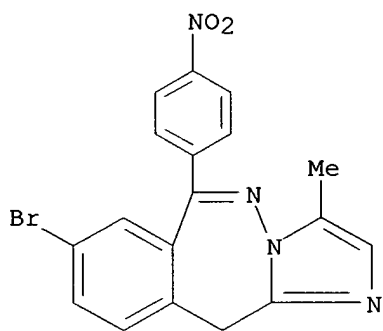
, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 220445-04-5 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-bromo-3-methyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

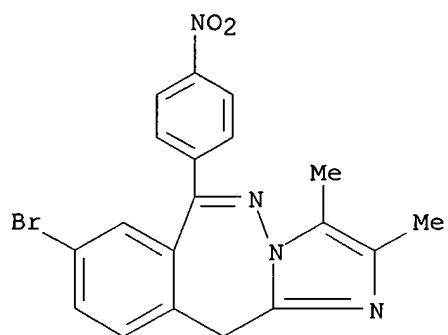


● HCl

RN 220445-05-6 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-bromo-2,3-dimethyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

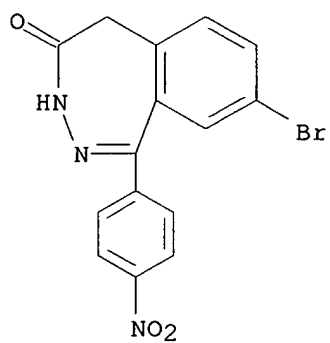
09/882,843



● HCl

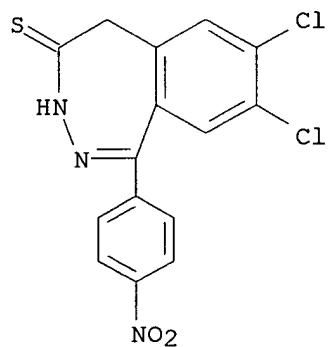
RN 220445-09-0 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 8-bromo-3,5-dihydro-1-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



RN 220445-10-3 CAPLUS

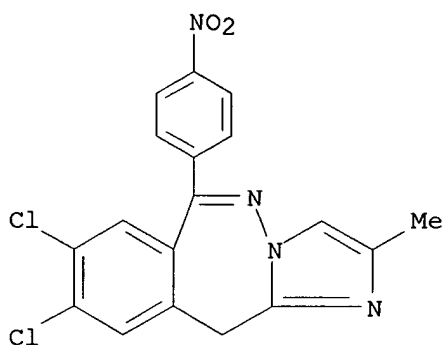
CN 4H-2,3-Benzodiazepine-4-thione, 7,8-dichloro-3,5-dihydro-1-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



RN 220445-11-4 CAPLUS

09/882,843

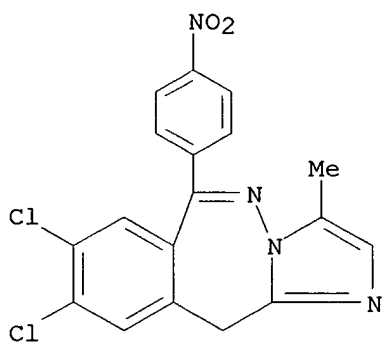
CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8,9-dichloro-2-methyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 220445-12-5 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8,9-dichloro-3-methyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

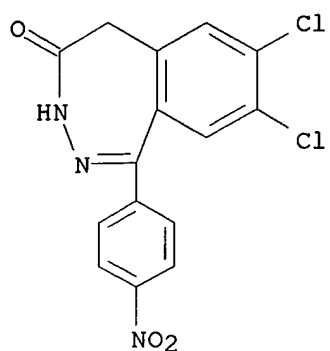


● HCl

RN 220445-15-8 CAPLUS

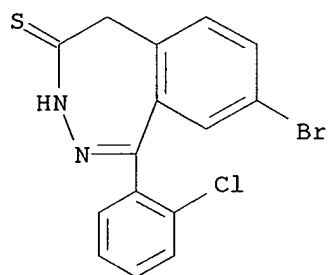
CN 4H-2,3-Benzodiazepin-4-one, 7,8-dichloro-3,5-dihydro-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

09/882,843



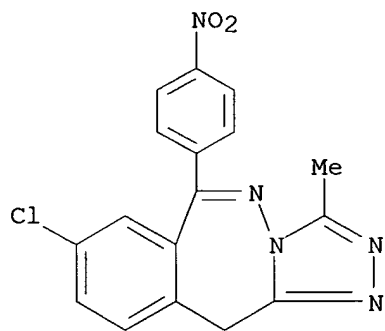
RN 220445-16-9 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 8-bromo-1-(2-chlorophenyl)-3,5-dihydro-
(9CI) (CA INDEX NAME)



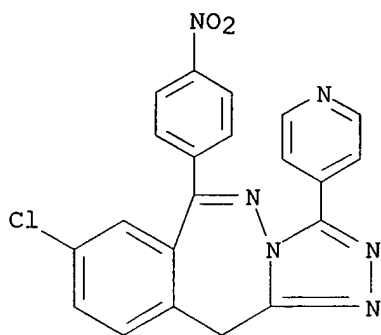
RN 220445-32-9 CAPLUS

CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 8-chloro-3-methyl-6-(4-
nitrophenyl)- (9CI) (CA INDEX NAME)

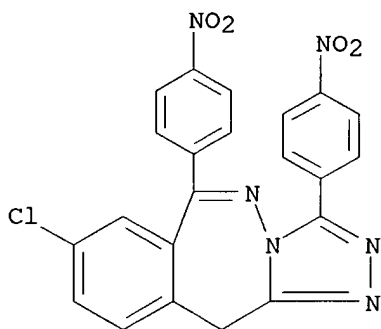


RN 220445-33-0 CAPLUS

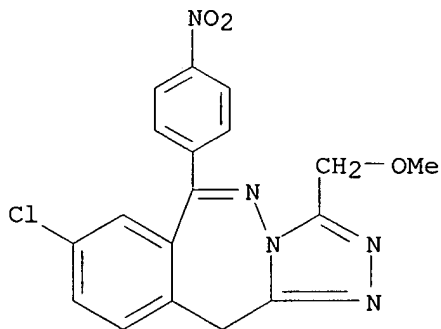
CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 8-chloro-6-(4-nitrophenyl)-3-
(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 220445-34-1 CAPLUS
 CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 8-chloro-3,6-bis(4-nitrophenyl)- (9CI) (CA INDEX NAME)

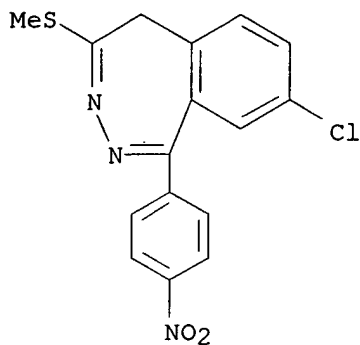


RN 220445-35-2 CAPLUS
 CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 8-chloro-3-(methoxymethyl)-6-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



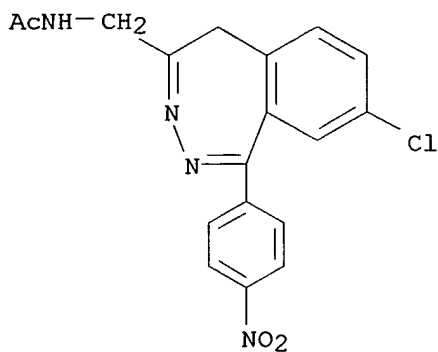
RN 220445-36-3 CAPLUS
 CN 5H-2,3-Benzodiazepine, 8-chloro-4-(methylthio)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

09/882,843



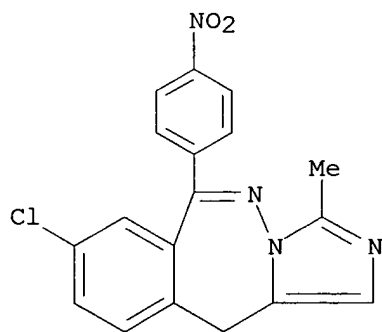
RN 220445-45-4 CAPLUS

CN Acetamide, N-[[8-chloro-1-(4-nitrophenyl)-5H-2,3-benzodiazepin-4-yl]methyl]- (9CI) (CA INDEX NAME)



RN 220445-46-5 CAPLUS

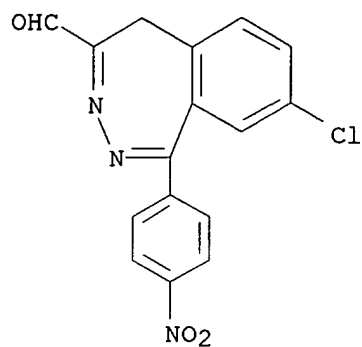
CN 11H-Imidazo[1,5-c][2,3]benzodiazepine, 8-chloro-3-methyl-6-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 220445-47-6 CAPLUS

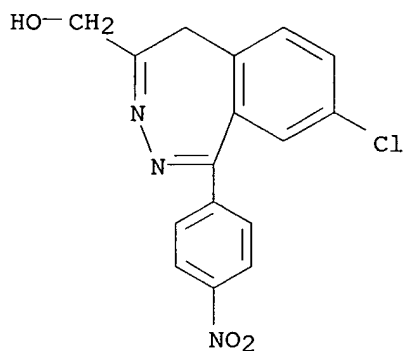
CN 5H-2,3-Benzodiazepine-4-carboxaldehyde, 8-chloro-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

09/882,843



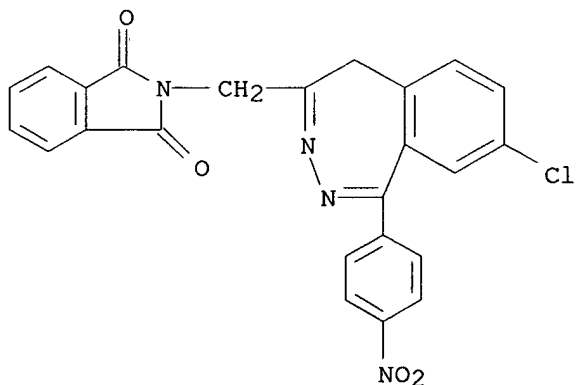
RN 220445-48-7 CAPLUS

CN 5H-2,3-Benzodiazepine-4-methanol, 8-chloro-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 220445-49-8 CAPLUS

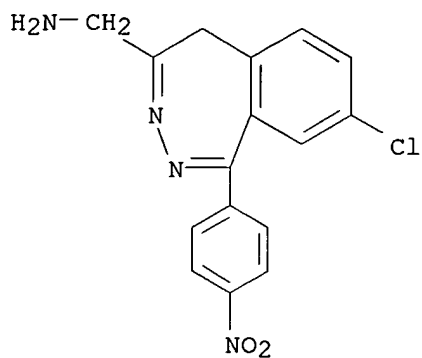
CN 1H-Isoindole-1,3(2H)-dione, 2-[[8-chloro-1-(4-nitrophenyl)-5H-2,3-benzodiazepin-4-yl]methyl]- (9CI) (CA INDEX NAME)



RN 220445-50-1 CAPLUS

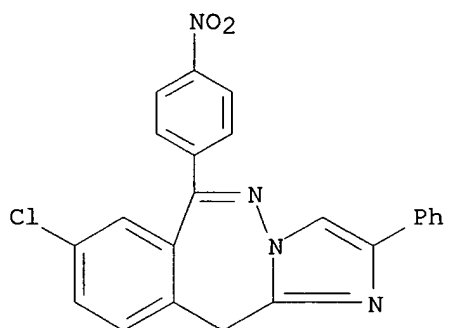
CN 5H-2,3-Benzodiazepine-4-methanamine, 8-chloro-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

09/882,843



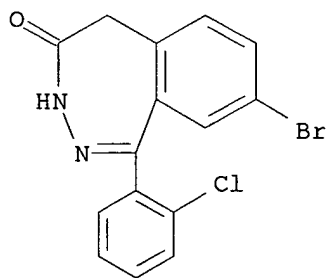
RN 220445-52-3 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-6-(4-nitrophenyl)-2-phenyl-
(9CI) (CA INDEX NAME)



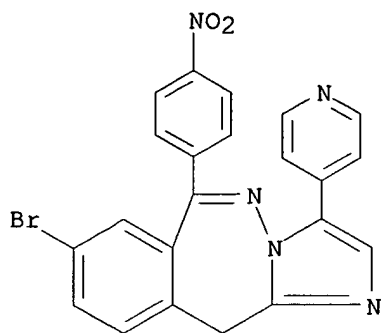
RN 304647-09-4 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 8-bromo-1-(2-chlorophenyl)-3,5-dihydro- (9CI)
(CA INDEX NAME)



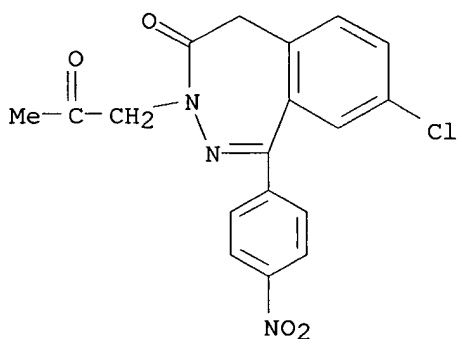
RN 304647-10-7 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-bromo-6-(4-nitrophenyl)-3-(4-
pyridinyl)- (9CI) (CA INDEX NAME)



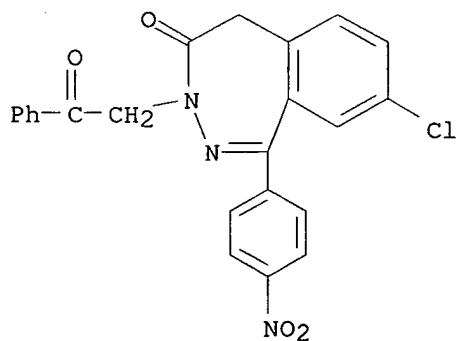
RN 304647-11-8 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 8-chloro-3,5-dihydro-1-(4-nitrophenyl)-3-(2-oxopropyl)- (9CI) (CA INDEX NAME)



RN 304647-12-9 CAPLUS

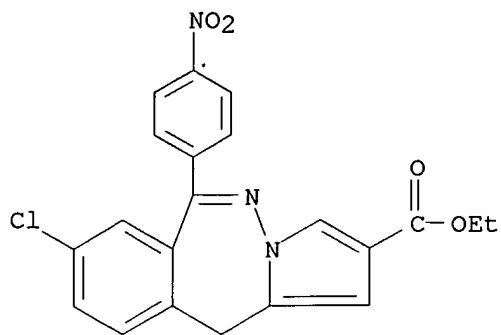
CN 4H-2,3-Benzodiazepin-4-one, 8-chloro-3,5-dihydro-1-(4-nitrophenyl)-3-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 304647-13-0 CAPLUS

CN 11H-Pyrrolo[1,2-c][2,3]benzodiazepine-2-carboxylic acid, 8-chloro-6-(4-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

09/882,843

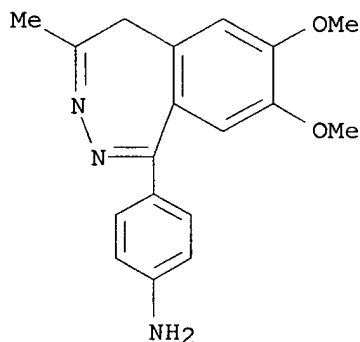


RE.CNT 74 THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

see 63980

09/882,843

L39 ANSWER 13 OF 80 CAPLUS COPYRIGHT 2002 ACS
AN 2000:550062 CAPLUS
DN 134:163003
TI Tritium labeling of benzodiazepines and some related compounds
AU Hiltunen, J.; Peng, C. T.; Williams, P. G.
CS MAP Medical Technologies Oy, Tikkakoski, FIN-41160, Finland
SO Synthesis and Applications of Isotopically Labelled Compounds 1997,
Proceedings of the International Symposium, 6th, Philadelphia, PA, United
States, Sept. 14-18, 1997 (1998), Meeting Date 1997, 529-534. Editor(s):
Heys, J. Richard; Melillo, David G. Publisher: John Wiley & Sons Ltd.,
Chichester, UK.
CODEN: 69AGFQ
DT Conference
LA English
AB A symposium report with 10 refs. on the tritiation of benzodiazepines
using the high-temp. tritium ion method.
IT **102771-12-0DP**, GYKI 52322, tritium-labeled
RL: SPN (Synthetic preparation); PREP (Preparation)
(tritium labeling of benzodiazepines and some related compds.)
RN 102771-12-0 CAPLUS
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
(CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 14 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 2000:240950 CAPLUS

DN 132:270096

TI Pharmaceutical compositions containing an opiate analgesic and a synergizing substance

IN Szekely, Jozsef; Andrasi, Ferenc; Mate, Gyorgyne; Horvath, Katalin; Horvath, Edit; Haskane, Salamon Cecilia; Aranyi, Peter; Gigler, Gabor; Fekete, Pal; Fekete, Marton

PA Egis Gyogyszergyar Rt., Hung.; Haskane Salamon, Cecilia; et al.

SO PCT Int. Appl., 32 pp.

CODEN: PIXXD2

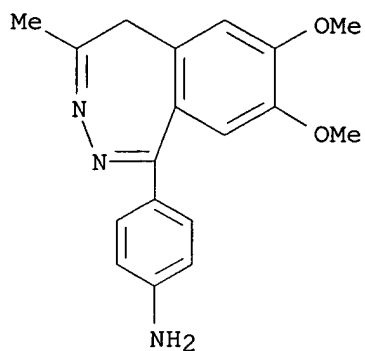
DT Patent

LA English

FAN.CNT 1

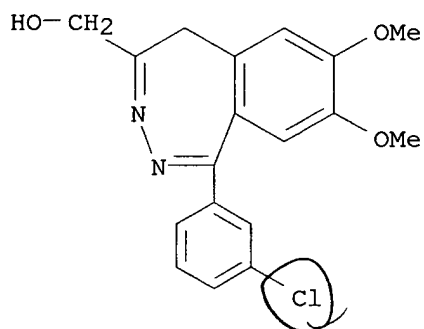
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020005	A1	20000413	WO 1998-HU90	19981001
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9895538	A1	20000426	AU 1998-95538	19981001
PRAI WO 1998-HU90	A	19981001		
AB The invention relates to a synergistic analgesic pharmaceutical compn. comprising an opiate analgesic agent (component A) and a substance synergizing the analgesic effect of the opiate (component B) in admixt. with suitable inert solid or liq. pharmaceutical carriers and/or diluents. Morphine-HCl (I) (2 parts) and 5 parts by wt. tofisopam (II) are dispersed in 53 parts Witepsol S 58 and melted at 50.degree.. The still liq. suspension is filled into conical forms, solidified by cooling to 25.degree. and the suppositories are removed from the mold. Thus suppositories having an av. wt. of 6 g and contg. 20 mg I and 50 mg II are obtained.				
IT 102771-12-0, Nerisopam 142790-94-1 177034-98-9 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. contg. an opiate analgesic and synergizing substance)				
RN 102771-12-0 CAPLUS				
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)				

09/882,843



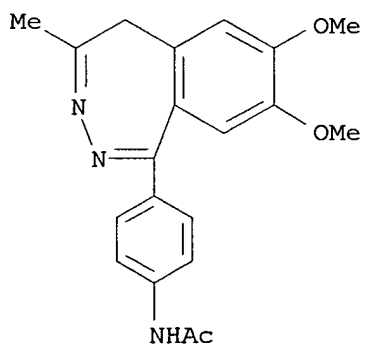
RN 142790-94-1 CAPLUS

CN 5H-2,3-Benzodiazepine-4-methanol, 1-(3-chlorophenyl)-7,8-dimethoxy- (9CI)
(CA INDEX NAME)



RN 177034-98-9 CAPLUS

CN Acetamide, N-[4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)phenyl]-
(9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

139 ANSWER 15 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 2000:80444 CAPLUS

DN 133:38

TI Anxiolytic 2,3-benzodiazepines, their specific binding to the basal ganglia

AU Horvath, Edit J.; Horvath, Katalin; Hamori, Tamas; Fekete, Marton I. K.; Solyom, Sandor; Palkovits, Miklos

CS Institute for Drug Research, Budapest, Hung.

SO Progress in Neurobiology (Oxford) (2000), 60(4), 309-342

CODEN: PGNBA5; ISSN: 0301-0082

PB Elsevier Science Ltd.

DT Journal; General Review

LA English

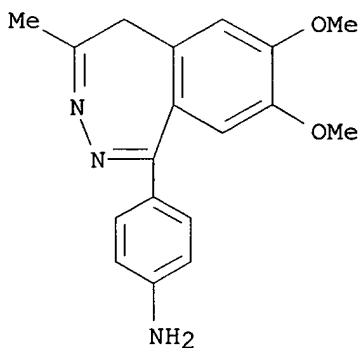
AB A review with over 100 refs. Over the past 20 yr, several members of the 2,3-benzodiazepine family have been synthesized. Some of these compds. - tofisopam (Grandaxin), girisopam, nerisopam - exert significant anxiolytic and antipsychotic activities. Sites where actions of 2,3-benzodiazepines are mediated differ from those of 1,4-benzodiazepines. Binding of 2,3-benzodiazepines to neuronal cells in the central nervous system shows a unique and specific distribution pattern: their binding sites are located exclusively to the basal ganglia. Chem. lesioning of the striato-pallido-nigral system, surgical transections of the striato-nigral pathway and the activation of c-fos expression in the basal ganglia after application of 2,3-benzodiazepines suggest that these compds. mainly bind to projecting neurons of the striatum. The binding sites are transported from the striatum to the substantia nigra and the entopeduncular nucleus. Recent studies on mechanism of action of 2,3-benzodiazepines indicate their possible role in opioid signal transduction since 2,3-benzodiazepines augment the agonist potency of morphine to induce catalepsy and analgesia, and their action is diminished in morphine tolerant animals. The possible biochem. target of 2,3-benzodiazepines is an alteration in the phosphorylation of protein(s) important in the signal transduction process. Agents affecting emotional responses evoked by endogenous opioids without danger of tolerance and dependence may represent a new therapeutic tool in the treatment of addiction and affective disorders.

IT 102771-12-0, Nerisopam

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anxiolytic 2,3-benzodiazepines specific binding to basal ganglia)

RN 102771-12-0 CAPLUS

CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
(CA INDEX NAME)



09/882,843

RE.CNT 109 THERE ARE 109 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/882,843

L39 ANSWER 16 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1999:781919 CAPLUS

DN 131:351353

TI Preparation of 2,3-benzodiazepine-derivative AMPA receptor antagonists

IN Huth, Andreas; Ottow, Eckhard; Neuhaus, Roland

PA Schering A.-G., Germany

SO Ger. Offen., 10 pp.

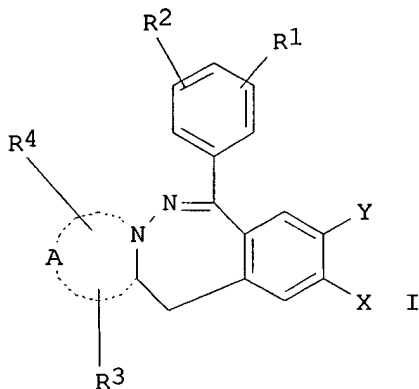
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19824673	A1	19991202	DE 1998-19824673	19980526
OS	MARPAT 131:351353				
GI					



AB The title compds. [I; A = (un)substituted 5-member heterocyclic moiety contg. 2-3 nitrogen atoms and/or 1 oxygen atom and/or 1-2 carbonyl groups; R1, R2 = H, C1-6 alkyl, NO2, halogen, (un)substituted NH2, C1-4 alkoxy, CF3; R3, R4 = H, halogen, CN, alkanoyl, cycloalkyl, (un)substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl; X = H, halogen, NO2; Y = halogen, CN, CF3, aryl, OH, halogen-substituted alkoxy; (un)substituted halogenated alkylsulfonyl], useful as AMPA receptor antagonists (no data), are prepd. Thus, 8-hydroxy-2,3-dimethyl-6-phenyl-11H-imidazo[1,2-c][2,3]benzodiazepine was esterified with nonafluorobutylsulfonyl fluoride in the presence of NaH, producing 8-nonafluorobutylsulfonyloxy-2,3-dimethyl-6-phenyl-11H-imidazo[1,2-c][2,3]benzodiazepine.

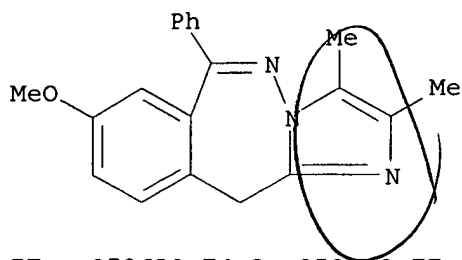
IT 194730-27-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of 2,3-benzodiazepine-deriv. AMPA receptor antagonists)

RN 194730-27-3 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-methoxy-2,3-dimethyl-6-phenyl-
(9CI) (CA INDEX NAME)



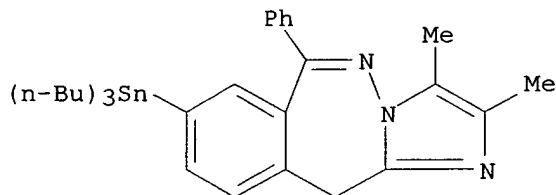
IT 250689-74-8P 250689-77-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 2,3-benzodiazepine-deriv. AMPA receptor antagonists)

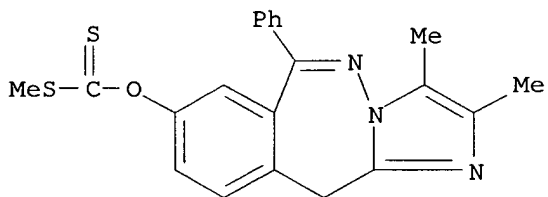
RN 250689-74-8 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 2,3-dimethyl-6-phenyl-8-(tributylstannyl)- (9CI) (CA INDEX NAME)



RN 250689-77-1 CAPLUS

CN Carbonodithioic acid, O-[2,3-dimethyl-6-phenyl-11H-imidazo[1,2-c][2,3]benzodiazepin-8-yl] S-methyl ester (9CI) (CA INDEX NAME)



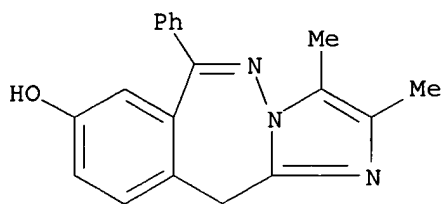
IT 250689-72-6P 250689-73-7P 250689-80-6P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

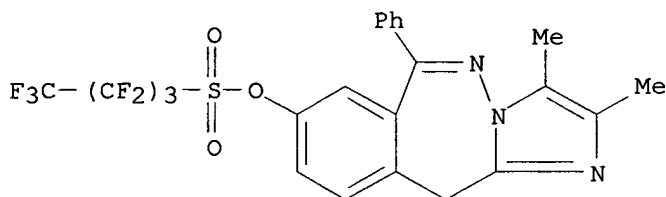
(prepn. of 2,3-benzodiazepine-deriv. AMPA receptor antagonists)

RN 250689-72-6 CAPLUS

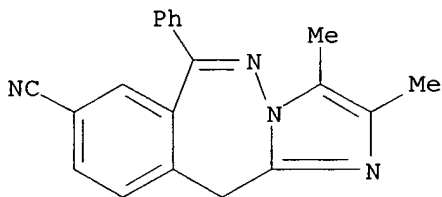
CN 11H-Imidazo[1,2-c][2,3]benzodiazepin-8-ol, 2,3-dimethyl-6-phenyl- (9CI) (CA INDEX NAME)



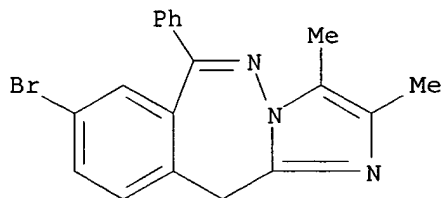
RN 250689-73-7 CAPLUS
 CN 1-Butanesulfonic acid, 1,1,2,2,3,3,4,4,4-nonafluoro-, 2,3-dimethyl-6-phenyl-11H-imidazo[1,2-c][2,3]benzodiazepin-8-yl ester (9CI) (CA INDEX NAME)



RN 250689-80-6 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine-8-carbonitrile, 2,3-dimethyl-6-phenyl- (9CI) (CA INDEX NAME)



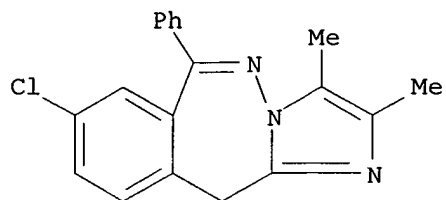
IT 250689-75-9P 250689-76-0P 250689-78-2P
 250689-79-3P 250689-81-7P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 2,3-benzodiazepine-deriv. AMPA receptor antagonists)
 RN 250689-75-9 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-bromo-2,3-dimethyl-6-phenyl- (9CI) (CA INDEX NAME)



09/882,843

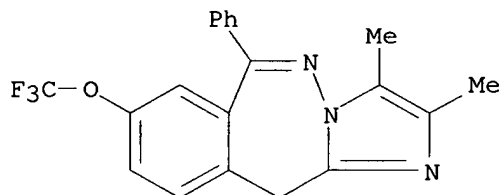
RN 250689-76-0 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-2,3-dimethyl-6-phenyl-
(9CI) (CA INDEX NAME)



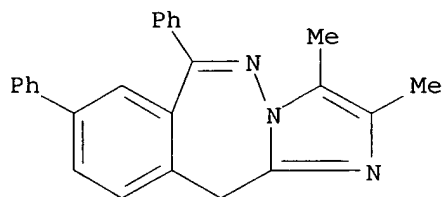
RN 250689-78-2 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 2,3-dimethyl-6-phenyl-8-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



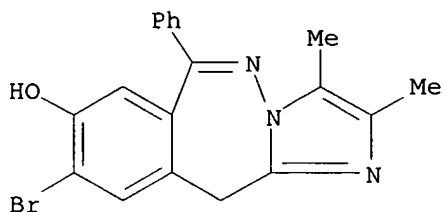
RN 250689-79-3 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 2,3-dimethyl-6,8-diphenyl- (9CI)
(CA INDEX NAME)



RN 250689-81-7 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepin-8-ol, 9-bromo-2,3-dimethyl-6-phenyl-
(9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~IS9~~ ANSWER 17 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:640117 CAPLUS

~~DN~~ 131:317269

TI Determination of new 2,3-benzodiazepines in rat plasma using high-performance liquid chromatography with ultraviolet detection

AU Rizzo, Milena; De Sarro, Giovambattista; Zappala, Maria; Chimirri, Alba

CS School of Pharmacy at Catanzaro, University of Catanzaro, Catanzaro, 88021, Italy

SO Journal of Chromatography, B: Biomedical Sciences and Applications (1999), 731(2), 207-215

CODEN: JCBBEP; ISSN: 0378-4347

PB Elsevier Science B.V.

DT Journal

LA English

AB A method for the anal. of [1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-4H-2,3-benzodiazepin-4-one] (CFM-2) and its analogs CFM-3, CFM-4 and CFM-5 in rat plasma was developed. The 2,3-benzodiazepines (2,3-BZs) were extd. by liq.-liq. extn. and analyzed using high-performance liq. chromatog. (HPLC) with UV detection (UV) at 240 nm. The method exhibited a large linear range from 0.05 to 2 .mu.g/mL with an intra-assay accuracy for all studied compds. ranging from 92 to 105.5%; whereas the intra-assay precision ranged from 0.59 to 8.16% in rat plasma. The inter-assay accuracy of CFM-2, CFM-4 and their 3-Me derivs., CFM-3 and CFM-5 ranged from 92.2 to 107% and the inter-assay precision ranged from 2.17 to 11.9% in rat plasma. The lower limit of detection was 5.5 ng/mL for CFM-2, 6.5 ng/mL for CFM-3, 7 ng/mL for CFM-4 and 8.5 ng/mL for CFM-5 in rat plasma. The pharmacokinetic study demonstrated that 2,3-BZs achieved a peak plasma concn. between 45 and 75 min after drug administration. Moreover, we obsd. that plasma chromatograms of rats treated with CFM-3, CFM-4 and CFM-5, resp., showed a peak consistent with CFM-2. Our study suggests that CFM-4, CFM-5 and CFM-3 are prodrugs of CFM-2, in which they are biotransformed in vivo via different metabolic pathways. In particular, CFM-2 has been proven to possess anticonvulsant activity in various models of seizures, acting as .alpha.-amino-3-hydroxy-5-methyl-isoxazole-4-propionate (AMPA) receptor antagonist.

IT 178616-26-7, CFM 2 187940-12-1 187940-25-6

187940-29-0

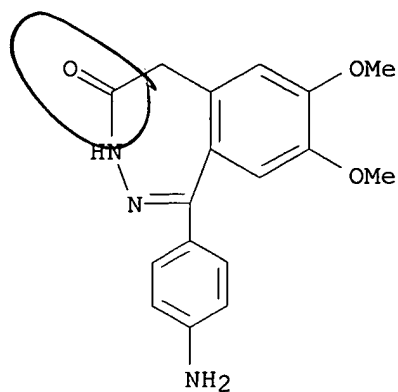
RL: ANT (Analyte); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process)

(detn. of 2,3-benzodiazepines in rat plasma using HPLC with UV detection)

RN 178616-26-7 CAPLUS

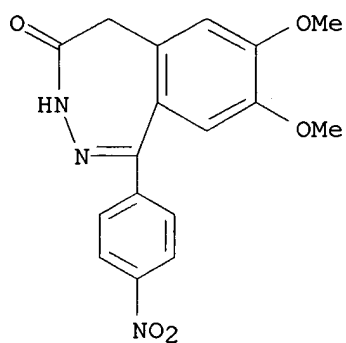
CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-(9CI) (CA INDEX NAME)

09/882,843



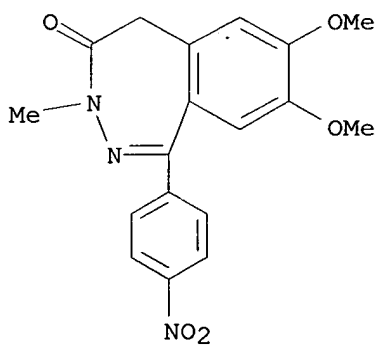
RN 187940-12-1 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



RN 187940-25-6 CAPLUS

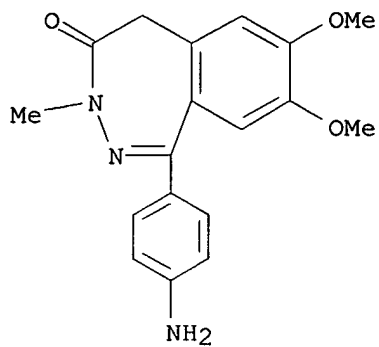
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 187940-29-0 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-3-methyl- (9CI) (CA INDEX NAME)

09/882,843



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/882,843

~~L39~~ ANSWER 18 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:595063 CAPLUS

~~DN~~ 132:119344

~~TI~~ [3H]-Girisopam, a novel selective benzodiazepine for the
2,3-benzodiazepine binding site

~~AU~~ Horvath, Edit J.; Salamon, Cecilia; Bakonyi, Anna; Fekete, Marton I. K.;
Palkovits, Miklos

~~CS~~ Institute for Drug Research, Budapest, H-1325, Hung.

~~SO~~ Brain Research Protocols (1999), 4(2), 230-235

CODEN: BRPRFP; ISSN: 1385-299X

~~PB~~ Elsevier Science B.V.

~~DT~~ Journal

~~LA~~ English

~~AB~~ Several members of the 2,3-benzodiazepine family, such as tofisopam
(Grandaxin) nerisopam (GYKI-52 322) or girisopam (GYKI-51 189) proved
anxiolytic in man and various animal models. Moreover, girisopam could
also be characterized as an atypical neuroleptic agent. In spite of the
structural similarity, their pharmacol. profiles differ significantly from
that of the "classical" 1,4-benzodiazepines. Importantly, according to
the data obtained so far these drugs do not have an addiction potential.
The novel 2,3-benzodiazepine antagonist girisopam binds with high affinity
($K_d = 10.3 \pm 1.21$ nM) and limited capacity ($B_{max} = 6.94 \pm 1.8$
pmol/mg protein) to a single class of recognition sites in rat striatum.
This protocol describes the use of [3H]-girisopam as a specific
radioligand for the 2,3-benzodiazepines receptor.

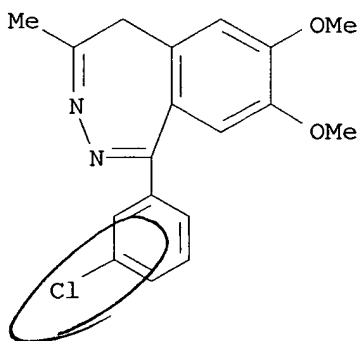
~~IT~~ 256459-79-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)

([3H]-girisopam: selective radioligand for benzodiazepine receptors)

~~RN~~ 256459-79-7 CAPLUS

~~CN~~ 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl-, labeled
with tritium (9CI) (CA INDEX NAME)



RE.CNT 12

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L89 ANSWER 19 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1999:524161 CAPLUS

DN 131:281383

TI Anticonvulsant activity and plasma level of 2,3-benzodiazepin-4-ones (CFMs) in genetically epilepsy-prone rats

AU De Sarro, Giovambattista; Rizzo, Milena; Spagnolo, Cinzia; Gitto, Rosaria; De Sarro, Angela; Scotto, Gisella; Zappala, Maria; Chimirri, Alba

CS Department of Experimental and Clinical Medicine, Chair of Pharmacology, Messina, Italy

SO Pharmacology, Biochemistry and Behavior (1999), 63(4), 621-627
CODEN: PBBHAU; ISSN: 0091-3057

PB Elsevier Science Inc.

DT Journal

LA English

AB Anticonvulsant properties of some 2,3-benzodiazepine derivs. acting as .alpha.-amino-3-hydroxy-5-methyl-isoxazole-4-propionic acid (AMPA) antagonists have been examd. in vivo in the genetically epilepsy-prone rats using an audiogenic seizures assay. 2,3-Benzodiazepin-4-ones (CFMs) are nonselective AMPA antagonists that have been found to be potent anticonvulsant compd. is in acute models of epilepsy. Because very little is known about their actions in a chronic model of epilepsy, and no correlations exist between anticonvulsant potency and plasma levels of these derivs., we planned to investigate such a relationship. Maximal anticonvulsant protection occurred 15-60 min after the IP administration of GYKI 52466, 30-90 min after CFM-2, and 45-120 min after CFM-3. In addn., maximal anticonvulsant effect was obsd. 60-120 min after the IP administration of CFM-4 and at 90 min after CFM-5. The therapeutic index revealed that GYKI 52466 was slightly more toxic than CFM-2 and CFM-3. The time course of plasma levels of rats treated showed that peak plasma concn. was obsd. 45 min after IP administration of CFM-2 and CFM-3 and 75 min after CFM-4 and CFM-5. Following IP administration of CFM-3 two curves were detected, one is referred to the injected compd., and the other to its demethylated metabolite, which corresponds to CFM-2. Also, for the nitroderivative CFM-4 two curves were detected: one of an injected compd. and the second due to its reduced metabolite (CFM-2). Finally, three different metabolites were detected in rat plasma after IP administration of CFM-5. The present study demonstrated that CFMs showed a significant protection against auditory stimulation during the period of peak plasma concns. suggesting a marked inhibition of those brain structures involved in the initiation and/or spreading of the audiogenic seizures.

IT 178616-26-7, CFM 2 187940-12-1, CFM-4

187940-25-6, CFM-5 187940-29-0, CFM-3

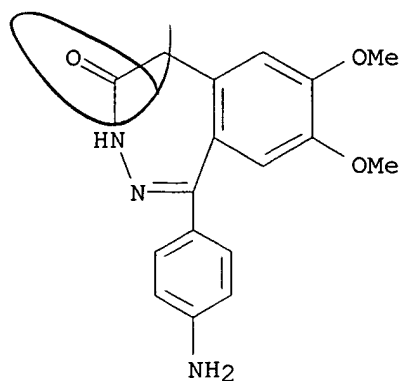
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(anticonvulsant activity and plasma level of 2,3-benzodiazepin-4-ones and protection against audiogenic seizures)

RN 178616-26-7 CAPLUS

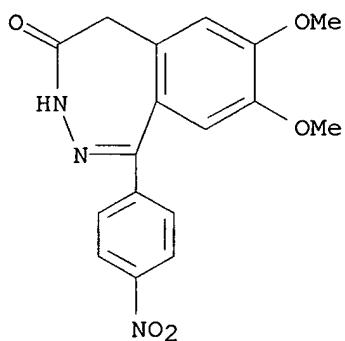
CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-(9CI) (CA INDEX NAME)

09/882,843



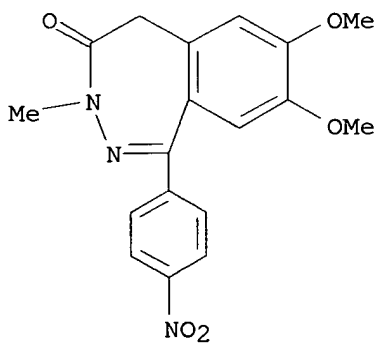
RN 187940-12-1 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



RN 187940-25-6 CAPLUS

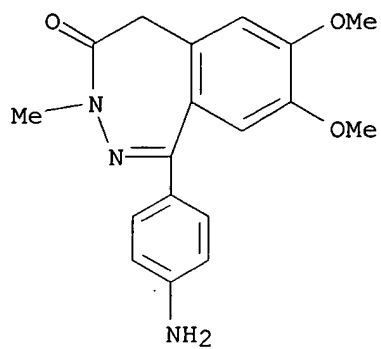
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 187940-29-0 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-3-methyl- (9CI) (CA INDEX NAME)

09/882,843



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~L39~~ ANSWER 20 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1999:425078 CAPLUS

DN 131:208510

TI Determination of 2,3-benzodiazepine derivatives in rat plasma by high-performance liquid chromatography

AU Rizzo, M.; De Sarro, G.; Gitto, R.; Zappala, M.; Chimirri, A.

CS School of Pharmacy, Chair of Chemistry, University of Catanzaro, Catanzaro, 88021, Italy

SO Journal of Chromatography, A (1999), 846(1 + 2), 165-168

CODEN: JCRAEY; ISSN: 0021-9673

PB Elsevier Science B.V.

DT Journal

LA English

AB A simple HPLC method with UV detection at 240 nm is described for detn. of a novel AMPA/kainate antagonist, 1-(4'-aminophenyl)-3,5-dihydro-7,8-dimethoxy-2,3-benzodiazepine (2,3-BZ 6), and three of its derivs. in rat plasma. The procedure involves a fast extn. of the drugs from plasma spiked with an internal std. The samples are applied to a preppacked glass column and the drugs are eluted with EtOAc. A linear response was obsd. over the concn. range examd. The lower limit of detection of 2,3-BZ 6 was 5.5 ng/mL. The assay was used to det. the time course of the plasma concns. of these 2,3-benzodiazepine derivs. in rats.

IT **178616-26-7 187940-12-1 187940-25-6**

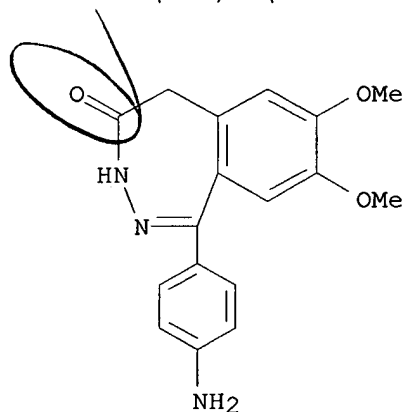
187940-29-0

RL: ANT (Analyte); ANST (Analytical study)

(detn. of benzodiazepine derivs. in plasma by HPLC)

RN 178616-26-7 CAPLUS

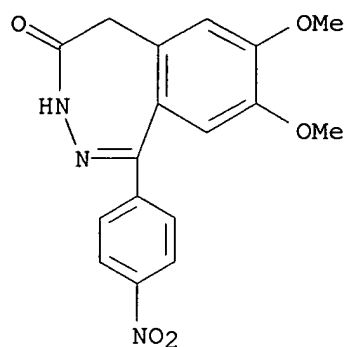
CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-(9CI) (CA INDEX NAME)



RN 187940-12-1 CAPLUS

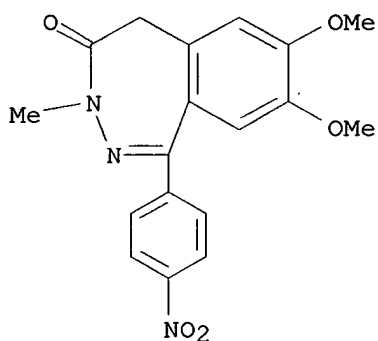
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-(4-nitrophenyl)-(9CI) (CA INDEX NAME)

09/882,843



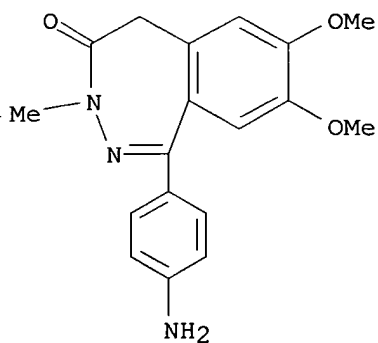
RN 187940-25-6 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 187940-29-0 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-3-methyl- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/882,843

~~DS~~ 9 ANSWER 21 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:382795 CAPLUS

~~DN~~ 131:129980

~~TI~~ Synthesis and structural features of 11H-tetrazolo[1,5-c][2,3]benzodiazepines

~~AU~~ Chimirri, Alba; Zappala, Maria; Gitto, Rosaria; Quartarone, Silvana; Bevacqua, Francesca

~~CS~~ Dipartimento Farmaco-Chimico, Universita di Messina, Messina, 98168, Italy

~~SO~~ Heterocycles (1999), 51(6), 1303-1309

~~CODEN:~~ HTCYAM; ISSN: 0385-5414

~~PB~~ Japan Institute of Heterocyclic Chemistry

~~DT~~ Journal

~~LA~~ English

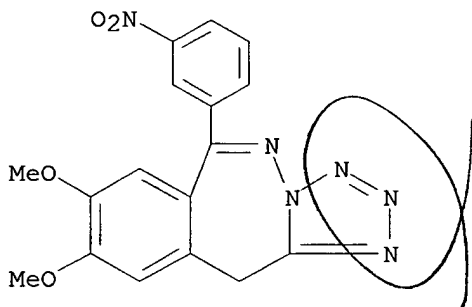
~~AB~~ A synthetic approach to new 11H-tetrazolo[1,5-c][2,3]benzodiazepine derivs. starting from 3,5-dihydro-4H-2,3-benzodiazepin-4-ones is described. The structural features of compds. obtained were ascertained by NMR spectroscopy. The proton and carbon assignments were made with the aid of two-dimensional heteronuclear chem. shift-correlation expts.

~~IT~~ 234451-67-3P 234451-68-4P

~~RL:~~ BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn. of tetrazolobenzodiazepines)

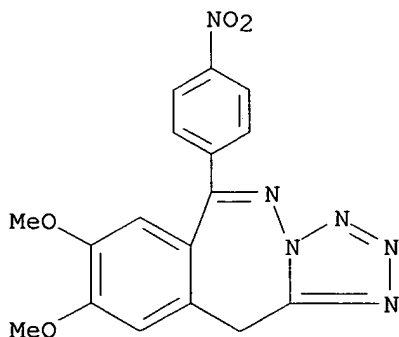
~~RN~~ 234451-67-3 CAPLUS

~~CN~~ 11H-Tetrazolo[1,5-c][2,3]benzodiazepine, 8,9-dimethoxy-6-(3-nitrophenyl)-(9CI) (CA INDEX NAME)



~~RN~~ 234451-68-4 CAPLUS

~~CN~~ 11H-Tetrazolo[1,5-c][2,3]benzodiazepine, 8,9-dimethoxy-6-(4-nitrophenyl)-(9CI) (CA INDEX NAME)



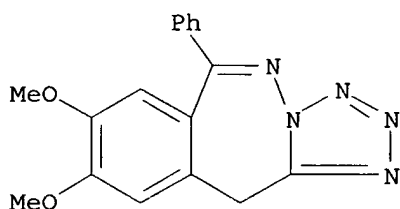
IT 234451-65-1P 234451-69-5P 234451-70-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of tetrazolobenzodiazepines)

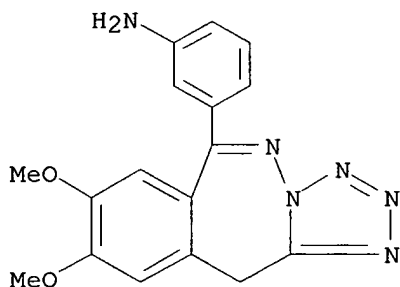
RN 234451-65-1 CAPLUS

CN 11H-Tetrazolo[1,5-c][2,3]benzodiazepine, 8,9-dimethoxy-6-phenyl- (9CI)
(CA INDEX NAME)



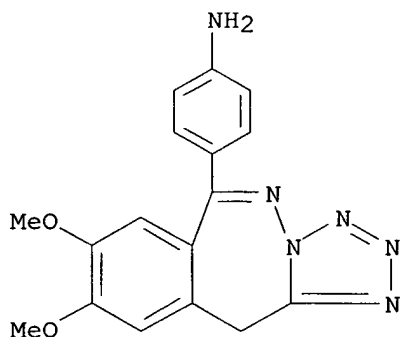
RN 234451-69-5 CAPLUS

CN Benzenamine, 3-(8,9-dimethoxy-11H-tetrazolo[1,5-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



RN 234451-70-8 CAPLUS

CN Benzenamine, 4-(8,9-dimethoxy-11H-tetrazolo[1,5-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



IT 213385-71-8 213385-74-1 213385-75-2

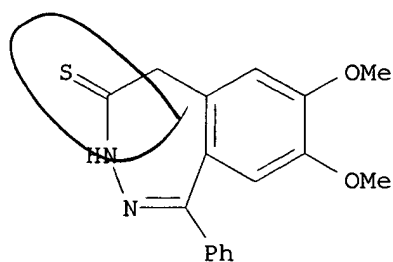
RL: RCT (Reactant); RACT (Reactant or reagent)

09/882,843

(prepn. of tetrazolobenzodiazepines)

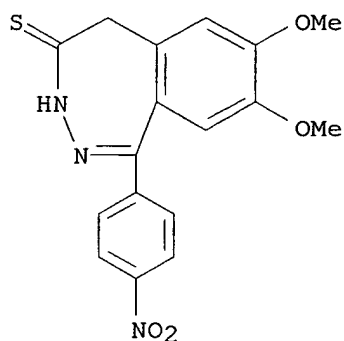
RN 213385-71-8 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI)
(CA INDEX NAME)



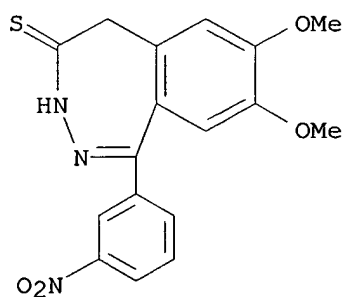
RN 213385-74-1 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 3,5-dihydro-7,8-dimethoxy-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 213385-75-2 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 3,5-dihydro-7,8-dimethoxy-1-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/882,843

LS9 ANSWER 22 OF 80 CAPLUS COPYRIGHT 2002 ACS

AM 1999:368952 CAPLUS

DN 131:138934

TI Synthesis and anticonvulsant activity of new 11H-triazolo[4,5-c][2,3]benzodiazepines

AU Chimirri, Alba; Bevacqua, Francesca; Gitto, Rosaria; Quartarone, Silvana; Zappala, Maria; De Sarro, Angela; Maciocco, Lisa; Biggio, Giovanni; De Sarro, Giovambattista

CS Dipartimento Farmaco-Chimico, Universita degli Studi di Messina, Messina, 98168, Italy

SO Medicinal Chemistry Research (1999), 9(3), 203-212

CODEN: MCREEB; ISSN: 1054-2523

PB Birkhaeuser Boston

DT Journal

LA English

AB Starting from the corresponding 3,5-dihydro-4H-2,3-benzodiazepin-4-ones, new 11H-triazolo[4,5-c]-[2,3]benzodiazepines were synthesized and tested for anticonvulsant activity. Seizures were evoked both by auditory stimulation in DBA/2 mice and by pentylenetetrazole or maximal electroshock in Swiss mice. The pharmacol. results revealed that the new tricyclic derivs. show less activity than their precursors.

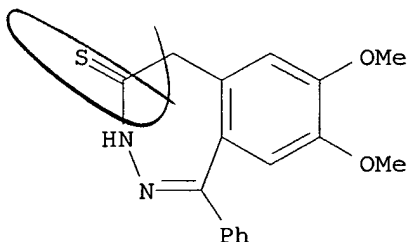
IT 213385-71-8P 213385-74-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; synthesis and anticonvulsant activity of new 11H-triazolo[c][2,3]benzodiazepines in relation to structure)

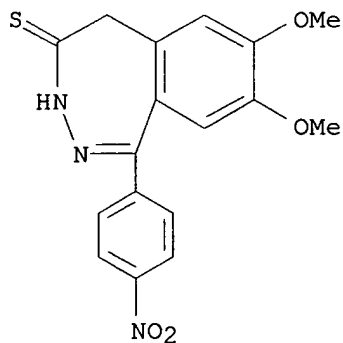
RN 213385-71-8 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA INDEX NAME)



RN 213385-74-1 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 3,5-dihydro-7,8-dimethoxy-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

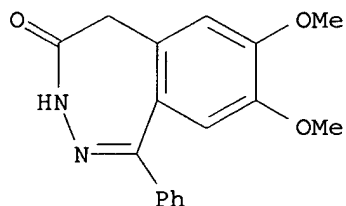


IT 41148-42-9 187940-12-1

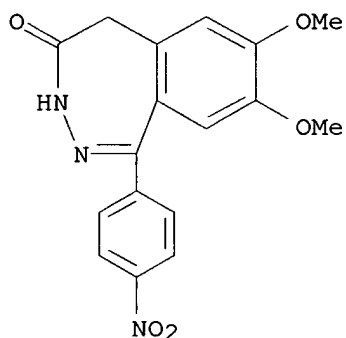
RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; synthesis and anticonvulsant activity of new
11H-triazolo[c][2,3]benzodiazepines in relation to structure)

RN 41148-42-9 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA
INDEX NAME)

RN 187940-12-1 CAPLUS

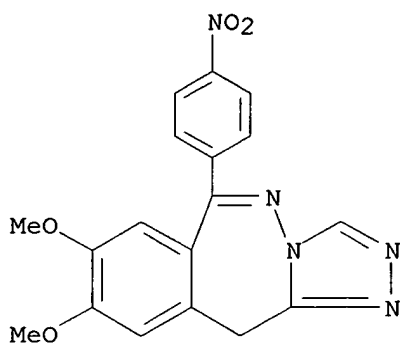
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)

IT 236109-54-9P 236109-55-0P 236109-56-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)(synthesis and anticonvulsant activity of new 11H-
triazolo[c][2,3]benzodiazepines in relation to structure)

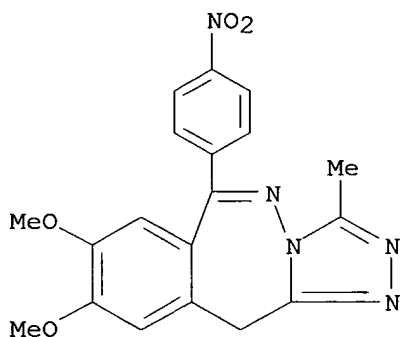
RN 236109-54-9 CAPLUS

CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 8,9-dimethoxy-6-(4-
nitrophenyl)- (9CI) (CA INDEX NAME)



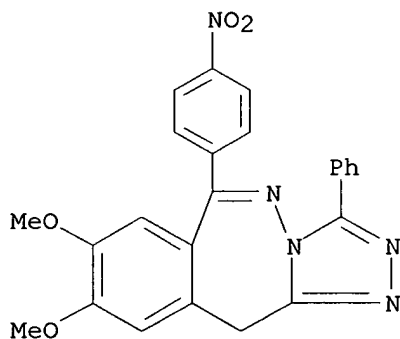
RN 236109-55-0 CAPLUS

CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 8,9-dimethoxy-3-methyl-6-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 236109-56-1 CAPLUS

CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 8,9-dimethoxy-6-(4-nitrophenyl)-3-phenyl- (9CI) (CA INDEX NAME)



IT 236109-51-6P 236109-52-7P 236109-53-8P

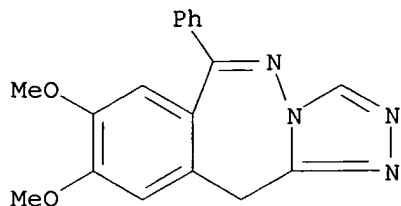
236109-57-2P 236109-58-3P 236109-59-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and anticonvulsant activity of new 11H-triazolo[c][2,3]benzodiazepines in relation to structure)

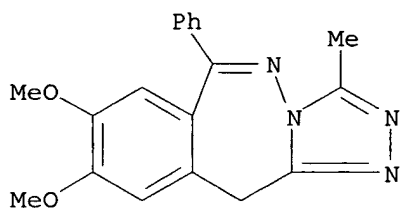
RN 236109-51-6 CAPLUS

CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 8,9-dimethoxy-6-phenyl- (9CI) (CA INDEX NAME)



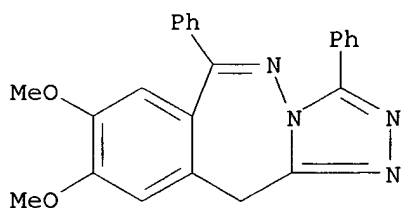
RN 236109-52-7 CAPLUS

CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 8,9-dimethoxy-3-methyl-6-phenyl- (9CI) (CA INDEX NAME)



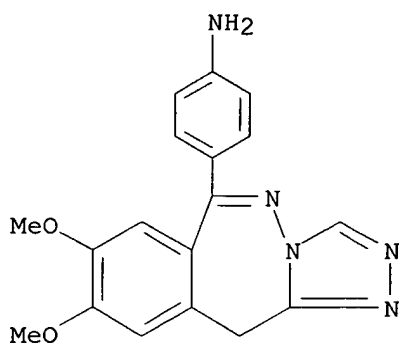
RN 236109-53-8 CAPLUS

CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 8,9-dimethoxy-3,6-diphenyl- (9CI) (CA INDEX NAME)



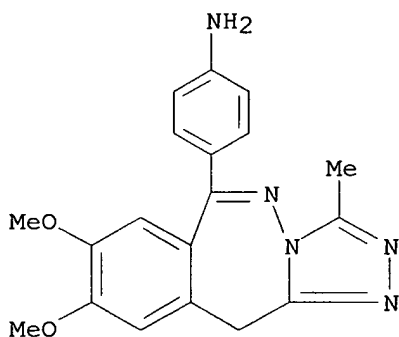
RN 236109-57-2 CAPLUS

CN Benzenamine, 4-(8,9-dimethoxy-11H-1,2,4-triazolo[4,3-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



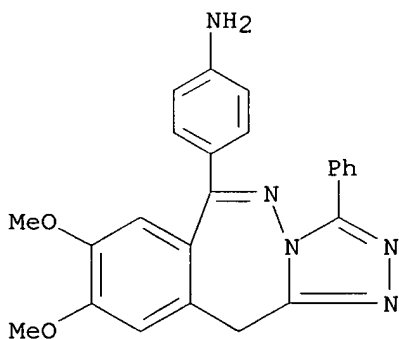
RN 236109-58-3 CAPLUS

CN Benzenamine, 4-(8,9-dimethoxy-3-methyl-11H-1,2,4-triazolo[4,3-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



RN 236109-59-4 CAPLUS

CN Benzenamine, 4-(8,9-dimethoxy-3-phenyl-11H-1,2,4-triazolo[4,3-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/882,843

L39 ANSWER 23 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1999:294531 CAPLUS

Correction of: 1998:55272

DN 130:291030

Correction of: 128:212574

TI High-performance liquid chromatographic determination of new
2,3-benzodiazepines

AU Rizzo, Milena; Sinopoli, Vincenzo Antonio; Gitto, Rosaria; Zappala, Maria;
De Sarro, Giovambattista; Chimirri, Alba

CS School of Pharmacy at Catanzaro, University of Reggio Calabria, Catanzaro,
88021, Italy

SO Journal of Chromatography, B: Biomedical Sciences and Applications (1998),
705(1), 149-153

CODEN: JCBBEP; ISSN: 0378-4347

PB Elsevier Science B.V.

DT Journal

LA English

AB A simple high-performance liq. chromatog. assay with UV detection at 254
nm for simultaneous detn. of 2,3-benzodiazepine derivs. (2,3-BZ2 and
2,3-BZ2Me) and their metabolites in rat plasma is described. The
procedure involves a fast extn. of the drugs from the buffered sample
using methanol. The ext. is evapd. to dryness at 45.degree.C and the
residue is redissolved in methanol (twice). A 20-.mu.l aliquot is
injected into the liq. chromatograph and eluted with methanol-water
(65:35, vol./vol.) on a C18 reversed-phase column. At a flow-rate of 1.5
mL/min the detection time was 3.1 min for 2,3-BZ2, 5.06 min for 2,3-BZ2Me
and 10.9 min for prazepam, used as internal std. for the quantification of
the studied compds. The method has been used to investigate the
steady-state concns. of two 2,3-benzodiazepine derivs. in Sprague-Dawley
rat plasma.

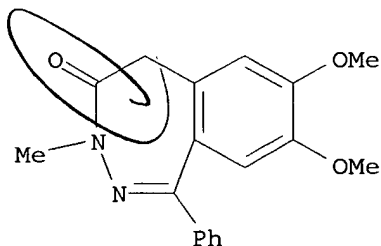
IT 41148-41-8 41148-42-9 187940-31-4

RL: ANT (Analyte); ANST (Analytical study)

(HPLC detn. of new 2,3-benzodiazepines)

RN 41148-41-8 CAPLUS

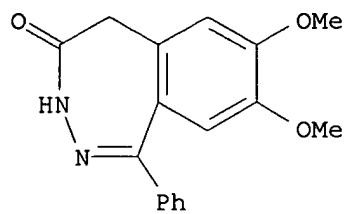
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-phenyl-
(9CI) (CA INDEX NAME)



RN 41148-42-9 CAPLUS

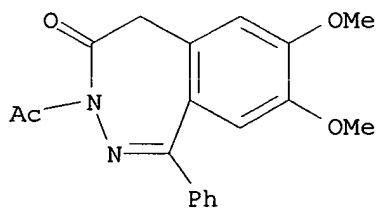
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA
INDEX NAME)

09/882,843



RN 187940-31-4 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3-acetyl-3,5-dihydro-7,8-dimethoxy-1-phenyl-
(9CI) (CA INDEX NAME)



LS9 ANSWER 24 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1999:231195 CAPLUS

DN 130:267459

TI Substituted 2,3-benzodiazepin-4-ones and the use thereof

IN Xia, Haiji; Cai, Sui Xiong; Field, George; Lan, Nancy C.; Wang, Yan

PA CoCensys, Inc., USA

SO U.S., 24 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5891871	A	19990406	US 1997-821638	19970320
OS	MARPAT 130:267459				

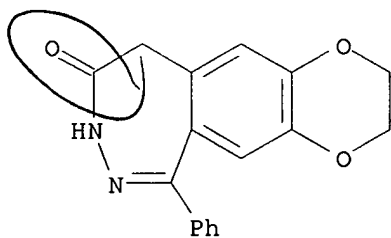
AB The invention relates to substituted 2,3-benzodiazepin-4-ones which are antagonists or pos. modulators of AMPA receptors, and the use thereof for treating, preventing or ameliorating neuronal loss assocd. with stroke, global and focal ischemia, CNS trauma, hypoglycemia and surgery, as well as treating or ameliorating neurodegenerative diseases including Alzheimer's disease, amyotrophic lateral sclerosis, Huntington's disease, Parkinson's disease and Down's syndrome, treating, preventing or ameliorating the adverse consequences of the overstimulation of the excitatory amino acids, treating or ameliorating anxiety, psychosis, convulsions, chronic pain, glaucoma, CMV retinitis, urinary incontinence, muscular spasm and inducing anesthesia, as well as for treating or ameliorating the adverse consequences of excitatory amino acid deficiency such as schizophrenia, Alzheimer's disease and malnutrition and neural maldevelopment, and as cognition enhancers. The invention also is directed to the process for the prepn. of the substituted 2,3-benzodiazepin-4-ones. Thus, Me 4,5-methylenedioxy-2-(4-nitrobenzoyl)phenylacetate was cyclized with hydrazine to give 47% 7,8-methylenedioxy-1-(4-nitrophenyl)-3,5-dihydro-2,3-benzodiazepin-4(4H)-one, which was hydrogenated to give 73% 1-(4-aminophenyl)-7,8-methylenedioxy-3,5-dihydro-2,3-benzodiazepin-4(4H)-one which was derivatized, halogenated, acetylated, etc., to give approx. 70 title compds.

IT 197369-03-2P 197369-04-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and AMPA receptor activity of 2,3-benzodiazepin-4-ones)

RN 197369-03-2 CAPLUS

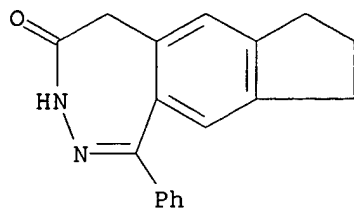
CN 9H-1,4-Dioxino[2,3-h][2,3]benzodiazepin-9-one, 2,3,8,10-tetrahydro-6-phenyl- (9CI) (CA INDEX NAME)



RN 197369-04-3 CAPLUS

CN Indeno[5,6-d][1,2]diazepin-4(3H)-one, 5,7,8,9-tetrahydro-1-phenyl- (9CI)
(CA INDEX NAME)

09/882,843



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

189 ANSWER 25 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1999:167153 CAPLUS

DN 131:13794

TI Effects of some AMPA receptor antagonists on the development of tolerance in epilepsy-prone rats and in pentylenetetrazole kindled rats

AU De Sarro, Giovambattista; Di Paola, Eugenio Donato; Gareri, Pietro; Gallelli, Luca; Scotto, Gisella; De Sarro, Angela

CS Faculty of Medicine, Department of Experimental Medicine, University of Catanzaro, Italy

SO European Journal of Pharmacology (1999), 368(2/3), 149-159
CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier Science B.V.

DT Journal

LA English

AB The non-selective .alpha.-amino-3-hydroxy-5-methyl-isoxazole-4-propionic acid (AMPA) receptor antagonists, 2,3-benzodiazepine derivs. CFM-1 (3,5-dihydro-7,8-dimethoxy-1-phenyl-4H-2,3-benzodiazepin-4-one) and CFM-2 (1-(4'-aminophenyl)-3,5-dihydro-7,8-dimethoxy-4H-2,3-benzodiazepin-4-one), following i.p. administration, were studied against audiogenic seizures in genetically epilepsy-prone rats (GEPRs) or pentylenetetrazole induced kindling in rats. After acute i.p. administration the ED50 values of CFM-1 against the clonic and tonic phases of the audiogenic seizures 30 min after pretreatment were 40 (16-100) and 13 (8-25) .mu.mol kg-1, resp. The animals used for chronic study were treated i.p. daily (at 10 h) for 4 wk with CFM-1 (20 or 50 .mu.mol kg-1). Chronic treatment for 2 wk with CFM-1 gave ED50 values against clonic and tonic seizures of 39 (22-69) and 16 (8-25) .mu.mol kg-1, resp., whereas chronic treatment for 4 wk gave ED50 values against clonic and tonic seizures of 42 (18-98) and 17 (7-41.3) .mu.mol kg-1, resp. The duration of anticonvulsant activity obsd. between 0.5 and 4 h following administration of CFM-1 was similar for acute and chronic treatment. Two groups of Sprague-Dawley rats received CFM (20 or 50 .mu.mol kg-1) 30 min before a subconvulsant dose of pentylenetetrazole (25 mg kg-1 i.p.) which is able to increase seizure severity in control animals (i.e., chem. kindling). Pretreatment with CFM-2 delayed the progression of seizure rank during repeated administration of pentylenetetrazole. At the end of the period of repeated pentylenetetrazole treatment (6 wk) the mean seizure score was 0 in vehicle treated controls, 4.3 in animals treated with vehicle+pentylenetetrazole, 2.2 in rats treated chronically with CFM-2 (20 .mu.mol kg-1 i.p.)+pentylenetetrazole and 1.0 in rats treated repeatedly with CFM-2 (50 .mu.mol kg-1 i.p.)+pentylenetetrazole. CFM-2 was also able to antagonize the long-term increase in sensitivity of the convulsant effects of GABA function inhibitors in pentylenetetrazole-kindled animals. Thus, the administration of a challenge dose of pentylenetetrazole (15 mg kg-1 i.p.) or picrotoxin (1.5 mg kg-1 i.p.) 15 or 30 days after the end of the repeated treatment showed that animals treated with CFM-2 were significantly protected against seizures induced by pentylenetetrazole or picrotoxin. The data suggest that, following repeated treatment, tolerance to the novel AMPA receptor antagonists does not develop (CFM-1 in genetically epilepsy-prone rats and CFM-2 in the pentylenetetrazole kindling model of epilepsy). Thirteen minutes after drug injection on days 1, 14 and 28 of chronic treatment the motor impairment induced by these compds. was studied with a rotarod app. The TD50 values for CFM-1 or CFM-2-induced impairment of locomotor performance were similar following acute and repeated treatment. The data also suggest that some novel 2,3-benzodiazepines may have clin. potential for some types of epilepsy.

IT 41148-42-9, CFM 1 178616-26-7, CFM 2

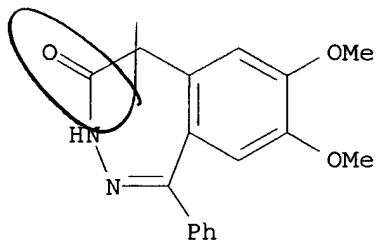
09/882,843

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(effects of 2,3-benzodiazepine AMPA receptor antagonists on development of tolerance to anticonvulsant activity in epilepsy-prone rats and in pentylenetetrazole kindled rats)

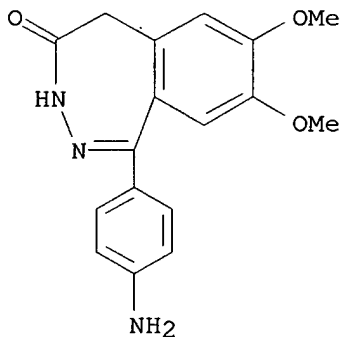
RN 41148-42-9 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA INDEX NAME)



RN 178616-26-7 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)



RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~DS9~~ ANSWER 26 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:113684 CAPLUS

~~DN~~ 130:168401

TI New 2,3-benzodiazepine derivatives

IN Abraham, Gizella; Csuzdi, Emese; Solyom, Sandor; Berzsenyi, Pal; Tarnawa, Istvan; Andrasi, Ferenc; Ling, Istvan; Hamori, Tamas; Horvath, Katalin; Moravcsik, Imre; Pallagi, Istvan; Simay, Antal

PA Gyogyszerkutato Intezet Kft., Hung.

SO PCT Int. Appl., 38 pp.

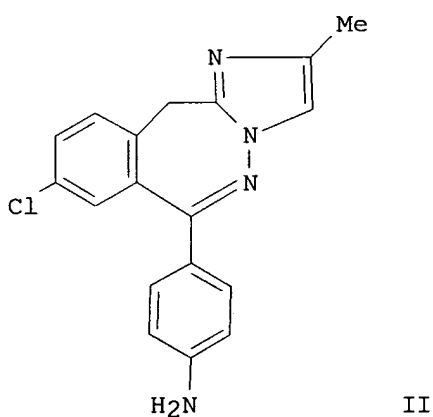
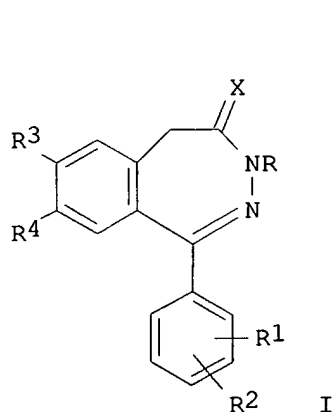
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9906408	A1	19990211	WO 1998-HU71	19980727
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9885540	A1	19990222	AU 1998-85540	19980727
	AU 741162	B2	20011122		
	EP 1001956	A1	20000524	EP 1998-936578	19980727
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
	JP 2001512124	T2	20010821	JP 2000-505166	19980727
PRAI	HU 1997-1325	A	19970731		
	WO 1998-HU71	W	19980727		
OS	MARPAT 130:168401				
GI					



AB The invention relates to new tricyclic 2,3-benzodiazepine derivs. I [R1, R2 = H, halogen, alkyl, alkoxy, NO2, CF3, (un)substituted NH2; R3 = H, Cl; R4 = Cl, Br; XR = (un)substituted NCH:CH, NN:CH, CHN:CH, CHCH:CH]. The

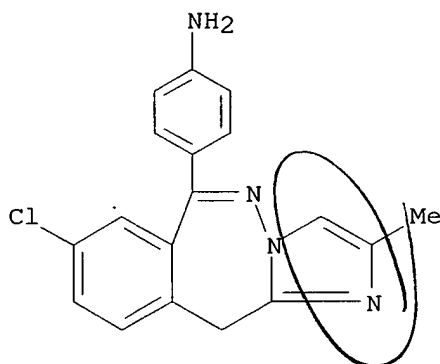
comps. are suitable for treating conditions assocd. with muscle spasms, epilepsy as well as acute and chronic forms of neurodegenerative diseases. Thus, imidazobenzodiazepine II was prepd. by treating 8-chloro-1-(4-nitrophenyl)-3H-4,5-dihydro-2,3-benzodiazepine-4-thione with 2-(1-aminoethyl)-1,3-dioxolane and redn. of the nitro group. II had an ED50 of 54 mg/kg orally in mice in the pentetrazole test and an ED50 of 16 mg/kg i.p. in the rotarod test in mice.

IT **220445-20-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of azolobenzodiazepines as anticonvulsants and muscle relaxants)

RN 220445-20-5 CAPLUS

CN Benzenamine, 4-(8-chloro-2-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)

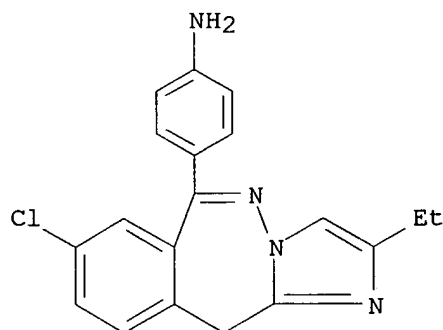


IT **220445-23-8P 220445-30-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azolobenzodiazepines as anticonvulsants and muscle relaxants)

RN 220445-23-8 CAPLUS

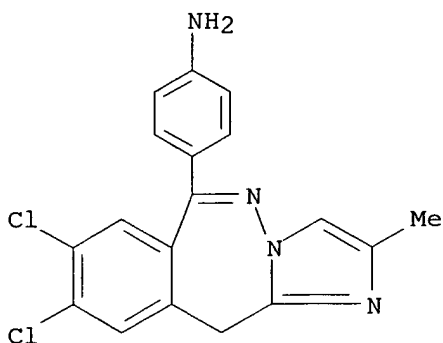
CN Benzenamine, 4-(8-chloro-2-ethyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



09/882,843

RN 220445-30-7 CAPLUS

CN Benzenamine, 4-(8,9-dichloro-2-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)

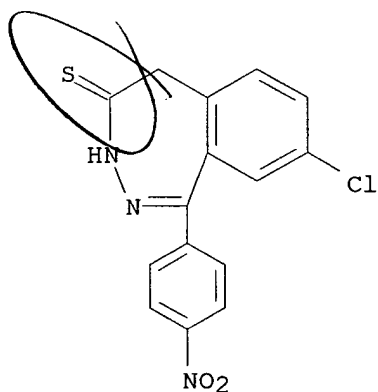


IT 220444-98-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of azolobenzodiazepines as anticonvulsants and muscle relaxants)

RN 220444-98-4 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 8-chloro-3,5-dihydro-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



IT 200419-71-2P 220444-91-7P 220444-92-8P

220444-93-9P 220444-94-0P 220444-95-1P

220444-96-2P 220444-97-3P 220445-01-2P

220445-02-3P 220445-03-4P 220445-04-5P

220445-05-6P 220445-06-7P 220445-09-0P

220445-10-3P 220445-11-4P 220445-12-5P

220445-15-8P 220445-16-9P 220445-32-9P

220445-33-0P 220445-34-1P 220445-35-2P

220445-36-3P 220445-43-2P 220445-45-4P

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220445-49-8P 220445-50-1P 220445-52-3P

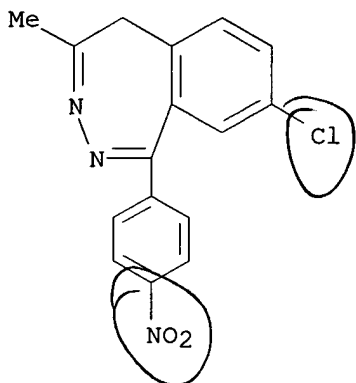
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of azolobenzodiazepines as anticonvulsants and muscle relaxants)

RN 200419-71-2 CAPLUS

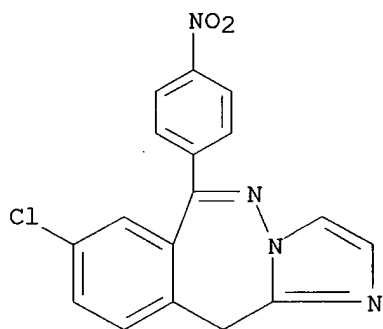
09/882,843

CN 5H-2,3-Benzodiazepine, 8-chloro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 220444-91-7 CAPLUS

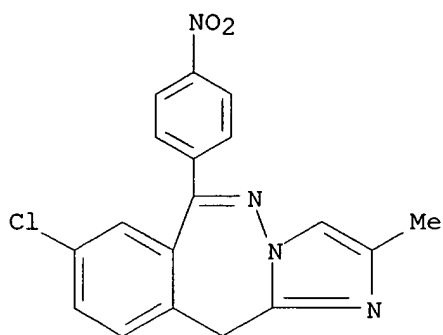
CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

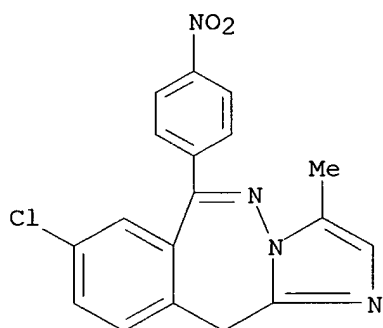
RN 220444-92-8 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-2-methyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



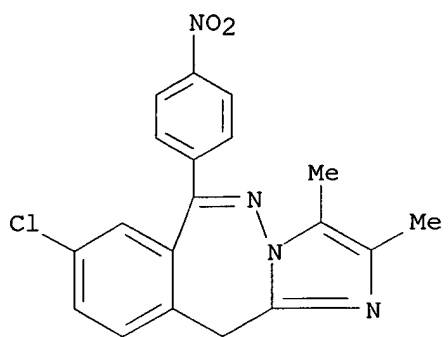
● HCl

RN 220444-93-9 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-3-methyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



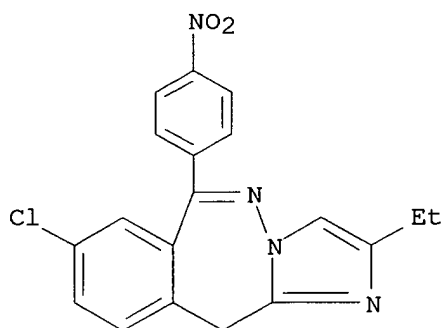
● HCl

RN 220444-94-0 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-2,3-dimethyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



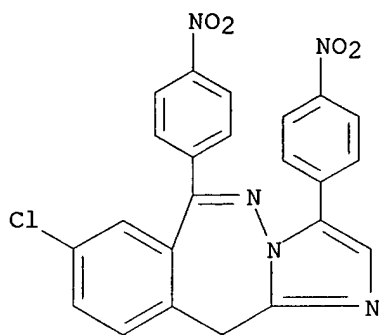
● HCl

RN 220444-95-1 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-2-ethyl-6-(4-nitrophenyl)-
 , monohydrochloride (9CI) (CA INDEX NAME)



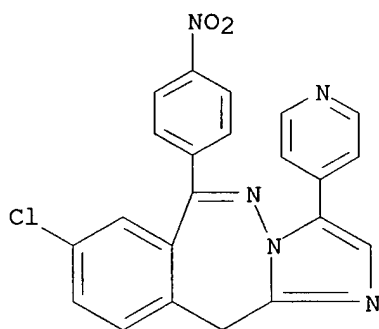
● HCl

RN 220444-96-2 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-3,6-bis(4-nitrophenyl)-
 (9CI) (CA INDEX NAME)



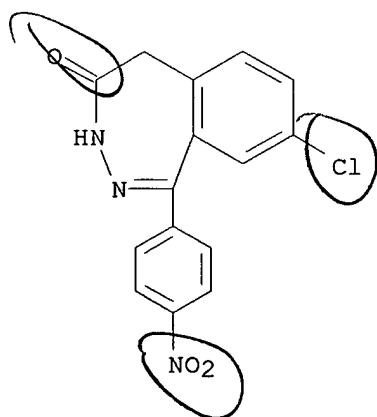
RN 220444-97-3 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-6-(4-nitrophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 220445-01-2 CAPLUS

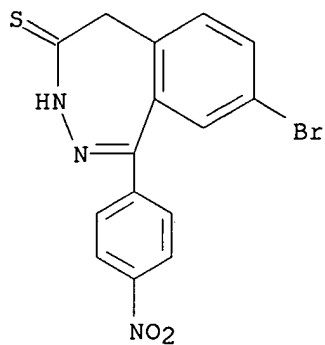
CN 4H-2,3-Benzodiazepin-4-one, 8-chloro-3,5-dihydro-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 220445-02-3 CAPLUS

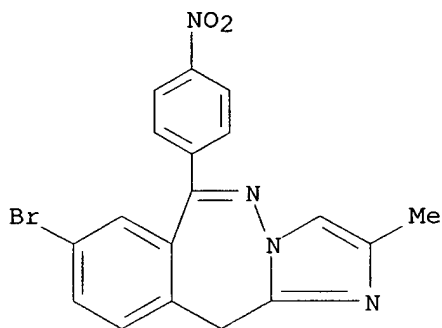
CN 4H-2,3-Benzodiazepine-4-thione, 8-bromo-3,5-dihydro-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

09/882,843



RN 220445-03-4 CAPLUS

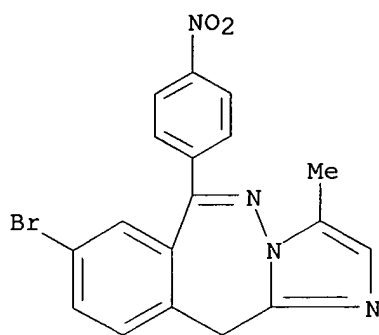
CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-bromo-2-methyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

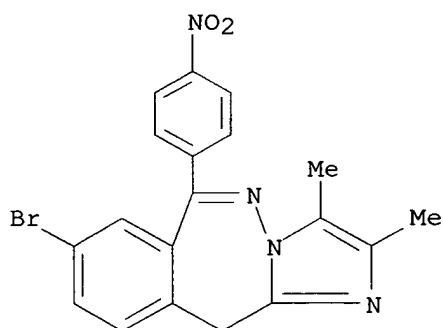
RN 220445-04-5 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-bromo-3-methyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

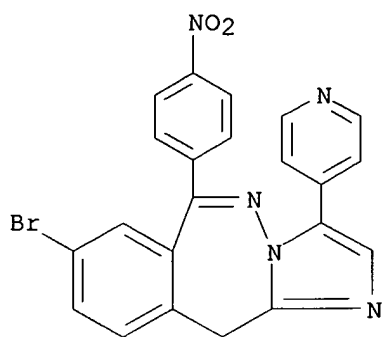
RN 220445-05-6 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-bromo-2,3-dimethyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 220445-06-7 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-bromo-6-(4-nitrophenyl)-3-(4-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

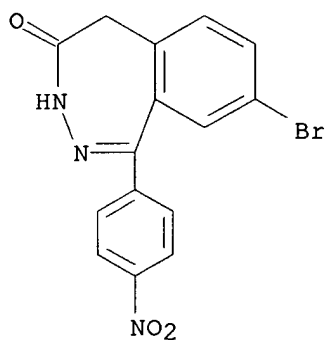
09/882,843



● HCl

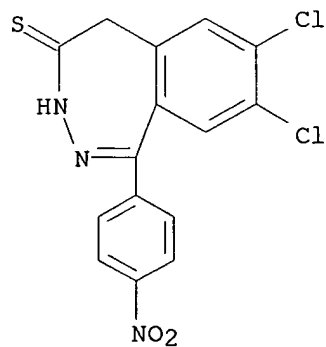
RN 220445-09-0 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 8-bromo-3,5-dihydro-1-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



RN 220445-10-3 CAPLUS

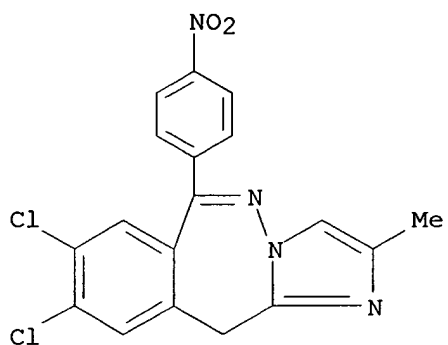
CN 4H-2,3-Benzodiazepine-4-thione, 7,8-dichloro-3,5-dihydro-1-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



RN 220445-11-4 CAPLUS

09/882,843

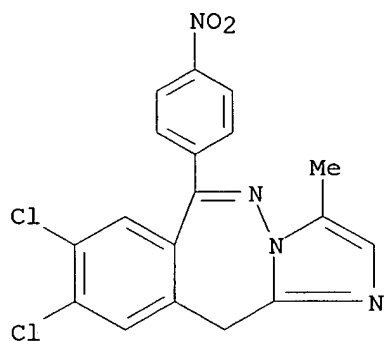
CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8,9-dichloro-2-methyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 220445-12-5 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8,9-dichloro-3-methyl-6-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

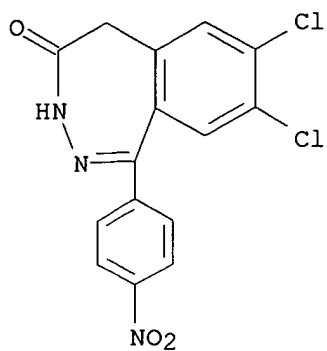


● HCl

RN 220445-15-8 CAPLUS

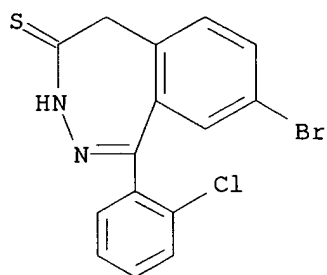
CN 4H-2,3-Benzodiazepin-4-one, 7,8-dichloro-3,5-dihydro-1-(4-nitrophenyl)-, (9CI) (CA INDEX NAME)

09/882,843



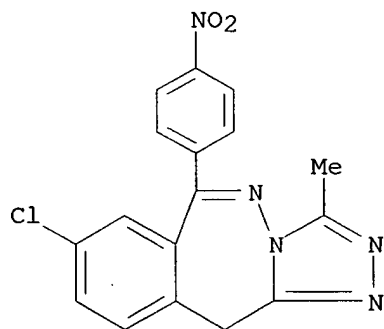
RN 220445-16-9 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 8-bromo-1-(2-chlorophenyl)-3,5-dihydro-
(9CI) (CA INDEX NAME)



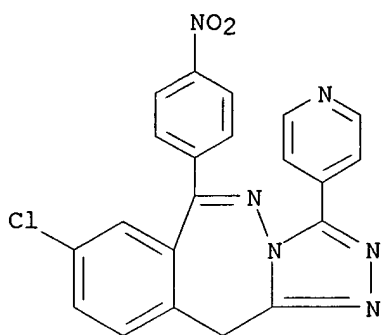
RN 220445-32-9 CAPLUS

CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 8-chloro-3-methyl-6-(4-
nitrophenyl)- (9CI) (CA INDEX NAME)

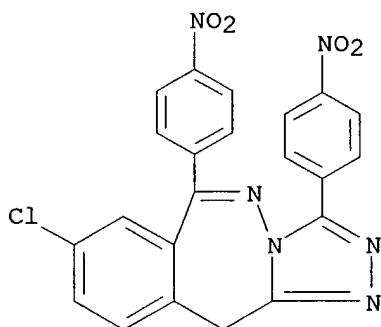


RN 220445-33-0 CAPLUS

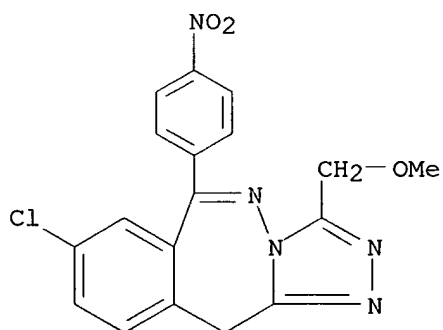
CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 8-chloro-6-(4-nitrophenyl)-3-
(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 220445-34-1 CAPLUS
 CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 8-chloro-3,6-bis(4-nitrophenyl)- (9CI) (CA INDEX NAME)

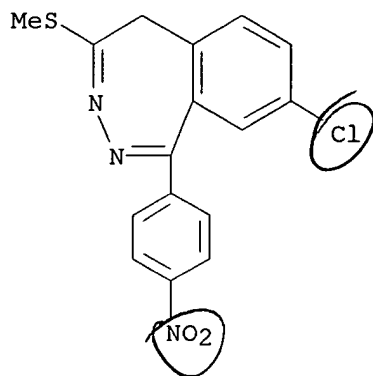


RN 220445-35-2 CAPLUS
 CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 8-chloro-3-(methoxymethyl)-6-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



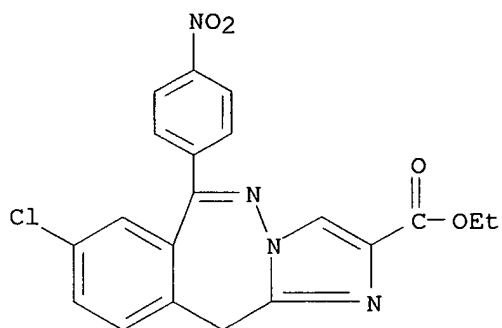
RN 220445-36-3 CAPLUS
 CN 5H-2,3-Benzodiazepine, 8-chloro-4-(methylthio)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

09/882,843



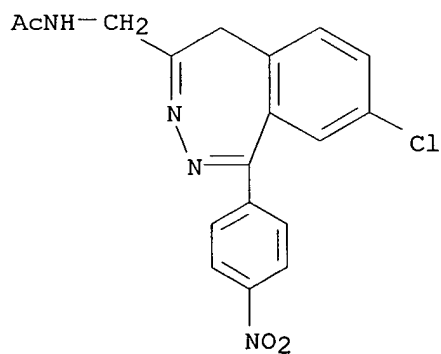
RN 220445-43-2 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine-2-carboxylic acid,
8-chloro-6-(4-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



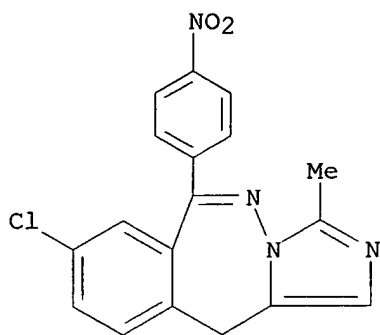
RN 220445-45-4 CAPLUS

CN Acetamide, N-[[8-chloro-1-(4-nitrophenyl)-5H-2,3-benzodiazepin-4-yl]methyl]- (9CI) (CA INDEX NAME)

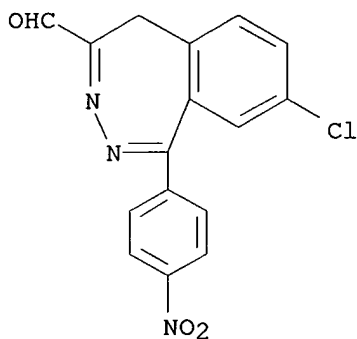


RN 220445-46-5 CAPLUS

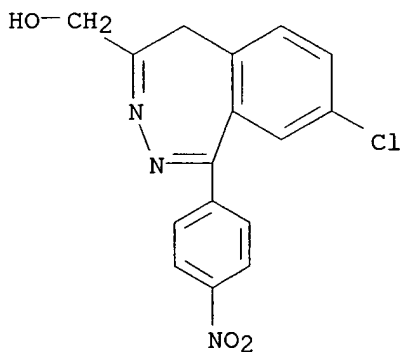
CN 11H-Imidazo[1,5-c][2,3]benzodiazepine, 8-chloro-3-methyl-6-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



RN 220445-47-6 CAPLUS
 CN 5H-2,3-Benzodiazepine-4-carboxaldehyde, 8-chloro-1-(4-nitrophenyl)- (9CI)
 (CA INDEX NAME)

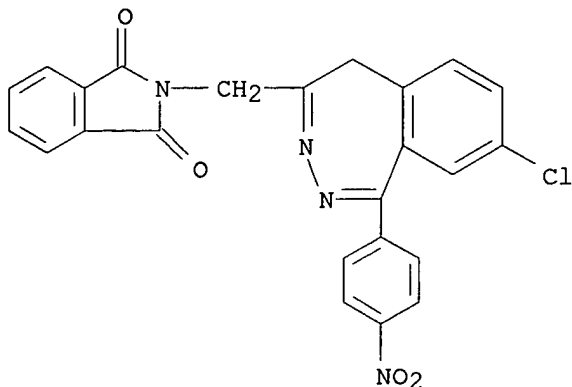


RN 220445-48-7 CAPLUS
 CN 5H-2,3-Benzodiazepine-4-methanol, 8-chloro-1-(4-nitrophenyl)- (9CI) (CA
 INDEX NAME)



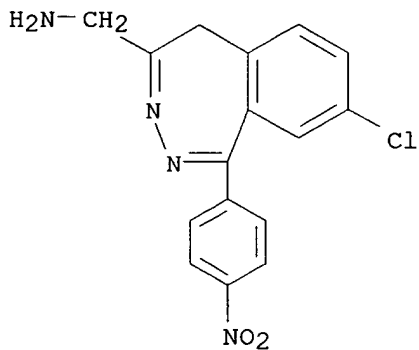
RN 220445-49-8 CAPLUS
 CN 1H-Isoindole-1,3(2H)-dione, 2-[[8-chloro-1-(4-nitrophenyl)-5H-2,3-
 benzodiazepin-4-yl]methyl]- (9CI) (CA INDEX NAME)

09/882,843



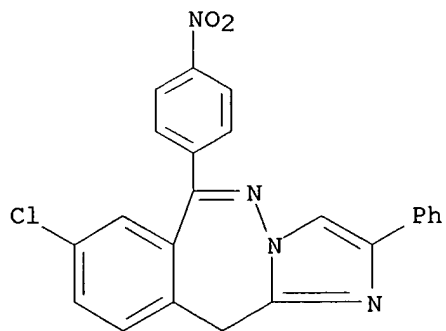
RN 220445-50-1 CAPLUS

CN 5H-2,3-Benzodiazepine-4-methanamine, 8-chloro-1-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



RN 220445-52-3 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-6-(4-nitrophenyl)-2-phenyl- (9CI) (CA INDEX NAME)



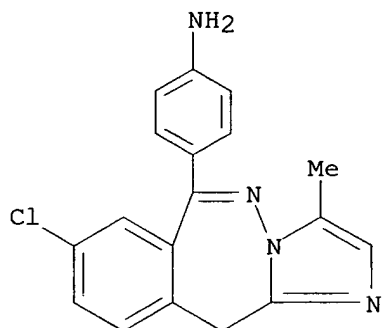
IT **220445-21-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP^t (Preparation); RACT (Reactant or reagent);
USES (Uses)

(prepn. of azolobenzodiazepines as anticonvulsants and muscle relaxants)

RN 220445-21-6 CAPLUS

CN Benzenamine, 4-(8-chloro-3-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



IT 220445-17-0P 220445-18-1P 220445-19-2P

220445-22-7P 220445-24-9P 220445-25-0P

220445-26-1P 220445-27-2P 220445-28-3P

220445-29-4P 220445-31-8P 220445-37-4P

220445-38-5P 220445-39-6P 220445-40-9P

220445-41-0P 220445-42-1P 220445-44-3P

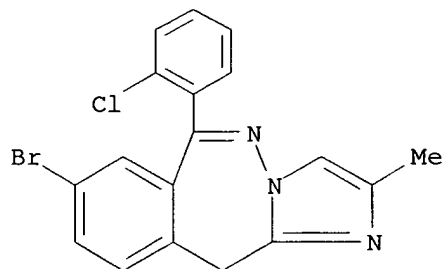
220445-51-2P 220445-53-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of azolobenzodiazepines as anticonvulsants and muscle relaxants)

RN 220445-17-0 CAPLUS

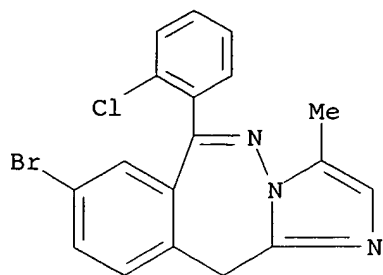
CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-bromo-6-(2-chlorophenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

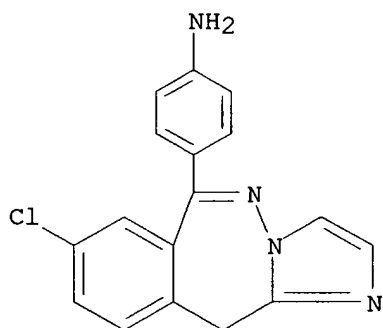
RN 220445-18-1 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-bromo-6-(2-chlorophenyl)-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

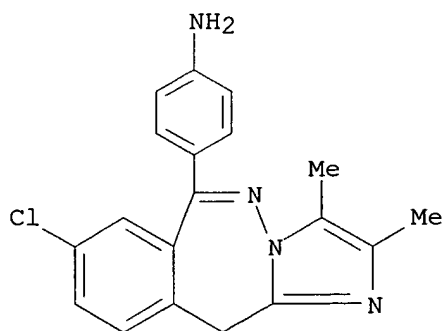


● HCl

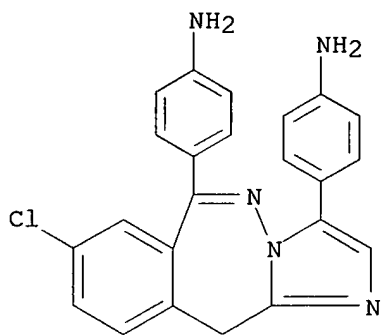
RN 220445-19-2 CAPLUS
 CN Benzenamine, 4-(8-chloro-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI)
 (CA INDEX NAME)



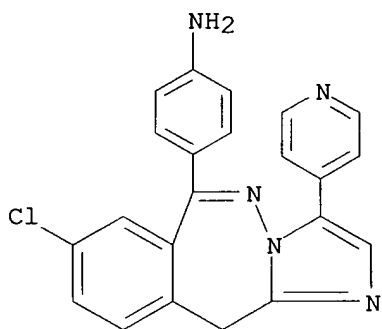
RN 220445-22-7 CAPLUS
 CN Benzenamine, 4-(8-chloro-2,3-dimethyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



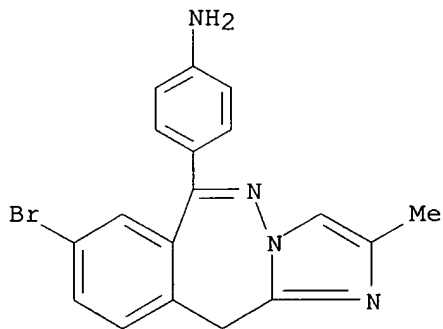
RN 220445-24-9 CAPLUS
 CN Benzenamine, 4,4'-(8-chloro-11H-imidazo[1,2-c][2,3]benzodiazepine-3,6-diyl)bis- (9CI) (CA INDEX NAME)



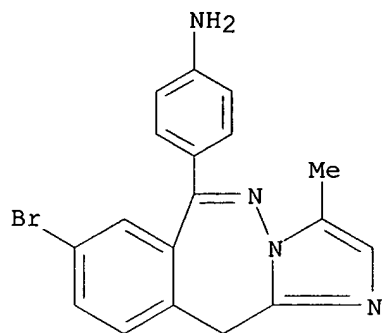
RN 220445-25-0 CAPLUS
 CN Benzenamine, 4-[8-chloro-3-(4-pyridinyl)-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl]- (9CI) (CA INDEX NAME)



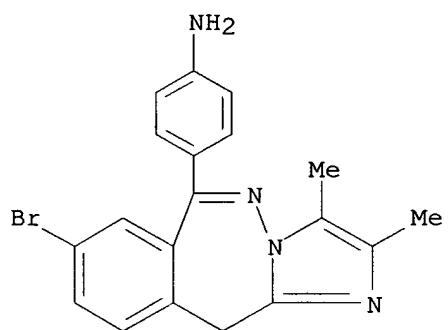
RN 220445-26-1 CAPLUS
 CN Benzenamine, 4-(8-bromo-2-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



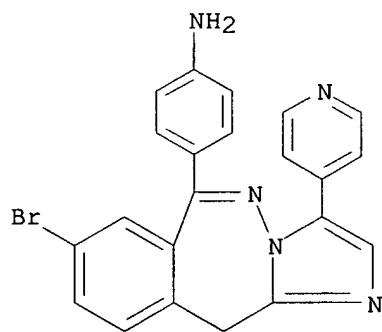
RN 220445-27-2 CAPLUS
 CN Benzenamine, 4-(8-bromo-3-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



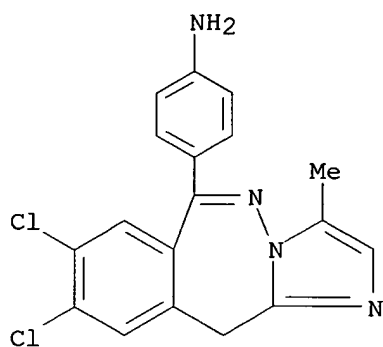
RN 220445-28-3 CAPLUS
 CN Benzenamine, 4-(8-bromo-2,3-dimethyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



RN 220445-29-4 CAPLUS
 CN Benzenamine, 4-[8-bromo-3-(4-pyridinyl)-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl]- (9CI) (CA INDEX NAME)

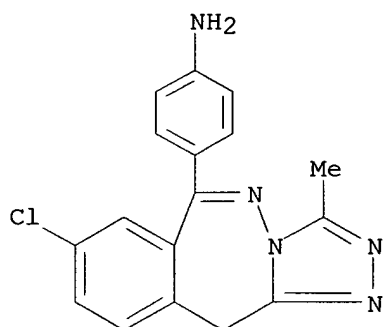


RN 220445-31-8 CAPLUS
 CN Benzenamine, 4-(8,9-dichloro-3-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



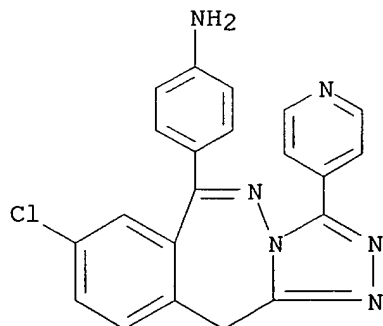
RN 220445-37-4 CAPLUS

CN Benzenamine, 4-(8-chloro-3-methyl-11H-1,2,4-triazolo[4,3-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



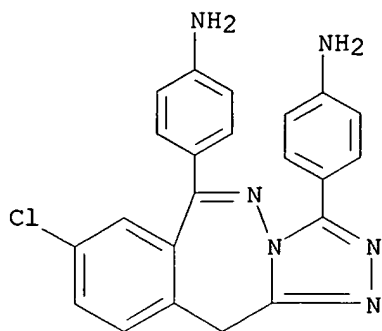
RN 220445-38-5 CAPLUS

CN Benzenamine, 4-[8-chloro-3-(4-pyridinyl)-11H-1,2,4-triazolo[4,3-c][2,3]benzodiazepin-6-yl]- (9CI) (CA INDEX NAME)



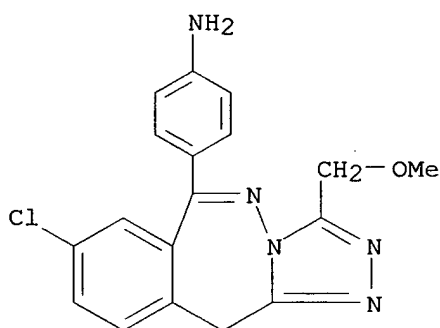
RN 220445-39-6 CAPLUS

CN Benzenamine, 4,4'-(8-chloro-11H-1,2,4-triazolo[4,3-c][2,3]benzodiazepine-3,6-diyl)bis- (9CI) (CA INDEX NAME)



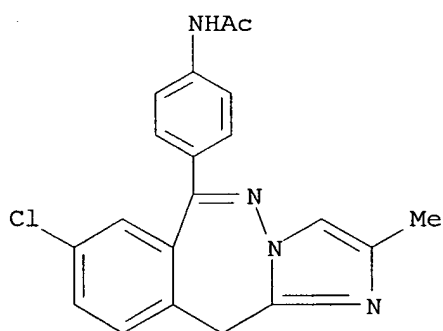
RN 220445-40-9 CAPLUS

CN Benzenamine, 4-[8-chloro-3-(methoxymethyl)-11H-1,2,4-triazolo[4,3-c][2,3]benzodiazepin-6-yl]- (9CI) (CA INDEX NAME)



RN 220445-41-0 CAPLUS

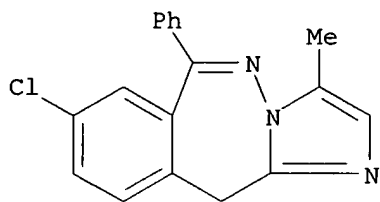
CN Acetamide, N-[4-(8-chloro-2-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)phenyl]- (9CI) (CA INDEX NAME)



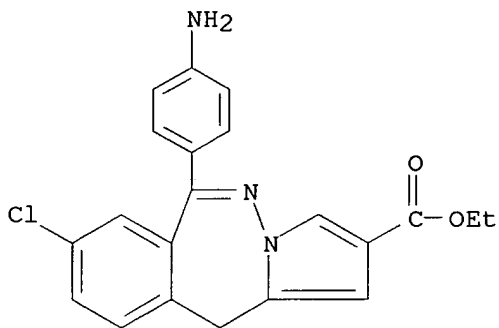
RN 220445-42-1 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-chloro-3-methyl-6-phenyl- (9CI) (CA INDEX NAME)

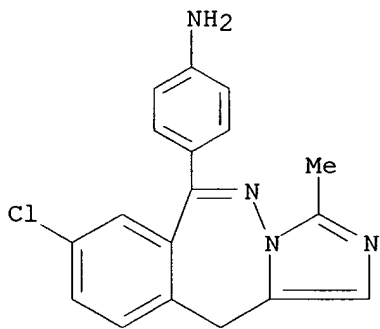
09/882,843



RN 220445-44-3 CAPLUS
CN 11H-Pyrrolo[1,2-c][2,3]benzodiazepine-2-carboxylic acid,
6-(4-aminophenyl)-8-chloro-, ethyl ester (9CI) (CA INDEX NAME)

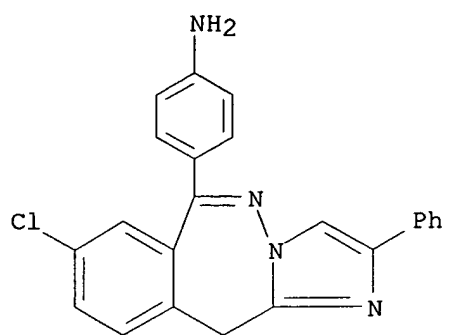


RN 220445-51-2 CAPLUS
CN Benzenamine, 4-(8-chloro-3-methyl-11H-imidazo[1,5-c][2,3]benzodiazepin-6-
yl)- (9CI) (CA INDEX NAME)



RN 220445-53-4 CAPLUS
CN Benzenamine, 4-(8-chloro-2-phenyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-
yl)- (9CI) (CA INDEX NAME)

09/882,843



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/882,843

109 ANSWER 27 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1999:96127 CAPLUS

DN 130:144197

TI Use of 2,3-benzodiazepine derivatives for the preparation of pharmaceutical compositions to treat diseases connected with the endogenous opioid system

IN Fekete, Marton; Haller, Jozsef; Szekely, Jozsef; Horvath, Katalin; Fekete, Pal

PA Egis Gyogyszergyar Rt., Hung.

SO PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9904797	A1	19990204	WO 1998-HU69	19980723
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9885538	A1	19990216	AU 1998-85538	19980723
PRAI	HU 1997-1284		19970724		
	WO 1998-HU69		19980723		

AB The invention relates to the use of 2,3-benzodiazepine derivs. for the prepn. of pharmaceutical compns. useful for the treatment or prevention of diseases connected with the endogenous opioid system, particularly disturbances of the hedonic state. Particularly preferable 2,3-benzodiazepine derivs. for use according to the present invention are the tofisopam, girisopam, and nerisopam. The anxiolytic property of 20-80 mg/kg tofisopam was studied in rats. Granules were made from tofisopam 5, lactose 9, microcryst. cellulose 3, polyvinylpyrrolidone 0.5, and water 4 parts and dried. CM-cellulose 1.3, and magnesium stearate 0.2 parts were added to the granules and the mixt. was passed through a 1.0 mm sieve and were made up into tablets contg. 50 mg tofisopam each.

IT 102771-12-0, Nerisopam.

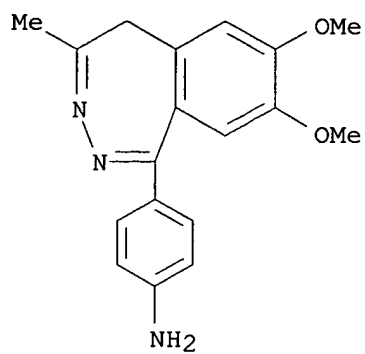
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of benzodiazepine derivs. for prepn. of pharmaceutical compns. to treat diseases connected with endogenous opioid system)

RN 102771-12-0 CAPLUS

CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
(CA INDEX NAME)

09/882,843



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

139 ANSWER 28 OF 80 CAPLUS COPYRIGHT 2002 ACS

AM 1998:645704 CAPLUS

DN 130:10577

TI Relationship between anticonvulsant activity and plasma level of some 2,3-benzodiazepines in genetically epilepsy-prone rats

AU De Sarro, Giovambattista; Rizzo, Milena; Sinopoli, Vincenzo Antonio; Gitto, Rosaria; De Sarro, Angela; Zappala, Maria; Chimirri, Alba

CS Chair of Pharmacology, Department of Experimental and Clinical Medicine, University of Catanzaro, Catanzaro, 88100, Italy

SO Pharmacology, Biochemistry and Behavior (1998), 61(3), 215-220

CODEN: PBBHAU; ISSN: 0091-3057

PB Elsevier Science Inc.

DT Journal

LA English

AB The anticonvulsant effects of some novel 2,3-benzodiazepines acting as .alpha.-amino-3-hydroxy-5-methyl-isoxazole-4-propionic acid/kainate (AMPA/KA) antagonists were evaluated in genetically epilepsy prone rats. The ED50 values against clonic and tonic seizures (in .mu.mol/kg) revealed that the rank order of anticonvulsant activity was: GYKI 52466 > 2,3BZ-2 > 2,3 MBZ-2 > NBQX. Maximal anticonvulsant protection was obsd. 15-45 min after the i.p. administration of NBQX and GYKI 52466, 30-90 min after the IP administration of 2,3BZ-2, and 45-120 min after the IP administration of 2,3MBZ-2. The time course of plasma levels of rats treated with GYKI 52466 showed that peak plasma concn. was obsd. 15 min after i.p. administration, 2,3BZ-2 revealed that peak plasma concn. was achieved 45 min after i.p. administration, whereas following 2,3MBZ-2 administered i.p., two curves were detected; one is referred to the parent compd. and the other to its demethylate metabolite that corresponds to 2,3BZ-2. The therapeutic index (ratio of TD50 values for impaired rotarod performance and ED50 values for anticonvulsant activity) revealed that NBQX and GYKI 52466 were slightly more toxic than 2,3BZ-2 and 2,3MBZ-2. The present data suggest that 2,3-benzodiazepines acting at AMPA/kainate receptors play an important role in the generation and/or propagation of the audiogenic seizures in genetically epilepsy-prone rats.

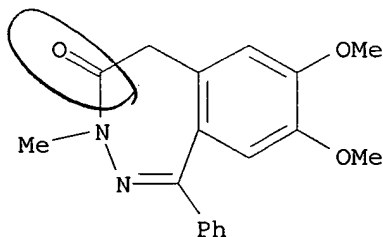
IT 41148-41-8 41148-42-9

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(relationship between anticonvulsant activity and plasma level of some 2,3-benzodiazepines in genetically epilepsy-prone rats)

RN 41148-41-8 CAPLUS

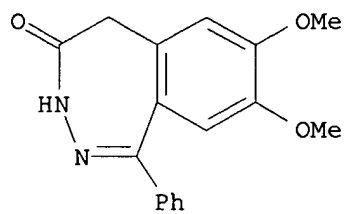
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 41148-42-9 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA INDEX NAME)

09/882,843



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~189~~ ANSWER 29 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1998:503327 CAPLUS

DN 129:254342

TI 3,5-Dihydro-4H-2,3-benzodiazepine-4-thiones: A New Class of AMPA Receptor Antagonists

AU Chimirri, Alba; De Sarro, Giovambattista; De Sarro, Angela; Gitto, Rosaria; Quartarone, Silvana; Zappala, Maria; Constanti, Andrew; Libri, Vincenzo

CS Dipartimento Farmaco-Chimico, Universita di Messina, Messina, 98168, Italy

SO Journal of Medicinal Chemistry (1998), 41(18), 3409-3416

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB Synthesis and evaluation of anticonvulsant activity of a series of 2,3-benzodiazepin-4-ones chem. related to 1-(4'-aminophenyl)-4-methyl-7,8-(methylenedioxy)-5H-2,3-benzodiazepine (GYKI 52466) have been reported in our recent publications. The 2,3-benzodiazepin-4-one compds. manifested marked anticonvulsant properties acting as 2-amino-3-(3-hydroxy-5-methylisoxazol-4-yl)propionic acid (AMPA) receptor antagonists. In an attempt to better define the structure-activity relationships (SAR) and to obtain more potent and selective anticonvulsant agents, 1-aryl-3,5-dihydro-4H-2,3-benzodiazepine-4-thiones were synthesized from the corresponding isosteres. The evaluation is reported of their anticonvulsant effects, both in the audiogenic seizures test with DBA/2 mice and against the maximal electroshock- and pentylenetetrazole-induced seizures in Swiss mice. New derivs. showed higher potency, less toxicity and longer-lasting anticonvulsant action than those of the parent compds. in all tests employed. Analogous to 2,3-benzodiazepin-4-ones, new compds. do not affect the benzodiazepine receptor (BZR) while they do antagonize AMPA-induced seizures; their anticonvulsant activity is reversed by pretreatment with aniracetam but not with flumazenil, thus suggesting a clear involvement of AMPA receptors. Electrophysiol. data indicate a noncompetitive blocking mechanism at the AMPA receptor sites for 1-(4'-aminophenyl)-3,5-dihydro-7,8-dimethoxy-4H-2,3-benzodiazepine-4-thione, the most active of the series and over 5-fold more potent than GYKI 52466.

IT **41148-41-8 41148-42-9 178616-26-7,**
4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-
187940-12-1 187940-14-3 187940-25-6
187940-28-9 187940-29-0

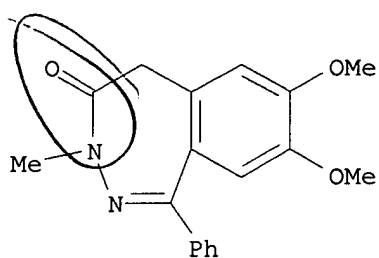
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

(prepn. and anticonvulsant activity of 3,5-dihydro-4H-2,3-benzodiazepine-4-thiones as AMPA receptor antagonists)

RN 41148-41-8 CAPLUS

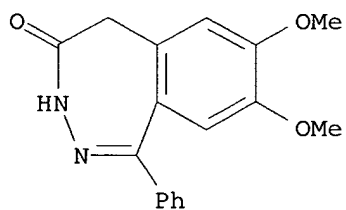
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-phenyl-
(9CI) (CA INDEX NAME)

09/882,843



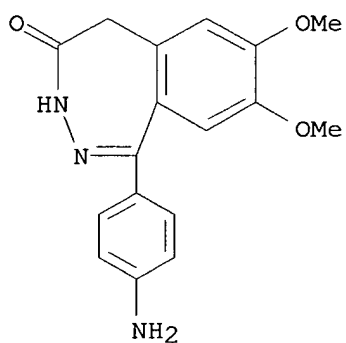
RN 41148-42-9 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA INDEX NAME)



RN 178616-26-7 CAPLUS

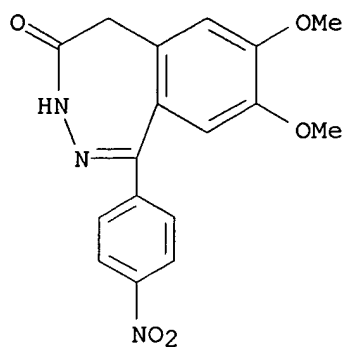
CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)



RN 187940-12-1 CAPLUS

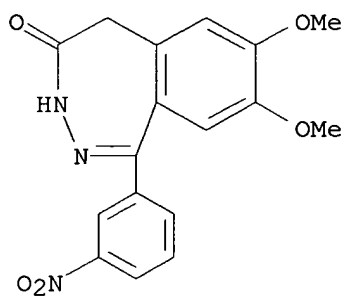
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

09/882,843



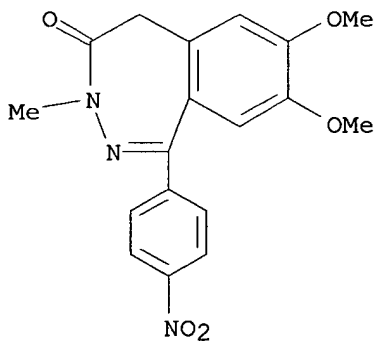
RN 187940-14-3 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-(3-nitrophenyl)-
(9CI) (CA INDEX NAME)



RN 187940-25-6 CAPLUS

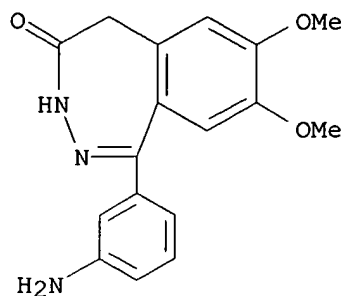
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 187940-28-9 CAPLUS

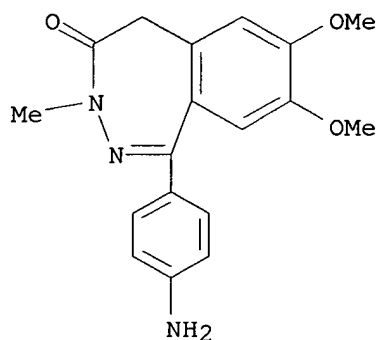
CN 4H-2,3-Benzodiazepin-4-one, 1-(3-aminophenyl)-3,5-dihydro-7,8-dimethoxy-
(9CI) (CA INDEX NAME)

09/882,843



RN 187940-29-0 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-3-methyl- (9CI) (CA INDEX NAME)



IT 213385-71-8P 213385-74-1P 213385-75-2P

213385-76-3P 213385-78-5P 213385-79-6P

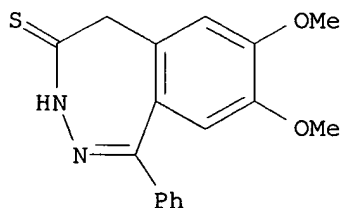
213385-80-9P 213385-81-0P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and anticonvulsant activity of 3,5-dihydro-4H-2,3-benzodiazepine-4-thiones as AMPA receptor antagonists)

RN 213385-71-8 CAPLUS

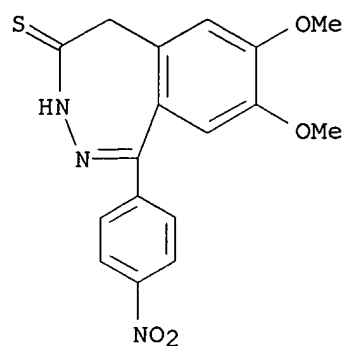
CN 4H-2,3-Benzodiazepine-4-thione, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA INDEX NAME)



RN 213385-74-1 CAPLUS

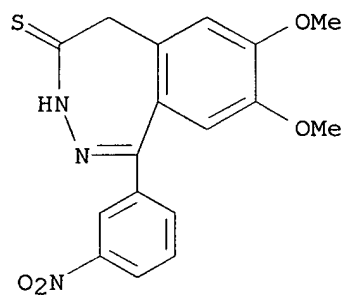
CN 4H-2,3-Benzodiazepine-4-thione, 3,5-dihydro-7,8-dimethoxy-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

09/882,843



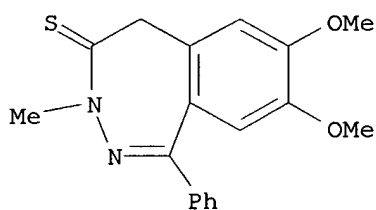
RN 213385-75-2 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 3,5-dihydro-7,8-dimethoxy-1-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 213385-76-3 CAPLUS

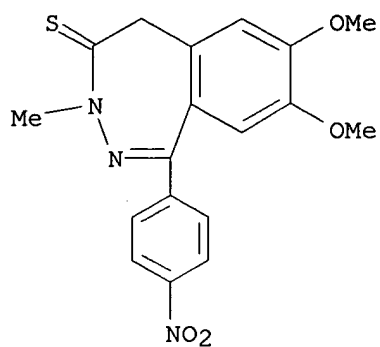
CN 4H-2,3-Benzodiazepine-4-thione, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 213385-78-5 CAPLUS

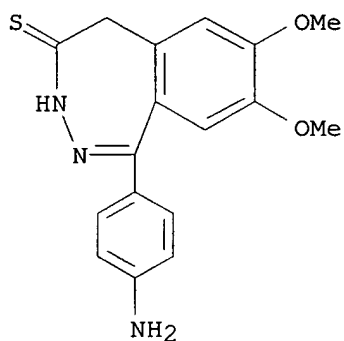
CN 4H-2,3-Benzodiazepine-4-thione, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

09/882,843



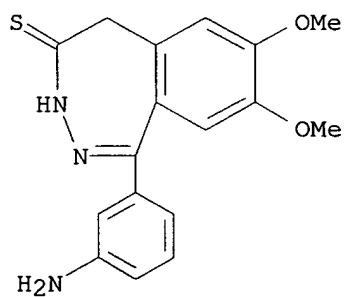
RN 213385-79-6 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)



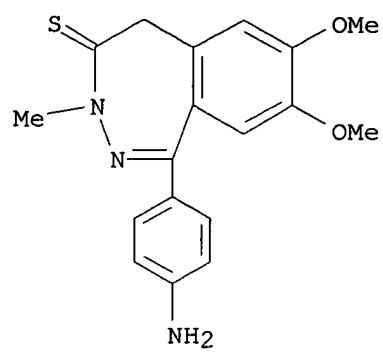
RN 213385-80-9 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 1-(3-aminophenyl)-3,5-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)



RN 213385-81-0 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-3-methyl- (9CI) (CA INDEX NAME)



see 45 of 80
 L39 ANSWER 30 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1998:348614 CAPLUS

DN 129:90362

TI Pharmacokinetic study of nerisopam, a novel anxiolytic drug-proband, and its N-acetyl metabolite in rats

AU Ary, Kornelia; Rona, Kalman; Renczes, Gabor; Gachalyi, Bela; Grezal, Gyula; Klebovich, Imre; Riesz, Tamas

CS Department of First Medicine, Division of Clinical Pharmacology, Haynal Imre University of Health Sciences, Budapest, H-1389, Hung.

SO Pharmacy and Pharmacology Communications (1998), 4(4), 225-228
 CODEN: PPCOFN; ISSN: 1460-8081

PB Royal Pharmaceutical Society of Great Britain

DT Journal

LA English

AB The pharmacokinetics of nerisopam and its N-acetyl metabolite were examd. in parallel by means of a validated solid phase extn. high-performance liq. chromatog. method. Nerisopam was absorbed rapidly and could be measured in plasma for about 8 h. It could be described by a two-compartment open model in rats. The peak plasma concn. of the N-acetyl metabolite was reached rapidly a little later than the parent compd. and could be measured for about 12 h. The pharmacokinetics of N-acetyl metabolite could be described by a one-compartment open model. The fast appearance of the metabolite and the higher Cmax and AUC0-.infin. values than nerisopam suggest an intensive first-pass metab. The increase in the AUC.infin.-dose ratio with increase in dose suggests that the elimination of the metabolite is concn.-dependent.

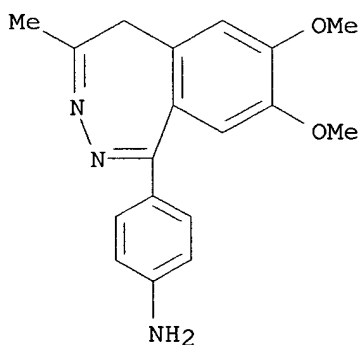
IT 102771-12-0, Nerisopam 177034-98-9

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(pharmacokinetics of nerisopam and its N-acetyl metabolite in rats)

RN 102771-12-0 CAPLUS

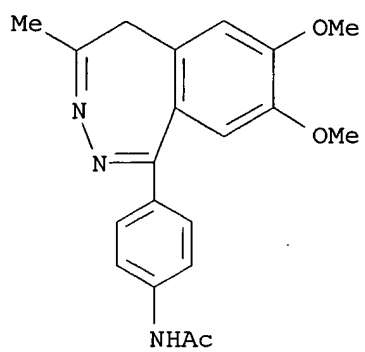
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
 (CA INDEX NAME)



RN 177034-98-9 CAPLUS

CN Acetamide, N-[4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)phenyl]- (9CI) (CA INDEX NAME)

09/882,843



09/882,843

~~L39~~ ANSWER 31 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1998:263102 CAPLUS

DN 129:12241

TI Determination of girisopam (2,3-benzodiazepine compound) and its four metabolites in human and rat plasma by gradient RP-HPLC method

AU Urmos, Ivan; Klebovich, Imre; Nemes, Katalin Balogh

CS Department of Pharmacokinetics, EGIS Pharmaceuticals Ltd., Budapest, H-1475, Hung.

SO Journal of Liquid Chromatography & Related Technologies (1998), 21(6), 803-818

CODEN: JLCTFC; ISSN: 1082-6076

PB Marcel Dekker, Inc.

DT Journal

LA English

AB A gradient RP-HPLC bioanal. method has been developed for the human pharmacokinetic studies of girisopam, the new 2,3-benzodiazepine compd. with anxiolytic effect that has no myorelaxant and anticonvulsive side effects. The compd. is an analog of tofizopam (Grandaxin, EGIS Pharmaceuticals Ltd., Budapest, Hungary). The method was found to be appropriate for the purposes of human pharmacokinetic studies performed at 25, 50, 100, 200, 325, and 525 mg dose levels. The method allowed the simultaneous detn. of girisopam (G) and its four metabolites (4'-hydroxy-G, 7-demethyl-G, 4-hydroxymethyl-G and 4-demethyl-4-oxo-G) identified in previous studies in human plasma. The solutes were sepd. on Hypersil BDS C18 column and quantified by UV detection at 238 nm. A solid phase extn. (SPE) method using reversed-phase cartridges was developed for sample processing, whereby girisopam and the much more polar metabolites, as well as the internal std. could be extd. in a single step. The limit of quantitation (LLOQ) was: 1 ng/mL in the case of Girisopam (G), 4-hydroxymethyl-G, 4-demethyl-4-oxo-G and 4'-hydroxy-G. In the case of 7-demethyl-G, LLOQ amounted to 2 ng/mL. The calibration curves showed good linearity; $r = 0.9959$, 0.9928 , 0.9954 , and 0.9974 in the concn. range of 1-500 ng/mL and $r = 0.9959$ in the range of 2-500 ng/mL resp. The validation results obtained for all the five solutes indicated that the present method complied with internationally accepted criteria and ensured quant. detns. of appropriate accuracy and reproducibility. After small modification and validation, the developed method was applied for detn. of girisopam and its metabolites in rat plasma in a toxicol. study (in vivo rat liver micronucleus test) at 600 and 1200 mg/kg dose levels. The LLOQ was 10 ng/mL for girisopam and 50 ng/mL for metabolites in rat plasma. The validation parameters for detn. of solutes in rat plasma were internationally acceptable. The linearity was good for all components (r .gtoreq. 0.992) in the wide calibration range of 10-18000 ng/mL and 50-6000 ng/mL in the case of girisopam and its metabolites resp. The absorption of girisopam was verified by the measuring of girisopam and its metabolite (7-demethyl-G) in the plasma samples of toxicol. study (micronucleus test).

IT 142790-94-1 142839-39-2 142839-45-0

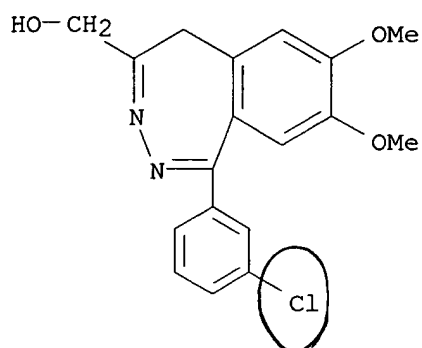
RL: ANT (Analyte); ANST (Analytical study)

(detn. of girisopam (2,3-benzodiazepine compd.) and its four metabolites in human and rat plasma by gradient RP-HPLC method)

RN 142790-94-1 CAPLUS

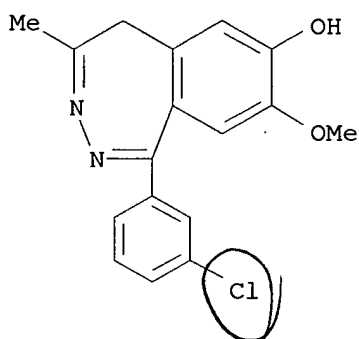
CN 5H-2,3-Benzodiazepine-4-methanol, 1-(3-chlorophenyl)-7,8-dimethoxy- (9CI) (CA INDEX NAME)

09/882,843



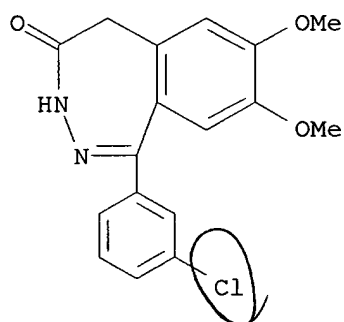
RN 142839-39-2 CAPLUS

CN 5H-2,3-Benzodiazepin-7-ol, 1-(3-chlorophenyl)-8-methoxy-4-methyl- (9CI)
(CA INDEX NAME)



RN 142839-45-0 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(3-chlorophenyl)-3,5-dihydro-7,8-dimethoxy-
(9CI) (CA INDEX NAME)



L39 ANSWER 32 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1998:61237 CAPLUS

DN 128:172196

TI Derivatization reactions for the spectrofluorimetric determination of 1-(amino-substituted-phenyl)-5H-2,3-benzodiazepines

AU Kasa, Imre

CS Department of Physical Chemistry, Technical University of Budapest, Budapest, H-1521, Hung.

SO ACH - Models in Chemistry (1997), 134(2-3), 233-240

CODEN: ACMCEI; ISSN: 1217-8969

PB Akademiai Kiado

DT Journal

LA English

AB Fluorescent derivatization reactions of five 1-(amino-substituted-phenyl)-5H-2,3-benzodiazepines were investigated. In the first ("A") reaction the 2,3-benzodiazepines can transform into 2-naphthol derivs. having intensive fluorescence in highly acidic medium by hydrolysis and subsequent aldol condensation in basic medium (with the exception of 1-(2-aminophenyl)-4-methyl-7,8-dimethoxy-5H-2,3-benzodiazepine). In the second ("B") reaction the 2-naphthol derivs. are formed directly in dimethylsulfoxide (DMSO) soln. in the presence of alkali-hydroxide by heating. With the use of these reactions sensitive simple and rapid spectrofluorimetric anal. methods were developed for the quant. detn. of five 2,3-benzodiazepine derivs.

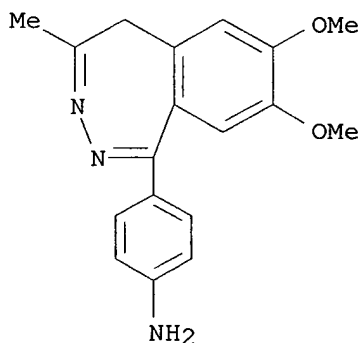
IT 102771-12-0 102771-20-0 203068-01-3

RL: ANT (Analyte); ANST (Analytical study)

(detn. of benzodiazepines by spectrofluorimetry)

RN 102771-12-0 CAPLUS

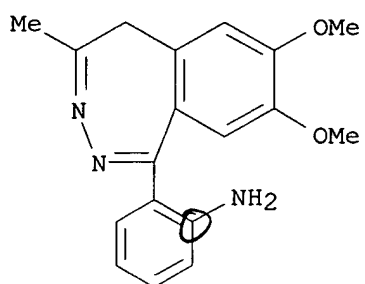
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
(CA INDEX NAME)



RN 102771-20-0 CAPLUS

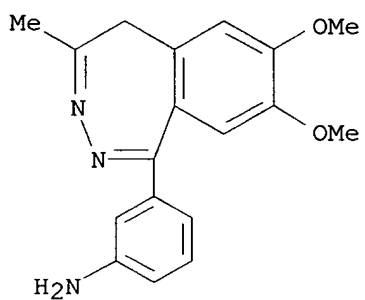
CN Benzenamine, 2-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
(CA INDEX NAME)

09/882,843



RN 203068-01-3 CAPLUS

CN Benzenamine, 3-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
(CA INDEX NAME)



09/882,843

~~LS~~ ANSWER 33 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1998:55272 CAPLUS

DN 128:212574

TI High-performance liquid chromatographic determination of new
2,3-benzodiazepines

AU Rizzo, Milena; Sinopoli, Vincenzo Antonio; Gitto, Rosaria; Maria Zappala;
De Sarro, Giovambattista; Chimirri, Alba

CS Roccelletta di Borgia, Complesso "Nini Barbieri", School of Pharmacy at
Catanzaro, Chair of Chemistry, University of Reggio Calabria, Catanzaro,
88021, Italy

SO Journal of Chromatography, B: Biomedical Sciences and Applications (1998),
705(1), 149-153

CODEN: JCBBEP; ISSN: 0378-4347

PB Elsevier Science B.V.

DT Journal

LA English

AB A simple high-performance liq. chromatog. assay with UV detection at 254
nm for simultaneous detn. of 2,3-benzodiazepine derivs. (2,3-BZ2 and
2,3-BZ2Me) and their metabolites in rat plasma is described. The
procedure involves a fast extn. of the drugs from the buffered sample
using methanol. The ext. is evapd. to dryness at 45.degree.C and the
residue is redissolved in methanol (twice). A 20-.mu.l aliquot is
injected into the liq. chromatograph and eluted with methanol-water
(65:35, vol./vol.) on a C18 reversed-phase column. At a flow-rate of 1.5
mL/min the detection time was 3.1 min for 2,3-BZ2, 5.06 min for 2,3-BZ2Me
and 10.9 min for prazepam, used as internal std. for the quantification of
the studied compds. The method has been used to investigate the
steady-state concns. of two 2,3-benzodiazepine derivs. in Sprague-Dawley
rat plasma.

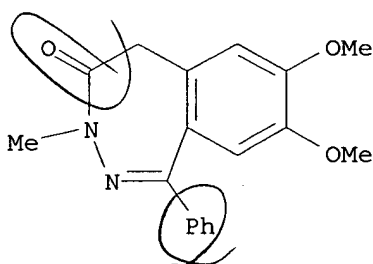
IT 41148-41-8 41148-42-9 187940-31-4

RL: ANT (Analyte); ANST (Analytical study)

(HPLC detn. of new 2,3-benzodiazepines)

RN 41148-41-8 CAPLUS

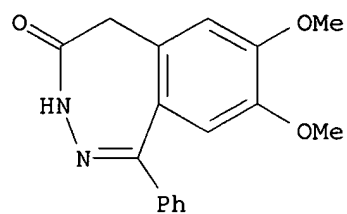
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-phenyl-
(9CI) (CA INDEX NAME)



RN 41148-42-9 CAPLUS

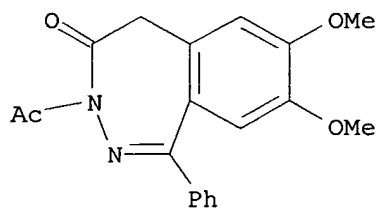
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA
INDEX NAME)

09/882,843



RN 187940-31-4 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3-acetyl-3,5-dihydro-7,8-dimethoxy-1-phenyl-
(9CI) (CA INDEX NAME)



09/882,843

139 ANSWER 34 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1998:38908 CAPLUS

DN 128:61530

TI Preparation of 2,3-benzodiazepine derivatives as noncompetitive AMPA antagonists.

IN Ling, Istvan; Abraham, Gizella; Berzsenyi, Pal; Tarnawa, Istvan; Solyom, Sandor; Andrasi, Ferenc; Hamori, Tamas; Csuzdi, Emese; Horvath, Katalin; Gal, Melinda; Moravcsik, Imre; Szoelloesy, Marta

PA Egis Gyogyszergyar Rt, Hung.

SO Brit. UK Pat. Appl., 53 pp.

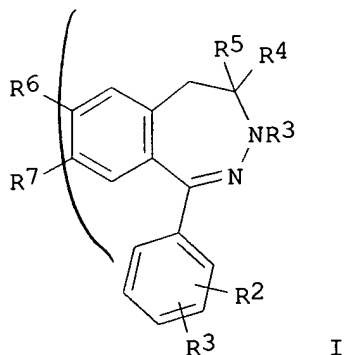
CODEN: BAXXDU

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2311779	A1	19971008	GB 1997-6945	19970404
	GB 2311779	B2	19990714		
	AU 9716362	A1	19971009	AU 1997-16362	19970318
	ZA 9702746	A	19981009	ZA 1997-2746	19970401
	SK 282258	B6	20011203	SK 1997-423	19970402
	FR 2747121	A1	19971010	FR 1997-4063	19970403
	FR 2747121	B1	19980821		
	BE 1010962	A4	19990302	BE 1997-308	19970403
	AU 9717734	A1	19971009	AU 1997-17734	19970404
	AU 720745	B2	20000608		
	EP 802195	A2	19971022	EP 1997-105591	19970404
	EP 802195	A3	19971203		
	R: AT, CH, DE, LI, NL, SE, SI, LT, LV, FI				
	JP 10036356	A2	19980210	JP 1997-86800	19970404
	JP 3131767	B2	20010205		
	ES 2127699	A1	19990416	ES 1997-703	19970404
	ES 2127699	B1	20000116		
PRAI	HU 1996-871	A	19960404		
	US 1997-832777	A	19970404		
OS	MARPAT 128:61530				
GI					



AB Title compds. [I; R1, R2 = H, halo, alkyl, alkoxy, NO2, CF3, NR8R9; R8, R9 = H, alkyl, COR10; R10, R13 = H, (substituted) alkyl aryl, alkoxy,

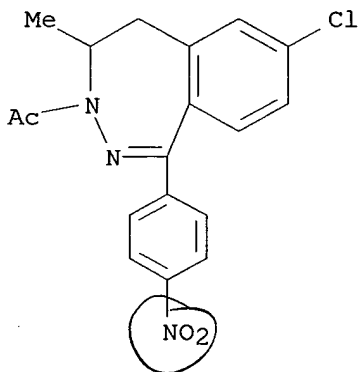
cycloalkyl, alkenyl, cycloalkoxy, NR11R12; R11, R12 = H, alkyl, cycloalkyl, aryl; R3 = alkyl, cycloalkyl, COR13; R4, R5 = H, alkyl; R6, R7 = H, Cl, Br; with the proviso that if 1 of R6, R7 = H, then the other .noteq. H], were prepd. Thus, 1-(4-aminophenyl)-7,8-dichloro-4-methyl-3-methylcarbamoyl-4,5-dihydro-3H-2,3-benzodiazepine (prepn. given) showed IC50 = 1.2 .mu.M for antagonizing kainic acid induced retinal spreading depression.

IT 200419-03-0P 200419-04-1P 200419-05-2P
 200419-06-3P 200419-07-4P 200419-08-5P
 200419-09-6P 200419-10-9P 200419-11-0P
 200419-12-1P 200419-13-2P 200419-14-3P
 200419-15-4P 200419-16-5P 200419-17-6P
 200419-18-7P 200419-19-8P 200419-20-1P
 200419-21-2P 200419-22-3P 200419-23-4P
 200419-24-5P 200419-25-6P 200419-26-7P
 200419-27-8P 200419-28-9P 200419-29-0P
 200419-30-3P 200419-32-5P 200419-34-7P
 200419-36-9P 200419-37-0P 200419-38-1P
 200419-40-5P 200419-42-7P 200419-44-9P
 200419-46-1P 200419-48-3P 200419-50-7P
 200419-52-9P 200419-54-1P 200419-55-2P
 200419-56-3P 200419-57-4P 200419-58-5P
 200419-59-6P 200419-60-9P 200419-61-0P
 200419-62-1P 200419-63-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 2,3-benzodiazepine derivs. as noncompetitive AMPA antagonists)

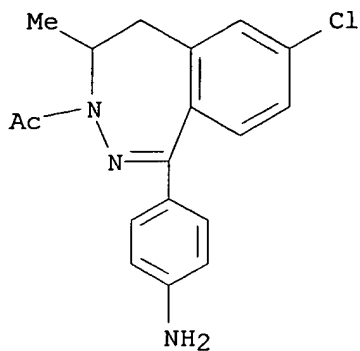
RN 200419-03-0 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-7-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



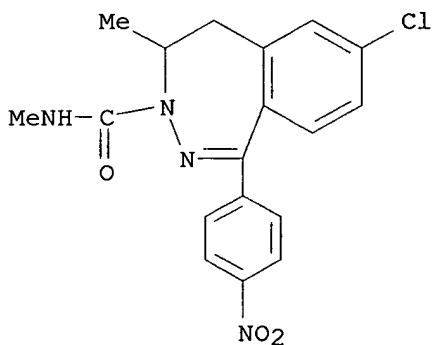
RN 200419-04-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-aminophenyl)-7-chloro-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)



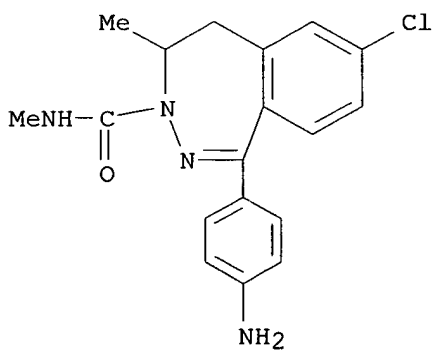
RN 200419-05-2 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 7-chloro-4,5-dihydro-N,4-dimethyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 200419-06-3 CAPLUS

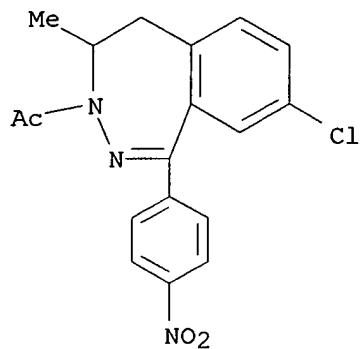
CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-7-chloro-4,5-dihydro-N,4-dimethyl- (9CI) (CA INDEX NAME)



RN 200419-07-4 CAPLUS

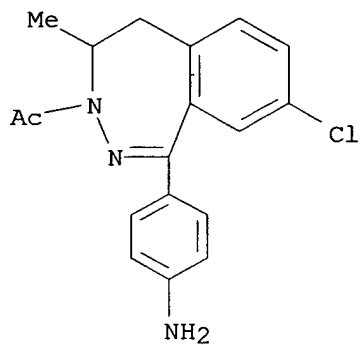
CN 3H-2,3-Benzodiazepine, 3-acetyl-8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

09/882,843



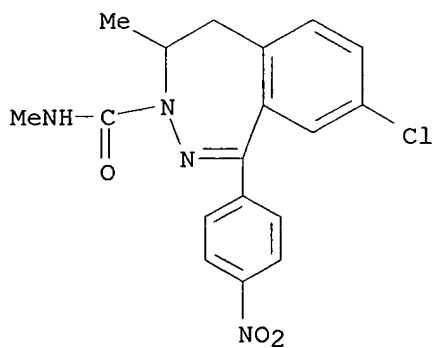
RN 200419-08-5 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)



RN 200419-09-6 CAPLUS

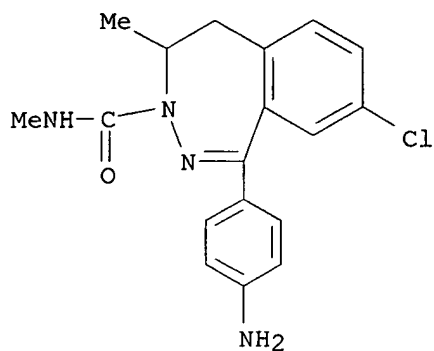
CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-chloro-4,5-dihydro-N,4-dimethyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 200419-10-9 CAPLUS

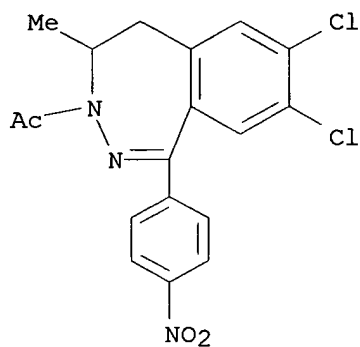
CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-8-chloro-4,5-dihydro-N,4-dimethyl- (9CI) (CA INDEX NAME)

09/882,843



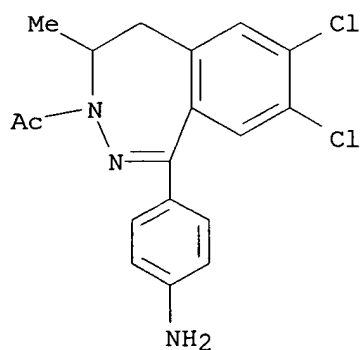
RN 200419-11-0 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-7,8-dichloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 200419-12-1 CAPLUS

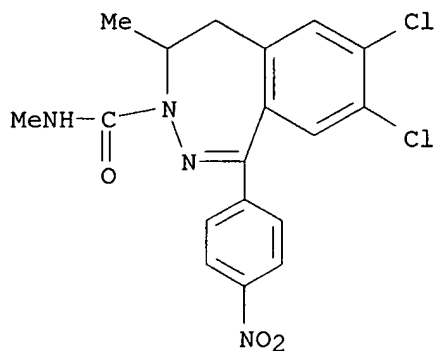
CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)



RN 200419-13-2 CAPLUS

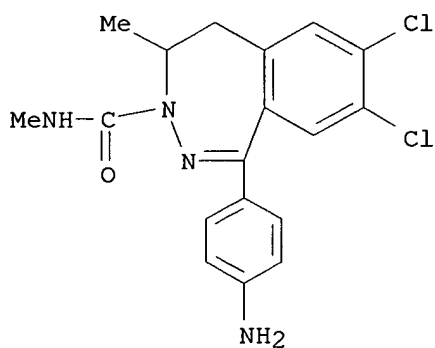
CN 3H-2,3-Benzodiazepine-3-carboxamide, 7,8-dichloro-4,5-dihydro-N,4-dimethyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

09/882,843



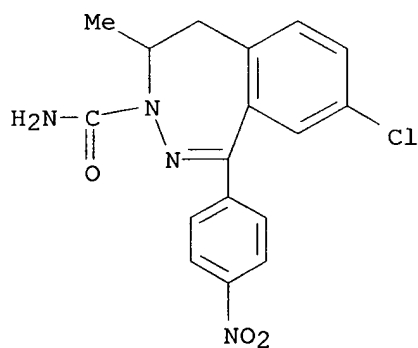
RN 200419-14-3 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-N,4-dimethyl- (9CI) (CA INDEX NAME)



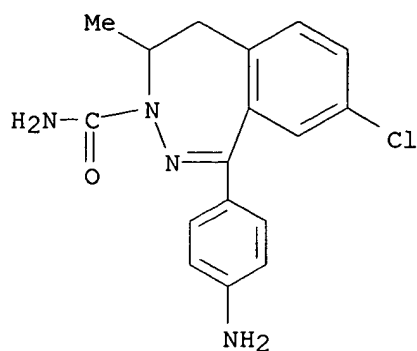
RN 200419-15-4 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



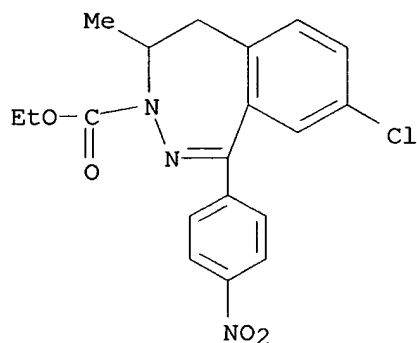
RN 200419-16-5 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)



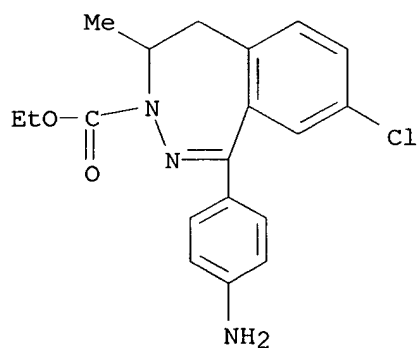
RN 200419-17-6 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxylic acid, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 200419-18-7 CAPLUS

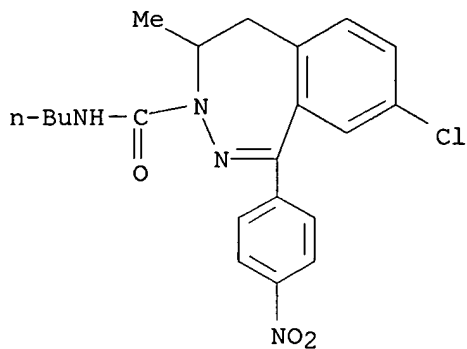
CN 3H-2,3-Benzodiazepine-3-carboxylic acid, 1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 200419-19-8 CAPLUS

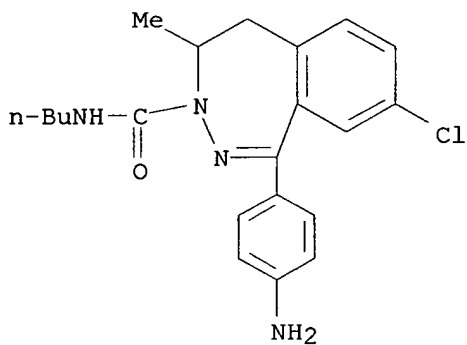
CN 3H-2,3-Benzodiazepine-3-carboxamide, N-butyl-8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

09/882,843



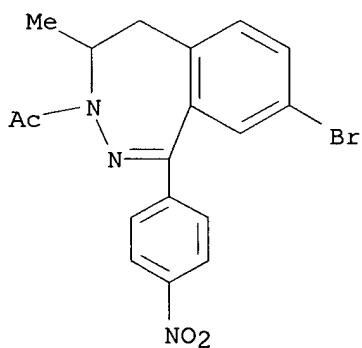
RN 200419-20-1 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-N-butyl-8-chloro-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)



RN 200419-21-2 CAPLUS

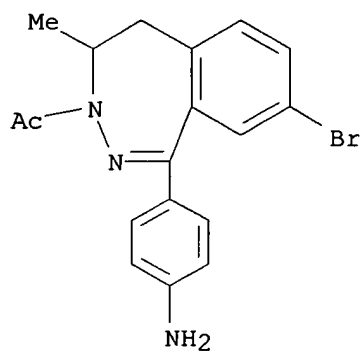
CN 3H-2,3-Benzodiazepine, 3-acetyl-8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 200419-22-3 CAPLUS

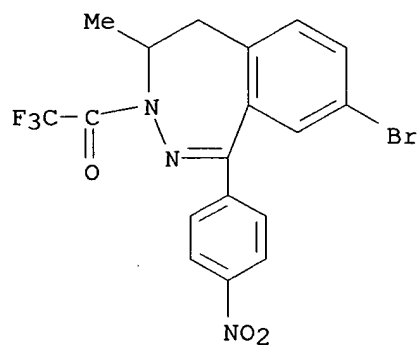
CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)

09/882,843



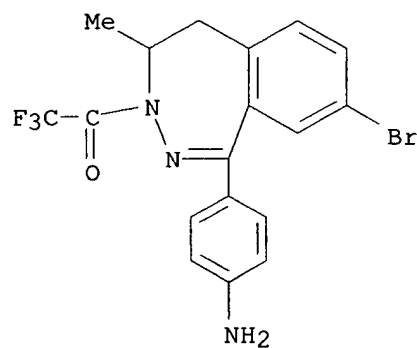
RN 200419-23-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



RN 200419-24-5 CAPLUS

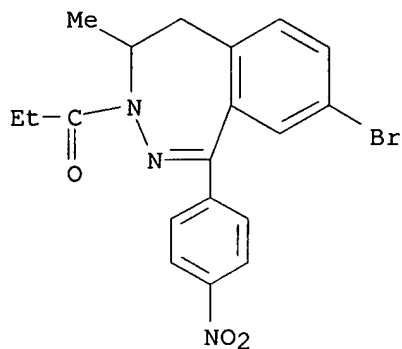
CN 3H-2,3-Benzodiazepine, 1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-3-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



RN 200419-25-6 CAPLUS

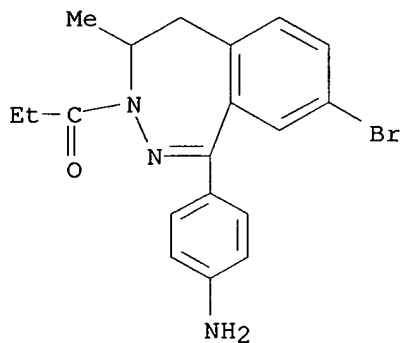
CN 3H-2,3-Benzodiazepine, 8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)

09/882,843



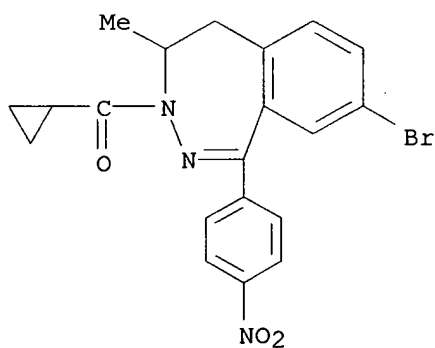
RN 200419-26-7 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)



RN 200419-27-8 CAPLUS

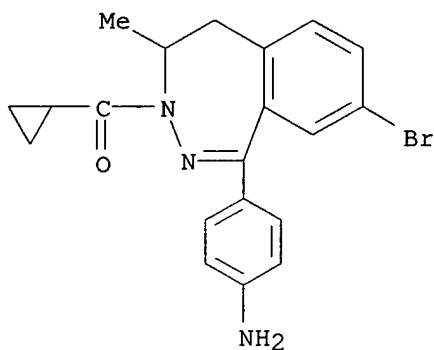
CN 3H-2,3-Benzodiazepine, 8-bromo-3-(cyclopropylcarbonyl)-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 200419-28-9 CAPLUS

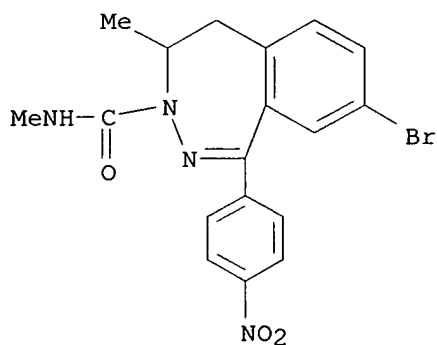
CN 3H-2,3-Benzodiazepine, 1-(4-aminophenyl)-8-bromo-3-(cyclopropylcarbonyl)-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)

09/882,843



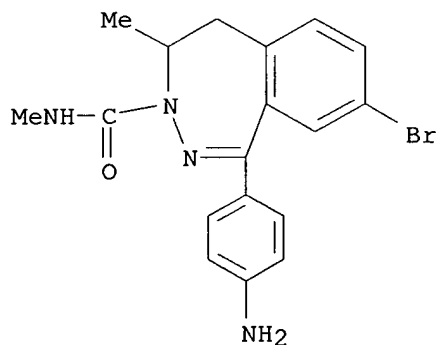
RN 200419-29-0 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-bromo-4,5-dihydro-N,4-dimethyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 200419-30-3 CAPLUS

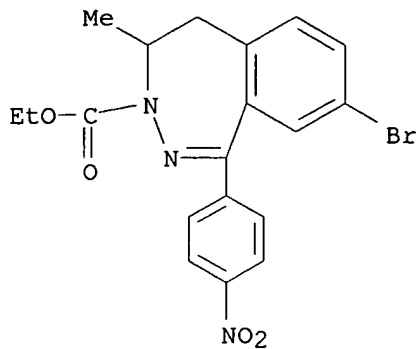
CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-8-bromo-4,5-dihydro-N,4-dimethyl- (9CI) (CA INDEX NAME)



RN 200419-32-5 CAPLUS

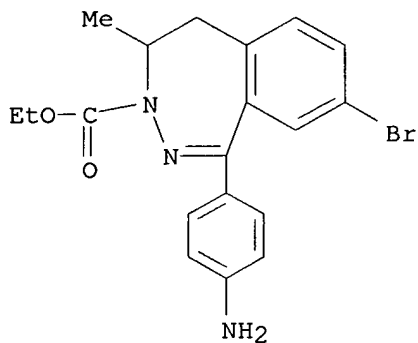
CN 3H-2,3-Benzodiazepine-3-carboxylic acid, 8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

09/882,843



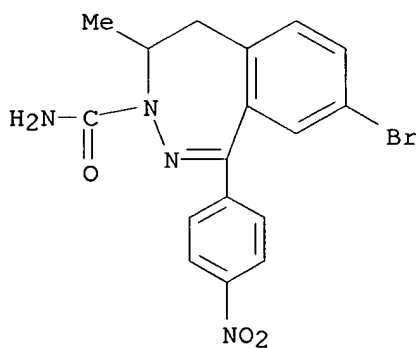
RN 200419-34-7 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxylic acid, 1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 200419-36-9 CAPLUS

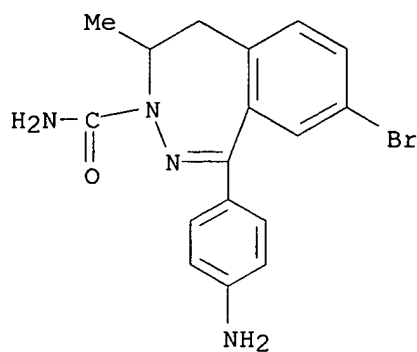
CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 200419-37-0 CAPLUS

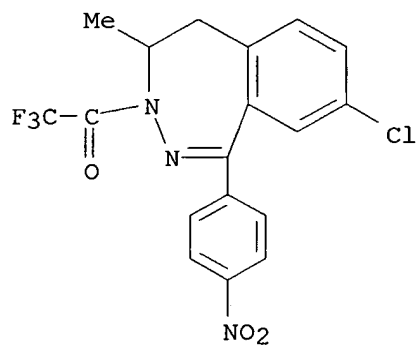
CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)

09/882,843



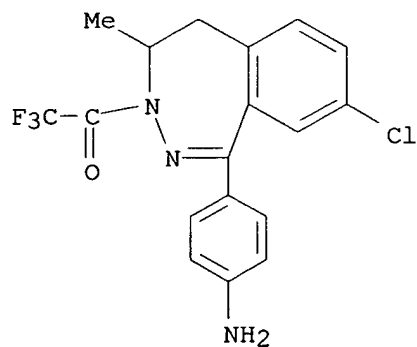
RN 200419-38-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



RN 200419-40-5 CAPLUS

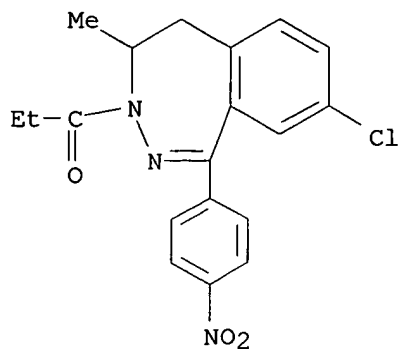
CN 3H-2,3-Benzodiazepine, 1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



RN 200419-42-7 CAPLUS

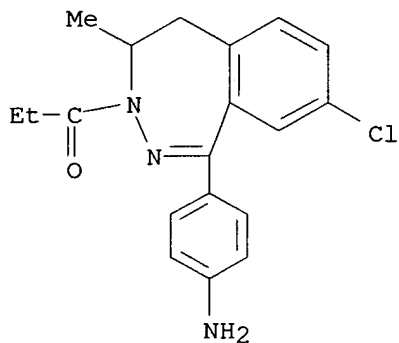
CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)

09/882,843



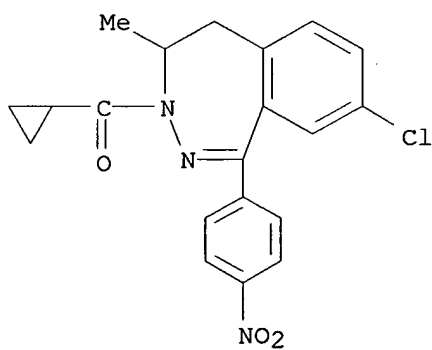
RN 200419-44-9 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)



RN 200419-46-1 CAPLUS

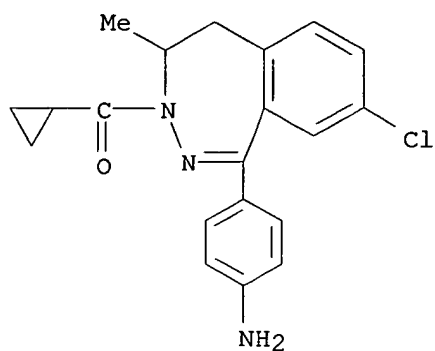
CN 3H-2,3-Benzodiazepine, 8-chloro-3-(cyclopropylcarbonyl)-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 200419-48-3 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(4-aminophenyl)-8-chloro-3-(cyclopropylcarbonyl)-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)

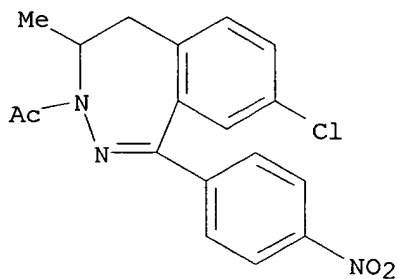
09/882,843



RN 200419-50-7 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, (+)- (9CI) (CA INDEX NAME)

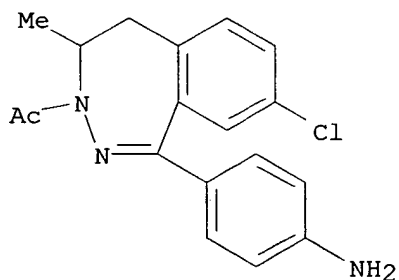
Rotation (+).



RN 200419-52-9 CAPLUS

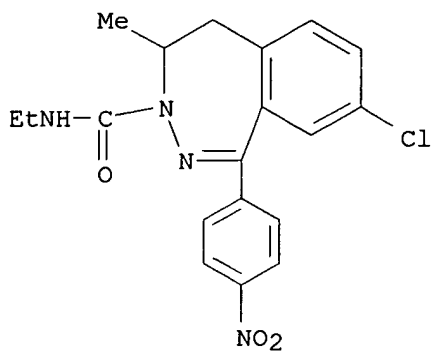
CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

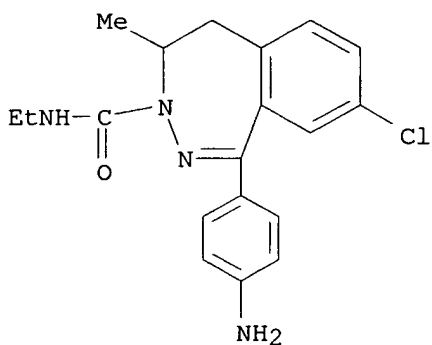


RN 200419-54-1 CAPLUS

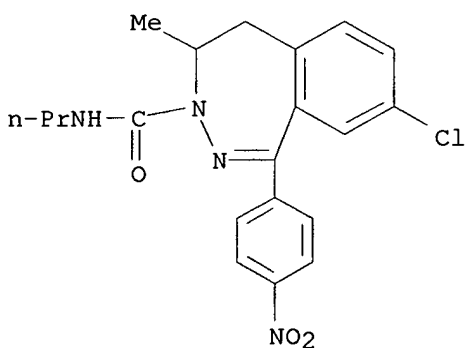
CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-chloro-N-ethyl-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 200419-55-2 CAPLUS
 CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-8-chloro-N-ethyl-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)

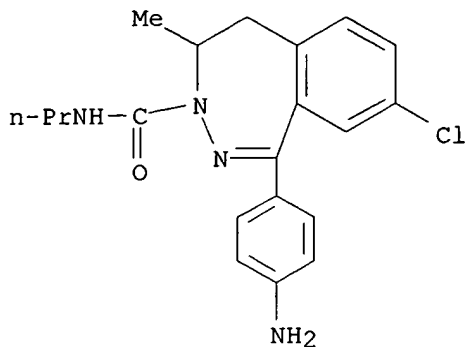


RN 200419-56-3 CAPLUS
 CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)



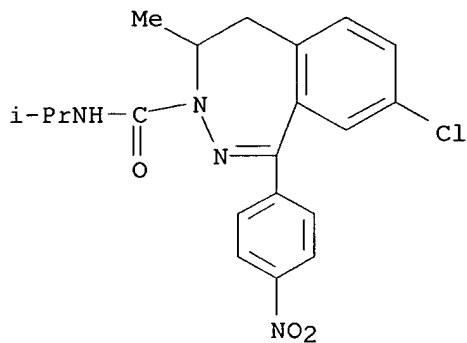
RN 200419-57-4 CAPLUS
 CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-N-propyl- (9CI) (CA INDEX NAME)

09/882,843



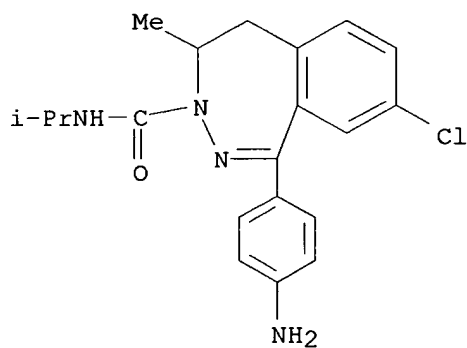
RN 200419-58-5 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-chloro-4,5-dihydro-4-methyl-N-(1-methylethyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



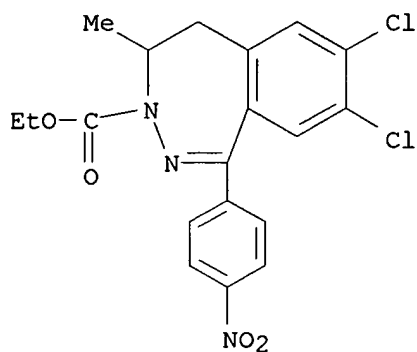
RN 200419-59-6 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



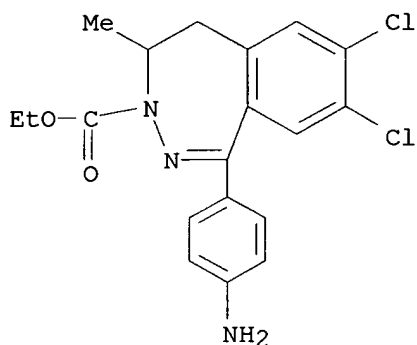
RN 200419-60-9 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxylic acid, 7,8-dichloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



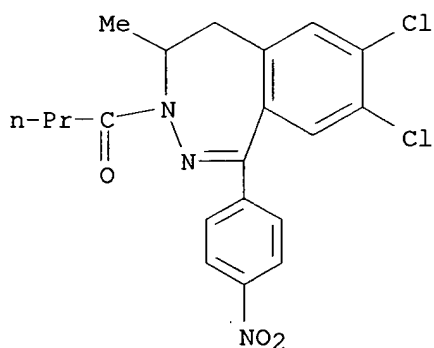
RN 200419-61-0 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxylic acid, 1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-4-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 200419-62-1 CAPLUS

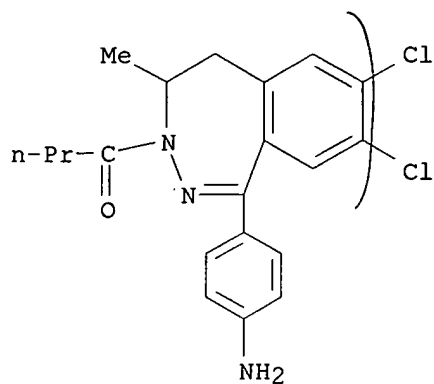
CN 3H-2,3-Benzodiazepine, 7,8-dichloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(1-oxobutyl)- (9CI) (CA INDEX NAME)



RN 200419-63-2 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-4-methyl-3-(1-oxobutyl)- (9CI) (CA INDEX NAME)

09/882,843



IT 200419-67-6P 200419-71-2P 200419-73-4P

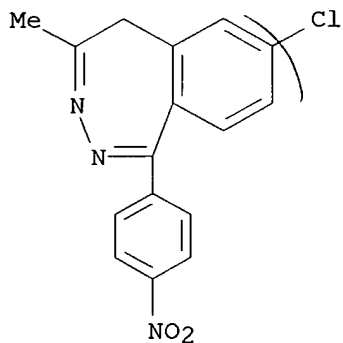
200419-84-7P 200419-87-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 2,3-benzodiazepine derivs. as noncompetitive AMPA antagonists)

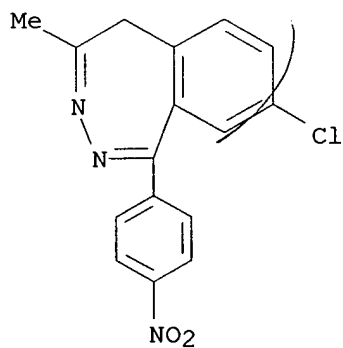
RN 200419-67-6 CAPLUS

CN 5H-2,3-Benzodiazepine, 7-chloro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 200419-71-2 CAPLUS

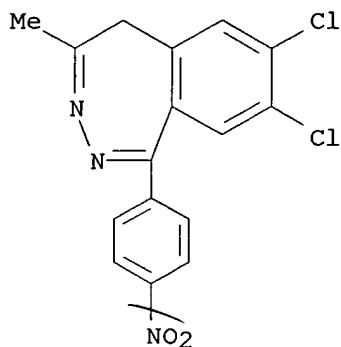
CN 5H-2,3-Benzodiazepine, 8-chloro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



09/882,843

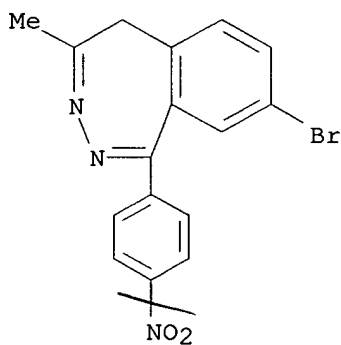
RN 200419-73-4 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dichloro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 200419-84-7 CAPLUS

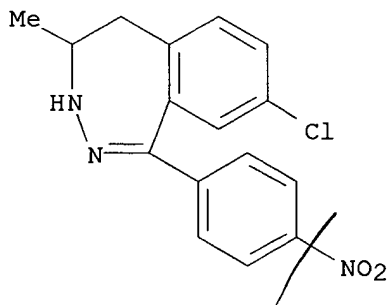
CN 5H-2,3-Benzodiazepine, 8-bromo-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 200419-87-0 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



see 63980

09/882,843

~~189~~ ANSWER 35 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1997:720258 CAPLUS

DN 127:303320

TI Determination of 2,3-benzodiazepine and isoquinoline derivative drugs in biological fluids

IN Fekete, Marton; Horvath, Edit; Gyure, Ida Katalin; Haska Salamon, Cecilia; Aranyi, Peter; Egyed, Andras

PA Egis Gyogyszergyar Rt., Hung.

SO Hung. Teljes, 23 pp.

CODEN: HUXXB

DT Patent

LA Hungarian

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	HU 75460	A2	19970528	HU 1994-1079	19940415
	HU 217134	B	19991129		

AB A 2,3-benzodiazepine receptor, such as rat brain tissue, a radioactive 2,3-benzodiazepine ligand, such as girisopam-3H, and the body fluid to be analyzed are mixed and incubated, and the amt. of radioactive 2,3-benzodiazepine ligand bound to the receptor is detd., using a .gamma.-detector or a scintillation counter. A std. 2,3-benzodiazepine of known concn., as nonradioactive ligand, the 2,3-benzodiazepine receptor, and the radioactive 2,3-benzodiazepine ligand are mixed and the radioactive 2,3-benzodiazepine ligand bound to the receptor is detd. If the amt. of body fluid is .ltoreq.4% of the total vol., no interference occurs with the radioactive ligand binding and the competitive reaction. The isoquinoline derivs. compete similarly to the 2,3-benzodiazepine derivs. with the radioactive 2,3-benzodiazepine ligand, despite the differences in their chem. structure.

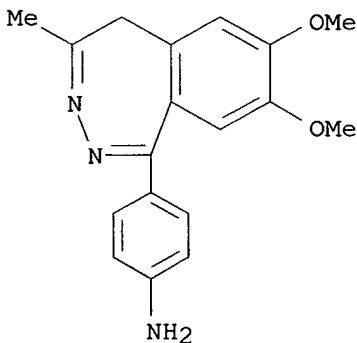
IT 102771-12-0, Nerisopam

RL: ANT (Analyte); ANST (Analytical study)

(detn. of 2,3-benzodiazepine and isoquinoline deriv. drugs in biol. fluids)

RN 102771-12-0 CAPLUS

CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
(CA INDEX NAME)



09/882,843

~~L89~~ ANSWER 36 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1997:640650 CAPLUS

DN 127:307403

TI Preparation of substituted 2,3-benzodiazepin-4-ones as antagonists or positive modulators of AMPA receptors

IN Xia, Haiji; Field, George; Lan, Nancy C.; Wang, Yan; Cai, Sui Xiong

PA Cocosys, Inc., USA; Acea Pharmaceuticals, Inc.; Xia, Haiji; Field, George; Lan, Nancy C.; Wang, Yan; Cai, Sui Xiong

SO PCT Int. Appl., 95 pp.

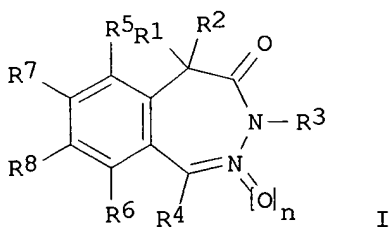
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9734878	A1	19970925	WO 1997-US3462	19970321
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9725270	A1	19971010	AU 1997-25270	19970321
	JP 2000506890	T2	20000606	JP 1997-533496	19970321
	EP 1021418	A1	20000726	EP 1997-916722	19970321
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
	ZA 9702496	A	19971002	ZA 1997-2496	19970324
PRAI	US 1996-13813P	P	19960321		
	WO 1997-US3462	W	19970321		
OS	MARPAT 127:307403				
GI					



AB The title compds. [I; R1, R2 = H, alkyl, aryl, etc.; R1R2 = carbocycle, heterocycle; R3 = H, alkyl, haloalkyl, etc.; R4 = (un)substituted aryl, fused aryl, heteroaryl, etc.; R5, R6 = H, halo, haloalkyl, etc.; R7, R8 = H, halo, aryl, etc.; n = 0-1], useful for treating, preventing or ameliorating neuronal loss assocd. with stroke, global and focal ischemia, CNS trauma, hypoglycemia and surgery, as well as treating or ameliorating neurodegenerative diseases including Alzheimer's disease, amyotrophic lateral sclerosis, Huntington's disease, Parkinson's disease and Down's syndrome, treating, preventing or ameliorating the adverse consequences of

the overstimulation of the excitatory amino acids, treating or ameliorating anxiety, psychosis, convulsions, chronic pain, glaucoma, CMV retinitis, urinary incontinence, muscular spasm and inducing anesthesia, as well as for treating or ameliorating the adverse consequences of excitatory amino acid deficiency such as schizophrenia, Alzheimer's disease and malnutrition and neural maldevelopment, and as cognition enhancers, were prepd. Thus, reaction of Me 4,5-methylenedioxy-2-(4-methylbenzoyl)phenylacetate with N₂H₄ and AcOH in EtOH afforded I [R₁-R₃ = H; R₄ = 4-MeC₆H₄; R₅-R₆ = H; R₇R₈ = OCH₂O; n = 0] which showed IC₅₀ of 15 .mu.M against AMPA receptors binding.

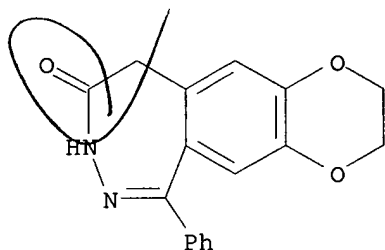
IT 197369-03-2P 197369-04-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted 2,3-benzodiazepin-4-ones as antagonists or pos. modulators of AMPA receptors)

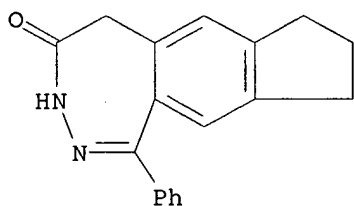
RN 197369-03-2 CAPLUS

CN 9H-1,4-Dioxino[2,3-h][2,3]benzodiazepin-9-one, 2,3,8,10-tetrahydro-6-phenyl- (9CI) (CA INDEX NAME)



RN 197369-04-3 CAPLUS

CN Indeno[5,6-d][1,2]diazepin-4(3H)-one, 5,7,8,9-tetrahydro-1-phenyl- (9CI) (CA INDEX NAME)



09/882,843

~~L~~9 ANSWER 37 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1997:533650 CAPLUS

~~DN~~ 127:205597

TI Condensed 2,3-benzodiazepine derivatives and their use as AMPA-receptor inhibitors

IN Csuzdi, Ernese; Hamori, Tamas; Abraham, Gizella; Solyom, Sandor; Tarnawa, Istvan; Berzsenyi, Pal; Andrasi, Ferenc; Ling, Istvan; et al.

PA Schering A.-G., Germany; Csuzdi, Ernese; Hamori, Tamas; Abraham, Gizella; Solyom, Sandor; Tarnawa, Istvan; Berzsenyi, Pal; Andrasi, Ferenc

SO PCT Int. Appl., 71 pp.

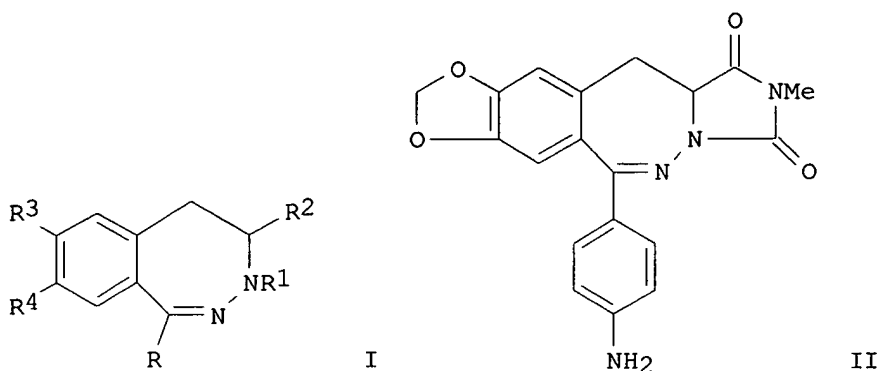
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9728163	A1	19970807	WO 1997-DE234	19970129
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	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	DE 19604919	A1	19970807	DE 1996-19604919	19960201
	CA 2245539	AA	19970807	CA 1997-2245539	19970129
	AU 9725029	A1	19970822	AU 1997-25029	19970129
	AU 724956	B2	20001005		
	EP 888356	A1	19990107	EP 1997-916315	19970129
	EP 888356	B1	20020320		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CN 1210538	A	19990310	CN 1997-192012	19970129
	BR 9707335	A	19990720	BR 1997-7335	19970129
	JP 2000503997	T2	20000404	JP 1997-527248	19970129
	CZ 289658	B6	20020313	CZ 1998-2427	19970129
	AT 214703	E	20020415	AT 1997-916315	19970129
	ZA 9700848	A	19970804	ZA 1997-848	19970131
	TW 438801	B	20010607	TW 1997-86101218	19970201
	US 5807851	A	19980915	US 1997-832777	19970404
	NO 9803510	A	19980930	NO 1998-3510	19980730
	US 6323197	B1	20011127	US 1998-117508	19981105
	US 2002052364	A1	20020502	US 2001-993646	20011127
PRAI	DE 1996-19604919	A	19960201		
	WO 1997-DE234	W	19970129		
	US 1998-117508	A3	19981105		
OS	MARPAT 127:205597				
GI					



AB Title compds. I [R = Ph, substituted Ph; R1R2 = atoms required to complete a 5-membered heterocycle, contg. addnl. N or O; R3 = H, halogen; R4 = alkoxy; R3R4 = O(CH2)nO; n = 1-3] were prepd. Owing to their non-competitive inhibition of the AMPA receptors, these compds. can be used as medicaments for treating diseases of the central nervous system (no data). Thus, the title compd. II was prepd. from 8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine by oxidn. of the Me group, reaction with MeNCo, and redn. of the nitro group.

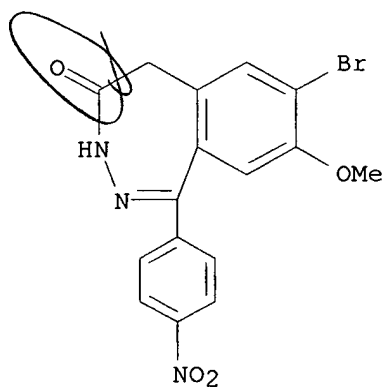
IT **194671-64-2 194730-14-8**

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of condensed 2,3-benzodiazepine derivs. as AMPA-receptor inhibitors)

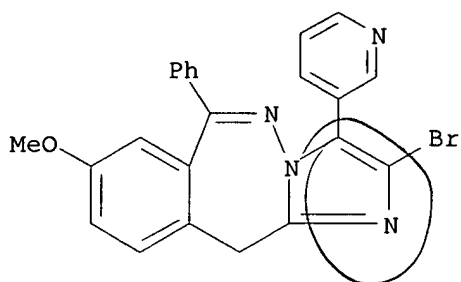
RN 194671-64-2 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 7-bromo-3,5-dihydro-8-methoxy-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 194730-14-8 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 2-bromo-8-methoxy-6-phenyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



IT 194729-30-1P 194729-31-2P 194729-32-3P

194729-36-7P 194729-83-4P 194729-89-0P

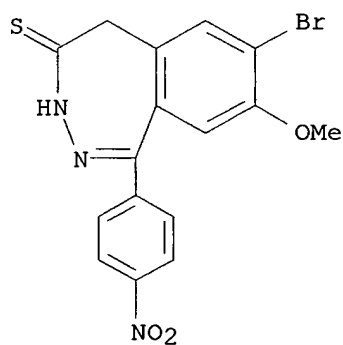
194730-01-3P 194730-03-5P 194730-05-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of condensed 2,3-benzodiazepine derivs. as AMPA-receptor inhibitors)

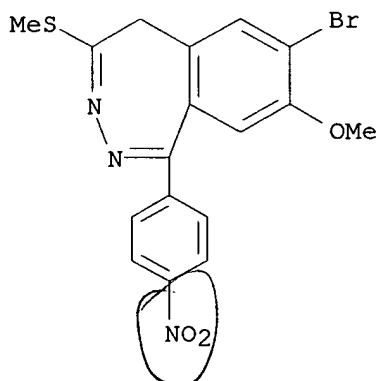
RN 194729-30-1 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 7-bromo-3,5-dihydro-8-methoxy-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 194729-31-2 CAPLUS

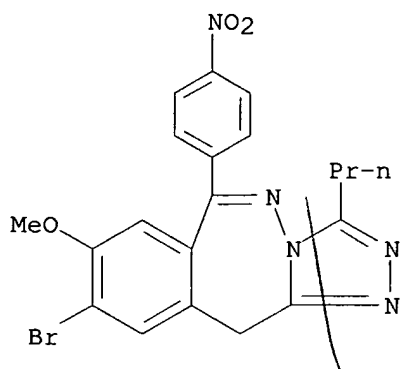
CN 5H-2,3-Benzodiazepine, 7-bromo-8-methoxy-4-(methylthio)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 194729-32-3 CAPLUS

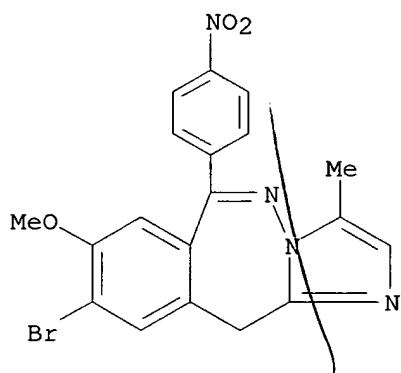
09/882,843

CN 11H-1,2,4-Triazolo[4,3-c][2,3]benzodiazepine, 9-bromo-8-methoxy-6-(4-nitrophenyl)-3-propyl- (9CI) (CA INDEX NAME)



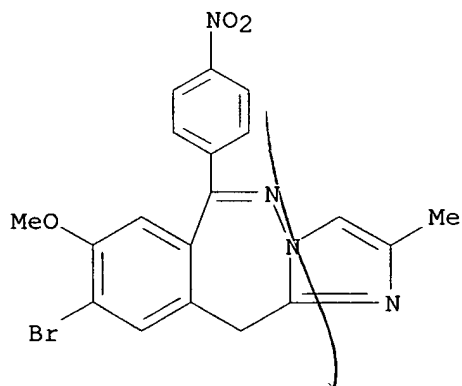
RN 194729-36-7 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 9-bromo-8-methoxy-3-methyl-6-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 194729-83-4 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 9-bromo-8-methoxy-2-methyl-6-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

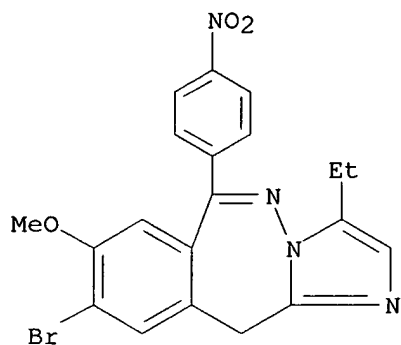


RN 194729-89-0 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 9-bromo-3-ethyl-8-methoxy-6-(4-

09/882,843

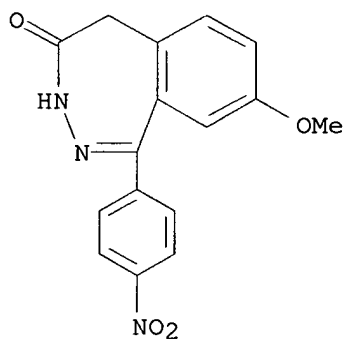
nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

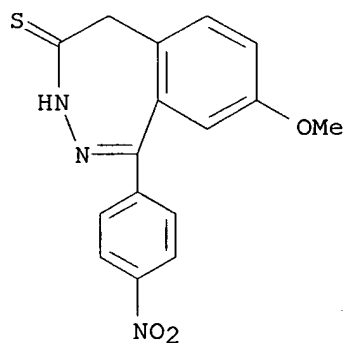
RN 194730-01-3 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-8-methoxy-1-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)

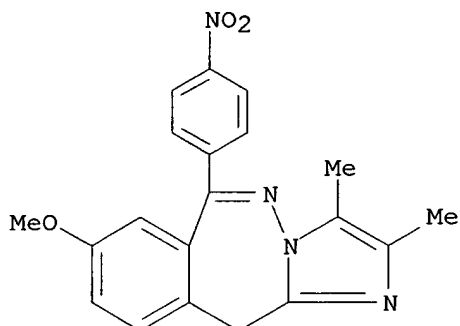


RN 194730-03-5 CAPLUS

CN 4H-2,3-Benzodiazepine-4-thione, 3,5-dihydro-8-methoxy-1-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



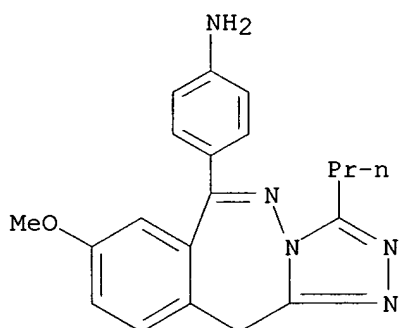
RN 194730-05-7 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-methoxy-2,3-dimethyl-6-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



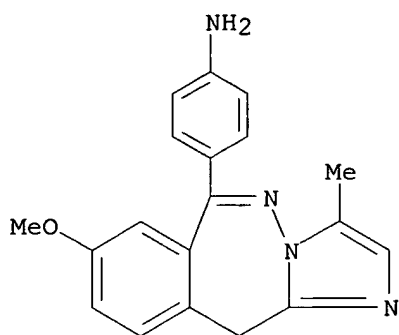
IT 194729-33-4P 194729-34-5P 194729-38-9P
 194729-40-3P 194729-87-8P 194729-88-9P
 194729-93-6P 194730-04-6P 194730-06-8P
 194730-13-7P 194730-20-6P 194730-21-7P
 194730-22-8P 194730-24-0P 194730-25-1P
 194730-26-2P 194730-27-3P 194730-28-4P
 194730-29-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of condensed 2,3-benzodiazepine derivs. as AMPA-receptor inhibitors)

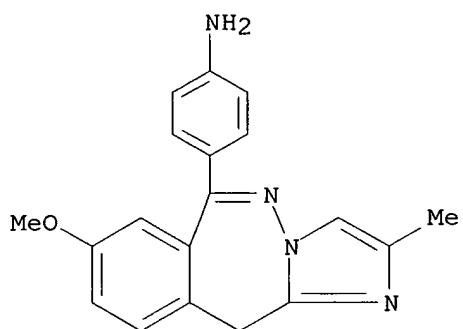
RN 194729-33-4 CAPLUS
 CN Benzenamine, 4-(8-methoxy-3-propyl-11H-1,2,4-triazolo[4,3-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



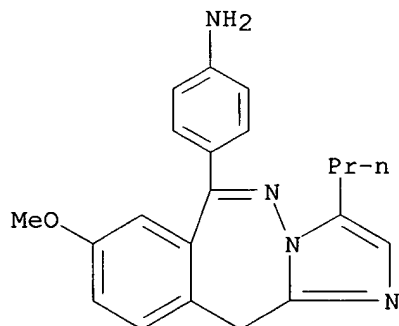
RN 194729-34-5 CAPLUS
 CN Benzenamine, 4-(8-methoxy-3-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



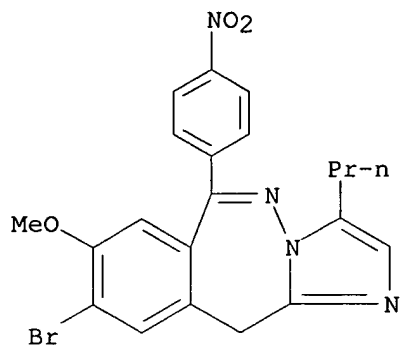
RN 194729-38-9 CAPLUS
 CN Benzenamine, 4-(8-methoxy-2-methyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



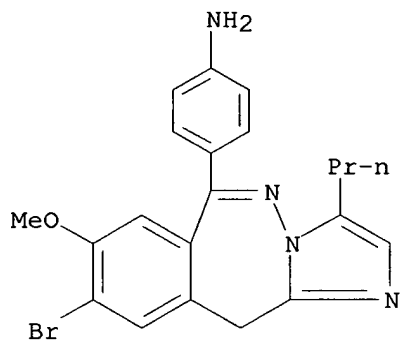
RN 194729-40-3 CAPLUS
 CN Benzenamine, 4-(8-methoxy-3-propyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



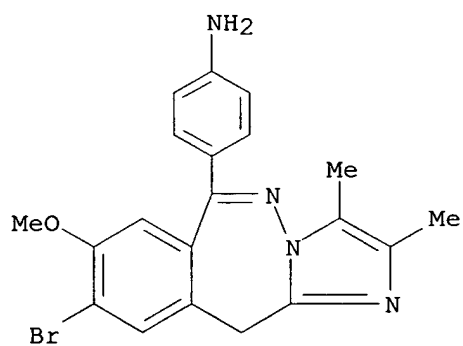
RN 194729-87-8 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 9-bromo-8-methoxy-6-(4-nitrophenyl)-3-propyl- (9CI) (CA INDEX NAME)



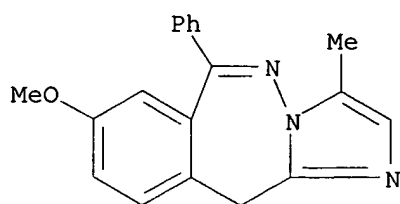
RN 194729-88-9 CAPLUS
 CN Benzenamine, 4-(9-bromo-8-methoxy-3-propyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



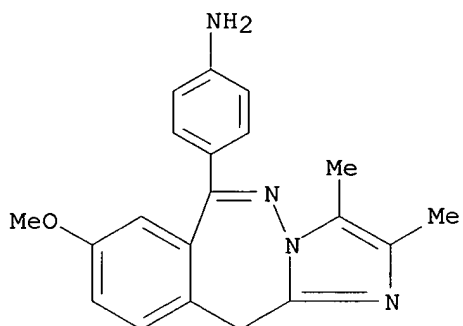
RN 194729-93-6 CAPLUS
 CN Benzenamine, 4-(9-bromo-8-methoxy-2,3-dimethyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



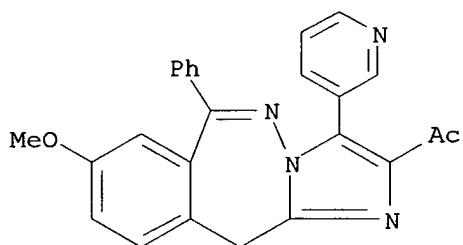
RN 194730-04-6 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-methoxy-3-methyl-6-phenyl- (9CI) (CA INDEX NAME)



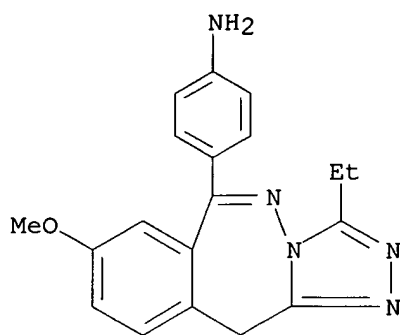
RN 194730-06-8 CAPLUS
 CN Benzenamine, 4-(8-methoxy-2,3-dimethyl-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



RN 194730-13-7 CAPLUS
 CN Ethanone, 1-[8-methoxy-6-phenyl-3-(3-pyridinyl)-11H-imidazo[1,2-c][2,3]benzodiazepin-2-yl]- (9CI) (CA INDEX NAME)

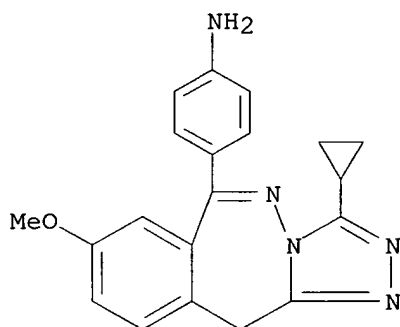


RN 194730-20-6 CAPLUS
 CN Benzenamine, 4-(3-ethyl-8-methoxy-11H-1,2,4-triazolo[4,3-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



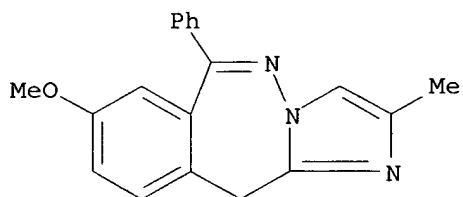
RN 194730-21-7 CAPLUS

CN Benzenamine, 4-(3-cyclopropyl-8-methoxy-11H-1,2,4-triazolo[4,3-c][2,3]benzodiazepin-6-yl)- (9CI) (CA INDEX NAME)



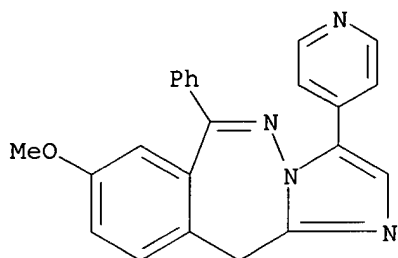
RN 194730-22-8 CAPLUS

CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-methoxy-2-methyl-6-phenyl- (9CI) (CA INDEX NAME)

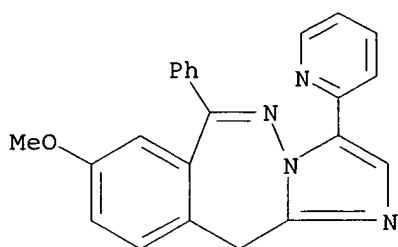


RN 194730-24-0 CAPLUS

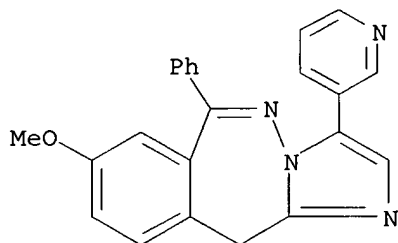
CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-methoxy-6-phenyl-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



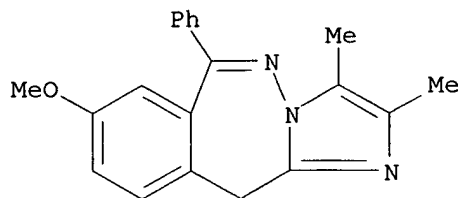
RN 194730-25-1 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-methoxy-6-phenyl-3-(2-pyridinyl)-
 (9CI) (CA INDEX NAME)



RN 194730-26-2 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-methoxy-6-phenyl-3-(3-pyridinyl)-
 (9CI) (CA INDEX NAME)



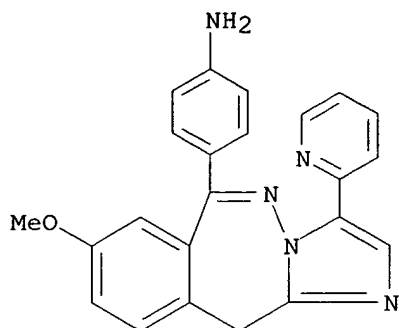
RN 194730-27-3 CAPLUS
 CN 11H-Imidazo[1,2-c][2,3]benzodiazepine, 8-methoxy-2,3-dimethyl-6-phenyl-
 (9CI) (CA INDEX NAME)



09/882,843

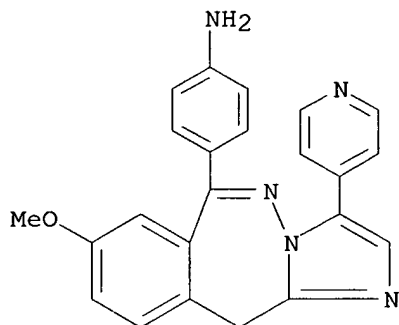
RN 194730-28-4 CAPLUS

CN Benzenamine, 4-[8-methoxy-3-(2-pyridinyl)-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl]- (9CI) (CA INDEX NAME)



RN 194730-29-5 CAPLUS

CN Benzenamine, 4-[8-methoxy-3-(4-pyridinyl)-11H-imidazo[1,2-c][2,3]benzodiazepin-6-yl]- (9CI) (CA INDEX NAME)



L39 ANSWER 38 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1997:533626 CAPLUS

DN 127:205596

TI 2,3-benzodiazepine derivatives and their use as AMPA-receptor inhibitors

IN Ling, Istvan; Abraham, Gizella; Solyom, Sandor; Hamori, Tamas; Tarnawa, Istvan; Berzsenyi, Pal; Andrasi, Ferenc; Csuzdi, Emese; Szollosy, Marta; Simay, Antal; Pallagi, Istvan; Horvath, Katalin

PA Schering A.-G., Germany; Ling, Istvan; Abraham, Gizella; Solyom, Sandor; Hamori, Tamas; Tarnawa, Istvan; Berzsenyi, Pal; Andrasi, Ferenc; Csuzdi, Emese; et al.

SO PCT Int. Appl., 30 pp.

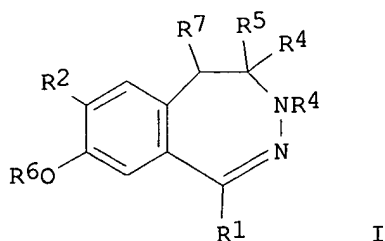
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9728135	A1	19970807	WO 1997-DE225	19970129
	W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	DE 19604920	A1	19970807	DE 1996-19604920	19960201
	CA 2244777	AA	19970807	CA 1997-2244777	19970129
	AU 9722859	A1	19970822	AU 1997-22859	19970129
	EP 888313	A1	19990107	EP 1997-915277	19970129
	EP 888313	B1	20020417		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2000505793	T2	20000516	JP 1997-527247	19970129
	AT 216373	E	20020515	AT 1997-915277	19970129
	ZA 9700850	A	19970804	ZA 1997-850	19970131
	US 6200970	B1	20010313	US 1998-125008	19981105
PRAI	DE 1996-19604920	A	19960201		
	WO 1997-DE225	W	19970129		
OS	MARPAT 127:205596				
GI					



AB Title compds. I [R1 = (un)substituted Ph; R2 = H, halogen; R3 = H, acyl, alkyl, cycloalkyl; R4 = (un)substituted alkyl; R5, R7 = H; R3R5, R5R7 = bond; R4R5 = O; R6 = alkyl] were prepd. Owing to their non-competitive inhibiting of the AMPA receptors, these compds. can be used as medicaments for treating diseases of the central nervous system. Thus, I [R1 =

4-H₂NC₆H₄, R₂, R₃, R₇ = H, R₄R₅ = O, R₆ = Me] was prepd. from 3,4-Br(MeO)C₆H₃CH₂CO₂Me by redn. to the alc., reaction with 4-O₂NC₆H₄CHO, Jones oxidn. of the resulting isochroman, cyclization with N₂H₄, and redn. of the nitro group.

IT 194671-64-2P 194671-73-3P 194671-81-3P

194671-84-6P 194671-86-8P 194671-90-4P

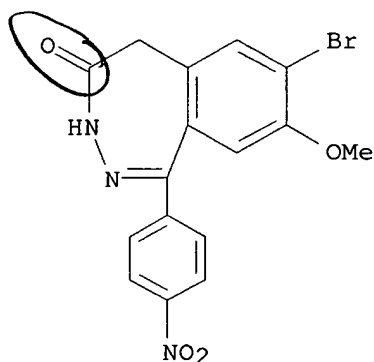
194672-01-0P 194672-15-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 2,3-benzodiazepines as AMPA receptor inhibitors)

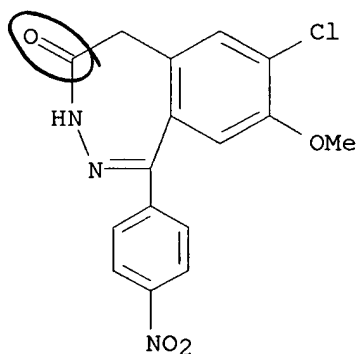
RN 194671-64-2 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 7-bromo-3,5-dihydro-8-methoxy-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



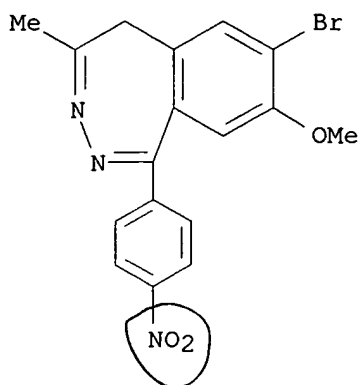
RN 194671-73-3 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 7-chloro-3,5-dihydro-8-methoxy-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



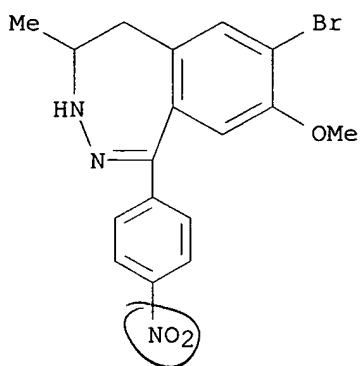
RN 194671-81-3 CAPLUS

CN 5H-2,3-Benzodiazepine, 7-bromo-8-methoxy-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



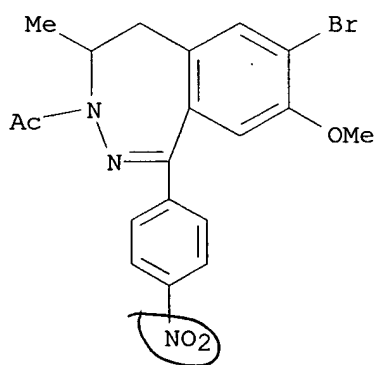
RN 194671-84-6 CAPLUS

CN 3H-2,3-Benzodiazepine, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 194671-86-8 CAPLUS

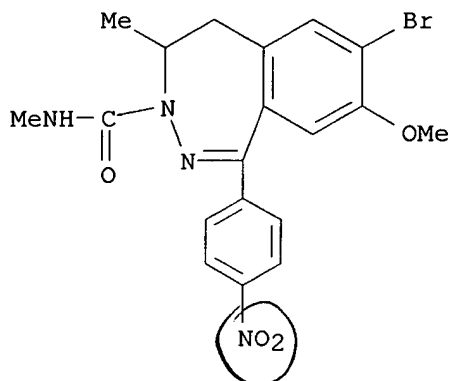
CN 3H-2,3-Benzodiazepine, 3-acetyl-7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 194671-90-4 CAPLUS

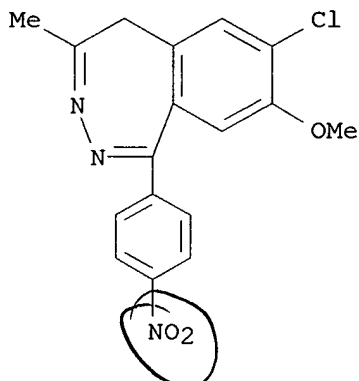
CN 3H-2,3-Benzodiazepine-3-carboxamide, 7-bromo-4,5-dihydro-8-methoxy-N,4-dimethyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

09/882,843



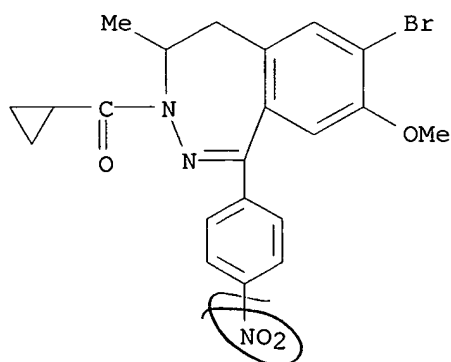
RN 194672-01-0 CAPLUS

CN 5H-2,3-Benzodiazepine, 7-chloro-8-methoxy-4-methyl-1-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



RN 194672-15-6 CAPLUS

CN 3H-2,3-Benzodiazepine, 7-bromo-3-(cyclopropylcarbonyl)-4,5-dihydro-8-
methoxy-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



IT 194671-66-4P 194671-74-4P 194671-83-5P

194671-88-0P 194671-92-6P 194672-03-2P

194672-13-4P 194672-16-7P 194672-18-9P

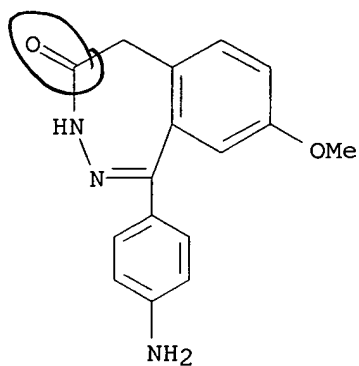
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2,3-benzodiazepines as AMPA receptor inhibitors)

09/882,843

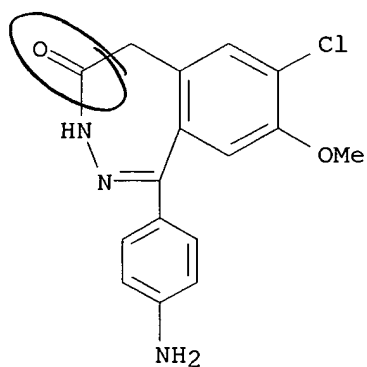
RN 194671-66-4 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-8-methoxy- (9CI)
(CA INDEX NAME)



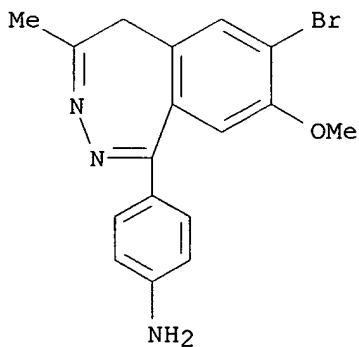
RN 194671-74-4 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-7-chloro-3,5-dihydro-8-methoxy- (9CI) (CA INDEX NAME)



RN 194671-83-5 CAPLUS

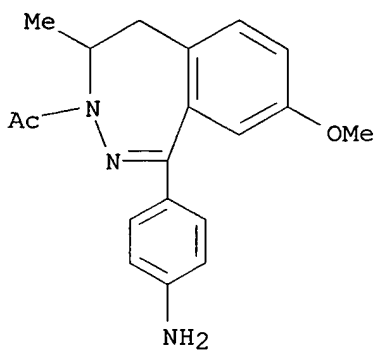
CN Benzenamine, 4-(7-bromo-8-methoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 194671-88-0 CAPLUS

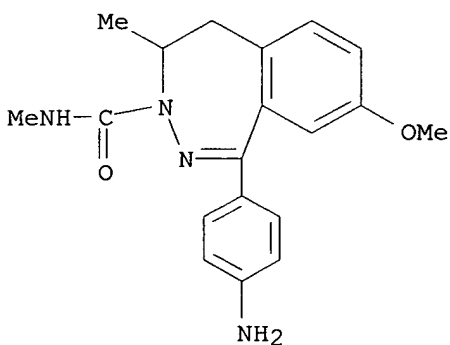
09/882,843

CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl- (9CI) (CA INDEX NAME)



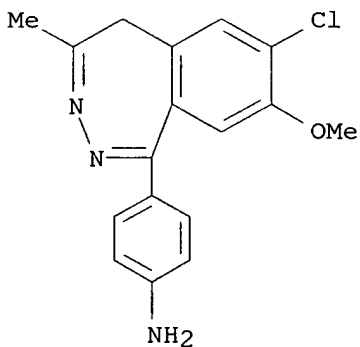
RN 194671-92-6 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-4,5-dihydro-8-methoxy-N,4-dimethyl- (9CI) (CA INDEX NAME)



RN 194672-03-2 CAPLUS

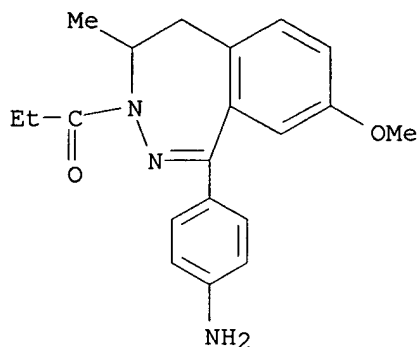
CN Benzenamine, 4-(7-chloro-8-methoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 194672-13-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl-3-

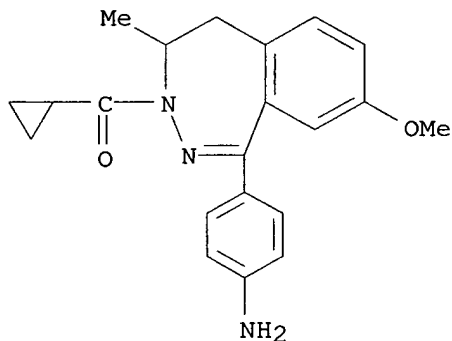
(1-oxopropyl)- (9CI) (CA INDEX NAME)



Ex 8

RN 194672-16-7 CAPLUS

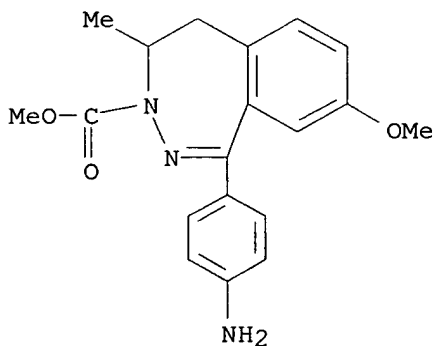
CN 3H-2,3-Benzodiazepine, 1-(4-aminophenyl)-3-(cyclopropylcarbonyl)-4,5-dihydro-8-methoxy-4-methyl- (9CI) (CA INDEX NAME)



Ex 9

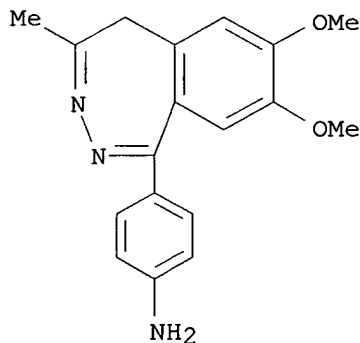
RN 194672-18-9 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxylic acid, 1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl-, methyl ester (9CI) (CA INDEX NAME)



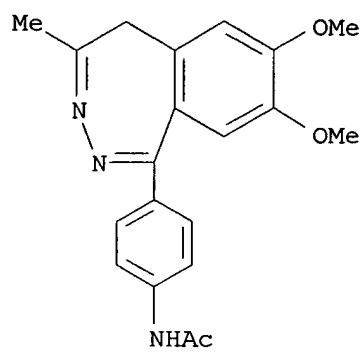
see 45 of 80

~~129~~ ANSWER 39 OF 80 CAPLUS COPYRIGHT 2002 ACS
 AN 1997:518908 CAPLUS
 DN 127:171050
 TI Human pharmacokinetic study of nerisopam and its N-acetyl metabolite
 AU Rona, Kalman; Ary, Kornelia; Renczes, Gabor; Gachalyi, Bela; Grezal, Gyula; Drabant, Sandor; Csorgo, Margit; Klebovich, Imre
 CS I. Belgyogyaszati Klinika, klinkai Farmakologiai Reszleg, Haynal Imre Egyszsegtudomanyi Egyetem, Budapest, Hung.
 SO Acta Pharmaceutica Hungarica (1997), 67(2-3), 65-71
 CODEN: APHGAO; ISSN: 0001-6659
 PB Ifjusagi Lap- es Konyvkiado Vallalat
 DT Journal
 LA Hungarian
 AB It was established during the human phase I study of nerisopam, a new anxiolytic drug, that nerisopam (EGIS-6775) shows 2-compartment behavior, while its N-acetyl metabolite (EGIS-7649) shows 1-compartment pharmacokinetic behavior. Acetylation of nerisopam is polymorphic, so that volunteers belonging to slow or fast acetylating group show significantly different plasma concns. Obsd. pharmacokinetic differences are primarily manifested in the absorption phase, and not in the elimination one. Accordingly, slow acetylators have higher nerisopam levels, while fast acetylators possess higher metabolite levels. Elimination phase is practically parallel for both compds. At the same time, significant differences are found in the AUC and Cmax values. Nerisopam is rapidly absorbed, but the N-acetyl metabolite appeared esp. rapidly in the blood. Our consideration is that nerisopam undergoes significant "first-pass" metab., the extent of which is different between the two acetylator phenotypes.
 IT 102771-12-0, Nerisopam 177034-98-9, Egis-7649
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (human pharmacokinetic study of nerisopam and its N-acetyl metabolite)
 RN 102771-12-0 CAPLUS
 CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 177034-98-9 CAPLUS
 CN Acetamide, N-[4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)phenyl]- (9CI) (CA INDEX NAME)

09/882,843



see 45980
 L99 ANSWER 40 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1997:518899 CAPLUS

DN 127:171049

TI Pharmacokinetic study of nerisopam and its N-acetyl metabolite in rats

AU Ary, Kornelia; Rona, Kalman; Renczes, Gabor; Gachalyi, Belá; Riesz, Tamas; Grezal, Gyula; Es Klebovich, Imre

CS I. Belgyogyaszati Klinika, Klinikai Farmakol. Reszleg, Haynal Imre Egeszsegtudomanyi Egyetem, Budapest, Hung.

SO Acta Pharmaceutica Hungarica (1997), 67(2-3), 59-63

CODEN: APHGAO; ISSN: 0001-6659

PB Ifjúsági Lap- és Könyvkiadó Vállalat

DT Journal

LA Hungarian

AB Three doses of nerisopam were administered to rats during a pharmacokinetic study of nerisopam and plasma concns. of nerisopam and its N-acetyl metabolite were detd. in parallel by means of a validated SPE-HPLC method developed by the authors. The pharmacokinetics of nerisopam could be described by a two-compartment open model in rats; it was absorbed rapidly and could be measured in plasma for about 8 h. The peak plasma concn. of the N-acetyl metabolite was reached rapidly a little bit later than that of the parent compd., similarly to the human plasma, and it could be measured for about 12 h. The pharmacokinetics of the N-acetyl metabolite could be described by a one-compartment open model. The fast appearance of the metabolite and the Cmax and AUC0-.infin. values higher than those of nerisopam refer to an intensive "first-pass" metab. The AUC-dose curves indicate that supposedly the mechanism transforming the N-acetyl metabolites are not as fast as the acetylation.

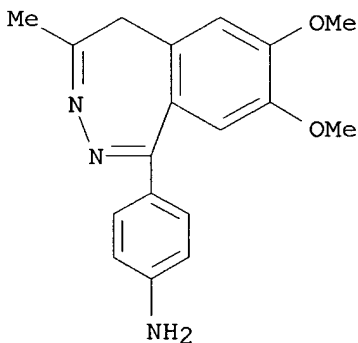
IT 102771-12-0, Nerisopam 177034-98-9, EGIS-7649

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(pharmacokinetic study of nerisopam and its N-acetyl metabolite in rats)

RN 102771-12-0 CAPLUS

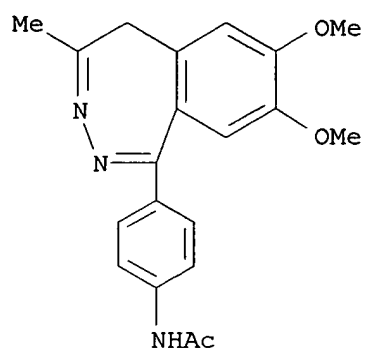
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 177034-98-9 CAPLUS

CN Acetamide, N-[4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)phenyl]- (9CI) (CA INDEX NAME)

09/882,843



see 63980
 L~~9~~9 ANSWER 41 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1997:453145 CAPLUS

DN 127:171096

TI Selective interaction of homophthalazine derivatives with morphine

AU Fekete, Marton I. K.; Horvath, Katalin; Kedves, Rita; Mate, Ildiko; Szekely, Jozsef I.; Szentkuti, Eszter

CS EGIS Pharmaceuticals Ltd., Budapest, Hung.

SO European Journal of Pharmacology (1997), 331(2/3), 175-183

CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier

DT Journal

LA English

AB Homophthalazines show specific binding sites in the nigrostriatal system and to find their target of action the interactions between these derivs., nerisopam and girisopam, and chlorpromazine, chlordiazepoxide and morphine were assessed. The compds. did not influence the chlorpromazine-induced decrease in motility and catalepsy, nor did they alter the antiaggressive and anticonvulsive action of chlordiazepoxide. However, nerisopam and girisopam augmented the agonist potency of morphine to induce catalepsy or analgesia; they also altered the opioid antagonist potency of naloxone. The naloxone-induced decrease in sucrose consumption in drinking water was augmented by nerisopam and girisopam. It is suggested that a possible target of action of homophthalazines is the opioid signal transduction.

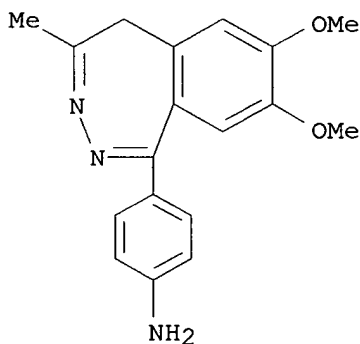
IT 102771-12-0, Nerisopam

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(selective interaction of homophthalazine derivs. with morphine)

RN 102771-12-0 CAPLUS

CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
 (CA INDEX NAME)



see 63980
 1289 ANSWER 42 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1997:420263 CAPLUS

DN 127:130821

TI Anxiolytic homophthalazines increase Fos-like immunoreactivity in selected brain areas of the rat

AU Palkovits, Miklos; Baffi, Judit S.; Berzsenyi, Pal; Horvath, Edit J.

CS Laboratory of Neuromorphology, Semmelweis University Medical School, Budapest, H-1094, Hung.

SO European Journal of Pharmacology (1997), 331(1), 53-63
 CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier

DT Journal

LA English

AB Nerisopam, an anxiolytic and antipsychotic homophthalazine, induces rapid, intense expression of Fos-like immunoreactivity in the rostral, dorsomedial and lateral parts of the striatum in the rat. Fos-pos. cells also occurred in the globus pallidus, the olfactory tubercle and in the accumbens nucleus (in the cone and shell portions) but the substantia nigra, the entopeduncular and the subthalamic nuclei were virtually Fos-neg. 5 h after nerisopam application; however, cells in the reticular zone of the substantia nigra showed Fos-like immunopositivity. After a daily application of nerisopam for two weeks, relatively weak Fos-like immunoreactivity was obsd. in the striatum and the subthalamic nucleus but not in the globus pallidus. Unilateral surgical transection of the striato-nigral pathway, which depleted tyrosine hydroxylase immunostaining in the ipsilateral striatum, did not influence nerisopam-induced Fos-like immunoreactivity in the striatal neurons, either ipsi- or contralateral to the knife cut. The results suggest that the striatal neurons are the primary targets of this anxiolytic and antipsychotic drug in the central nervous system.

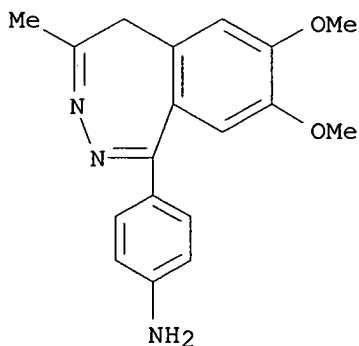
IT 102771-12-0, Nerisopam

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anxiolytic homophthalazines increase Fos-like immunoreactivity in selected brain areas of rat)

RN 102771-12-0 CAPLUS

CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
 (CA INDEX NAME)



~~D39~~ ANSWER 43 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1997:198077 CAPLUS

DN 126:194865

TI 1-Aryl-3,5-dihydro-4H-2,3-benzodiazepin-4-ones: Novel AMPA Receptor Antagonists

AU Chimirri, Alba; De Sarro, Giovambattista; De Sarro, Angela; Gitto, Rosaria; Grasso, Silvana; Quartarone, Silvana; Zappala, Maria; Giusti, Piero; Libri, Vincenzo; Constanti, Andrew; Chapman, Astrid G.

CS Dipartimento Farmaco-Chimico, Universita di Messina, Messina, 98168, Italy

SO Journal of Medicinal Chemistry (1997), 40(8), 1258-1269

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB Our previous publication (Eur. J. Pharmacol. 1995, 294, 411-422) reported preliminary chem. and biol. studies of some 2,3-benzodiazepines, analogs of 1-(4-aminophenyl)-4-methyl-7,8-(methylenedioxy)-5H-2,3-benzodiazepine (GYKI 52466), which have been shown to possess significant anticonvulsant activity. This paper describes the synthesis of new 1-aryl-3,5-dihydro-4H-2,3-benzodiazepin-4-ones and the evaluation of their anticonvulsant effects. The obsd. findings extend the structure-activity relationships previously suggested for this class of anticonvulsants. The seizures were evoked both by auditory stimulation in DBA/2 mice and by pentylenetetrazole or maximal electroshock in Swiss mice. 1-(4'-Aminophenyl)- (I) and 1-(3'-aminophenyl)-3,5-dihydro-7,8-dimethoxy-4H-2,3-benzodiazepin-4-one (II), the most active compds. of the series, proved to be more potent than GYKI 52466 in all tests employed. In particular, the ED50 values against tonus evoked by auditory stimulation were 12.6 .mu.mol/kg for I, 18.3 .mu.mol/kg for II, and 25.3 .mu.mol/kg for GYKI 52466. Higher doses were necessary to block tonic extension induced both by maximal electroshock and by pentylenetetrazole. In addn. these compds. exhibited anticonvulsant properties that were longer lasting than those of GYKI 52466 and were less toxic. The novel 2,3-benzodiazepines were also investigated for a possible correlation between their anticonvulsant activities against convulsions induced by 2-amino-(3-hydroxy-5-methylisoxazol-4-yl)propionic acid (AMPA) and their affinities for benzodiazepine receptors (BZR). The 2,3-benzodiazepines did not affect the binding of [3H]flumazenil to BZR, and conversely, their anticonvulsant effects were not reversed by flumazenil. On the other hand the 2,3-benzodiazepines antagonized seizures induced by AMPA and aniracetam in agreement with an involvement of the AMPA receptor. In addn., both I and GYKI 52466 markedly reduced the AMPA receptor-mediated membrane currents in guinea-pig olfactory cortical neurons in vitro in a noncompetitive manner. Some of the compds. failed to displace specific ligands from N-methyl-D-aspartate (NMDA), AMPA/kainate, or metabotropic glutamate receptors.

IT 41148-41-8 102693-05-0 102693-14-1

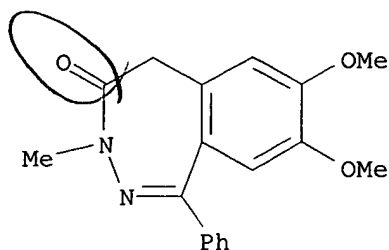
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(prepn. and anticonvulsant structure activity relations of benzodiazepinone AMPA receptor antagonists)

RN 41148-41-8 CAPLUS

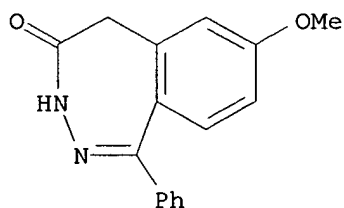
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)

09/882,843



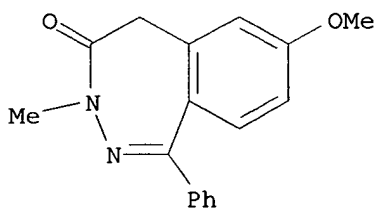
RN 102693-05-0 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7-methoxy-1-phenyl- (9CI) (CA INDEX NAME)



RN 102693-14-1 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7-methoxy-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)



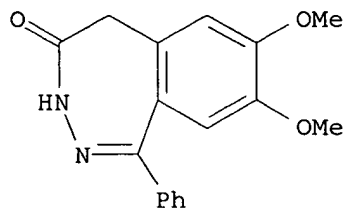
IT 41148-42-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

(prepn. and anticonvulsant structure activity relations of benzodiazepinone AMPA receptor antagonists)

RN 41148-42-9 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA INDEX NAME)

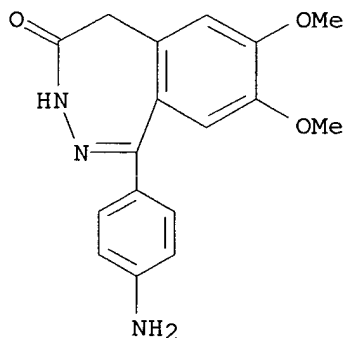


IT 178616-26-7P 187940-12-1P 187940-14-3P
187940-25-6P 187940-26-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and anticonvulsant structure activity relations of benzodiazepinone AMPA receptor antagonists)

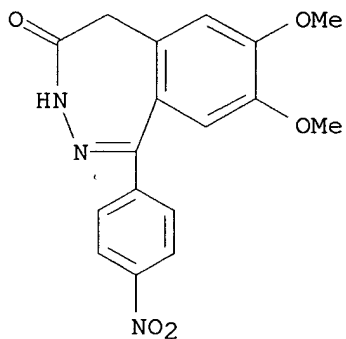
RN 178616-26-7 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-
(9CI) (CA INDEX NAME)



RN 187940-12-1 CAPLUS

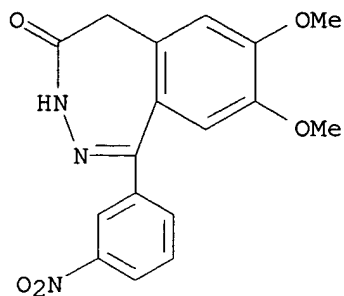
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



RN 187940-14-3 CAPLUS

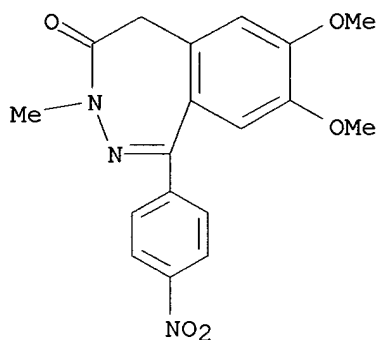
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-(3-nitrophenyl)-
(9CI) (CA INDEX NAME)

09/882,843



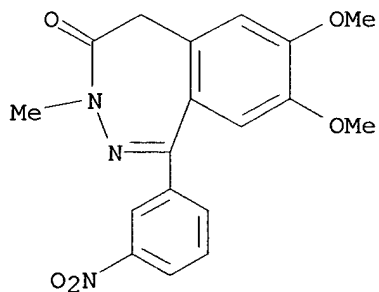
RN 187940-25-6 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 187940-26-7 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



IT 187940-28-9P 187940-29-0P 187940-30-3P

187940-31-4P 187940-33-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

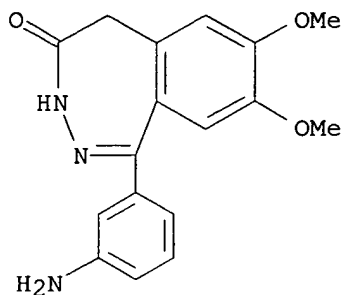
(prepn. and anticonvulsant structure activity relations of benzodiazepinone AMPA receptor antagonists)

RN 187940-28-9 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(3-aminophenyl)-3,5-dihydro-7,8-dimethoxy-

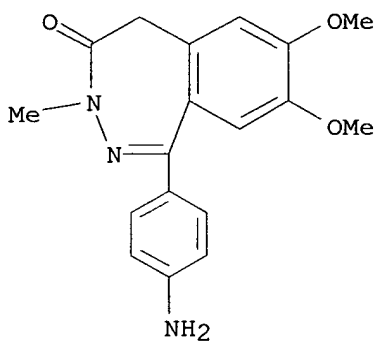
09/882,843

(9CI) (CA INDEX NAME)



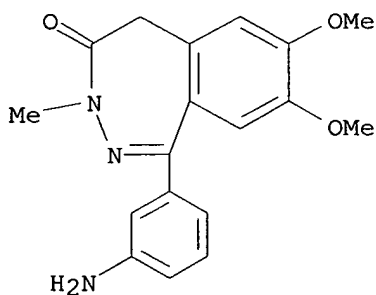
RN 187940-29-0 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-3-methyl- (9CI) (CA INDEX NAME)



RN 187940-30-3 CAPLUS

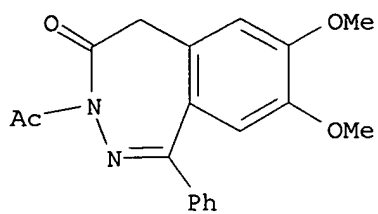
CN 4H-2,3-Benzodiazepin-4-one, 1-(3-aminophenyl)-3,5-dihydro-7,8-dimethoxy-3-methyl- (9CI) (CA INDEX NAME)



RN 187940-31-4 CAPLUS

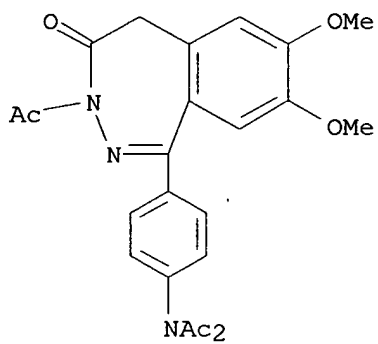
CN 4H-2,3-Benzodiazepin-4-one, 3-acetyl-3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA INDEX NAME)

09/882,843



RN 187940-33-6 CAPLUS

CN Acetamide, N-acetyl-N-[4-(3-acetyl-4,5-dihydro-7,8-dimethoxy-4-oxo-3H-2,3-benzodiazepin-1-yl)phenyl]- (9CI) (CA INDEX NAME)



09/882,843

~~LS9~~ ANSWER 44 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1996:328687 CAPLUS

DN 125:85918

TI Electronic and conformational properties of 2,3-benzodiazepine derivatives

AU Pelaggi, M. R.; Girlanda, R.; Chimirri, A.; Gitto, R.

CS Dip. Fis. Mater. Geofis. Fis. Ambiente, Univ. Messina, Messina, I-98166, Italy

SO Nuovo Cimento della Societa Italiana di Fisica, D: Condensed Matter, Atomic, Molecular and Chemical Physics, Fluids, Plasmas, Biophysics (1996), 18D(4), 389-403

CODEN: NCSDDN; ISSN: 0392-6737

PB Editrice Compositori

DT Journal

LA English

AB The mol. geometries and electronic structures of 2,3-benzodiazepine derivs. have been studied by the MNDO-PM3 method. Both electronic and structural properties appear responsible for the varying degree of anticonvulsant activity exhibited by these compds.

IT **41148-41-8 41148-42-9 102693-05-0**

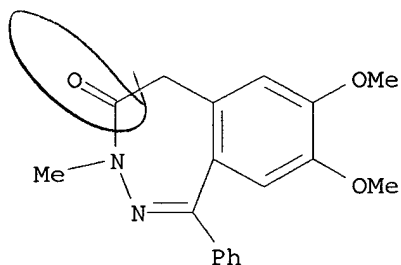
178616-26-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(electronic and conformational properties of 2,3-benzodiazepine derivs. in relation to anticonvulsant activity)

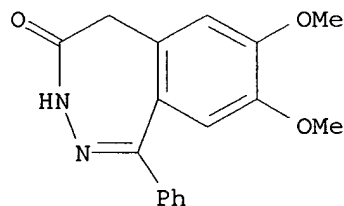
RN 41148-41-8 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 41148-42-9 CAPLUS

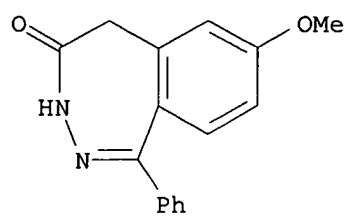
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA INDEX NAME)



RN 102693-05-0 CAPLUS

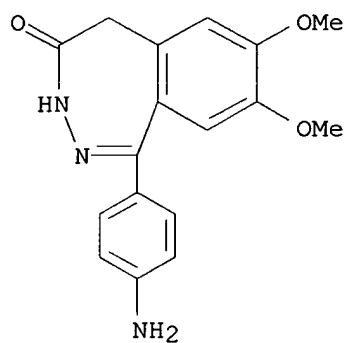
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7-methoxy-1-phenyl- (9CI) (CA INDEX NAME)

09/882,843

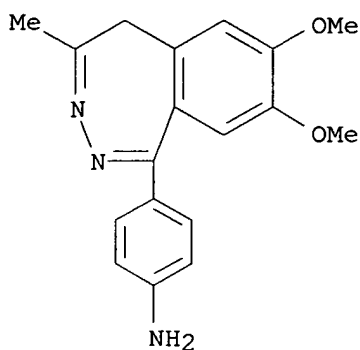


RN 178616-26-7 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(4-aminophenyl)-3,5-dihydro-7,8-dimethoxy-
(9CI) (CA INDEX NAME)



L39 ANSWER 45 OF 80 CAPLUS COPYRIGHT 2002 ACS
AN 1996:251577 CAPLUS
DN 125:216
TI Simultaneous determination of nerisopam, a novel anxiolytic agent showing polymorphic metabolism, and its N-acetyl metabolite from human plasma by a validated high-performance liquid chromatographic method
AU Rona, K.; Ary, K.; Gachalyi, B.; Klebovich, I.; Tomori, E.
CS Haynal Imre University of Medical Sciences, Department of I. Medicine, P.O. Box 112, Budapest, 1389, Hung.
SO Journal of Chromatography, B: Biomedical Applications (1996), 678(1), 63-72
CODEN: JCBBEP; ISSN: 0378-4347
PB Elsevier
DT Journal
LA English
AB A sensitive reversed-phase high-performance liq. chromatog. method with UV absorbance detection has been developed to simultaneously det. the concns. of nerisopam (EGIS-6775) and its N-acetyl metabolite (EGIS-7649) from human plasma. The sepn. of the investigated compds. and internal std. was achieved on a Nucleosil 7 C18 column with 2 mM heptanesulfonic acid contg. 0.04 M phosphoric acid-acetonitrile-methanol (70:25:5, vol./vol.), pH 2.7 mobile phase. The detection was performed at 385 nm. The compds. were isolated from plasma by Bakerbond C18 solid-phase extn. The limit of quantitation was 10 ng/mL plasma for each compd. investigated. The assay has been validated with respect to accuracy, precision and system suitability. All validated parameters were within the necessary limits. On the basis of the sensitivity, linearity and validation parameters, the developed anal. method was suitable for the detn. of nerisopam and its N-acetyl metabolite from human plasma and for application in pharmacokinetic studies and human drug monitoring. The pharmacokinetic parameters obtained from twelve human volunteers are reported. It was found that nerisopam acetylation is polymorphic: the volunteers with fast or slow acetylator phenotypes produced significantly different plasma concns. In slow acetylator phenotypes the concn. of nerisopam was considerably higher in plasma, while the level of its acetyl metabolite was higher in plasma of fast acetylators.
IT **102771-12-0**, Nerisopam
RL: ANT (Analyte); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process)
(simultaneous detn. of anxiolytic nerisopam showing polymorphic metab. and its N-acetyl metabolite from human plasma by a validated high-performance liq. chromatog. method in relation to pharmacokinetics in humans)
RN 102771-12-0 CAPLUS
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
(CA INDEX NAME)

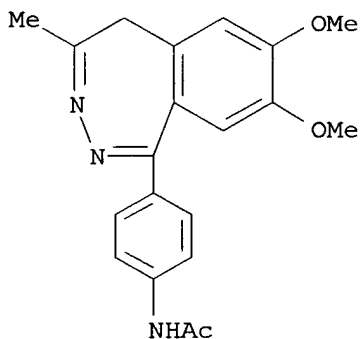


IT 177034-98-9, EGIS 7649

RL: ANT (Analyte); BPR (Biological process); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process) (simultaneous detn. of anxiolytic nerisopam showing polymorphic metab. and its N-acetyl metabolite from human plasma by a validated high-performance liq. chromatog. method in relation to pharmacokinetics in humans)

RN 177034-98-9 CAPLUS

CN Acetamide, N-[4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)phenyl]-(9CI) (CA INDEX NAME)



~~DS~~ ANSWER 46 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1996:7117 CAPLUS

DN 124:134768

TI GYKI 52466 and related 2,3-benzodiazepines as anticonvulsant agents in DBA/2 mice

AU De Sarro, Giovambattista; Chimirri, Alba; De Sarro, Angela; Gitto, Rosaria; Grasso, Silvana; Giusti, Piero; Chapman, Astrid G.

CS Chair of Pharmacology, Department of Experimental and Clinical Medicine, School of Medicine, University of Reggio Calabria, Reggio Calabria, Italy

SO European Journal of Pharmacology (1995), 294(2/3), 411-22

CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier

DT Journal

LA English

AB The behavioral and anticonvulsant effects of several 1-aryl-3,5-dihydro-4H-2,3-benzodiazepin-4-ones (2,3-BZs) and of 11b-aryl-7,11-dihydro-3-phenyl[1,2,4]oxadiazolo[5,4-a][2,3]benzodiazepin-6-ones (2,3-OBZs) were studied after i.p. administration in DBA/2 mice, a strain genetically susceptible to sound-induced seizures. The seizures were evoked by auditory stimulation (109 dB, 12-16 kHz) in animals placed singly under a hemispheric Perspex dome. The 2,3-benzodiazepines studied after 30 min pretreatment were generally less potent than the related deriv. 1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-5H-2,3-benzodiazepine hydrochloride (GYKI 52466) except 3,5-dihydro-7,8-dimethoxy-1-phenyl-4H-2,3-benzodiazepin-4-one (2,3-BZ-2) and 2,3-BZ-2M (3-Me deriv. of 2,3-BZ-2) which showed comparable activity. Thirty minutes after i.p. administration of 2,3-benzodiazepines, the rank order of potency for anticonvulsant activity against clonus was 2,3-BZ-2>GYKI 52466>2,3-BZ-2M>2,3-BZ-1>2,3-BZ-3>2,3-OBZ-1>2,3-OBZ-2>2,3-OBZ-3. The intracerebroventricular (i.c.v.) injection of aniracetam on its own (12.5-100 nmol/mouse) had no convulsant activity, but it reversed the anticonvulsant effects of some 2,3-benzodiazepines. In particular, the pharmacol. actions of GYKI 52466, 2,3-BZ-2 and 2,3-BZ-2M, which proved to be the most potent 2,3-benzodiazepine derivs. as anticonvulsants, were significantly reduced by an i.c.v. pretreatment with aniracetam (50 nmol/mouse). Concomitant treatment with aniracetam (50 nmol/mouse) shifted to the right the dose-response curves and significantly increased the ED50 values for GYKI 52466, 2,3-BZ-2 and 2,3-BZ-2M. After 30 min pretreatment 2,3-BZ-2 showed a similar potency to GYKI 52466 in antagonizing seizures induced by i.c.v. administration of .alpha.-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid (AMPA), thus suggesting a clear involvement of AMPA receptors in the anticonvulsant activity of these compds. In addn., 2,3-BZ-2 and 2,3-BZ-2M showed anticonvulsant properties longer lasting than GYKI 52466.

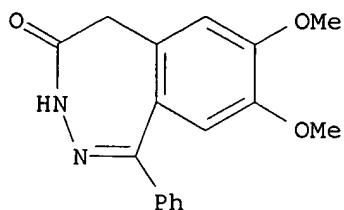
IT **41148-42-9P 102693-05-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(GYKI 52466 and related benzodiazepines as anticonvulsant agents in DBA/2 mice in relation to AMPA receptors and structure)

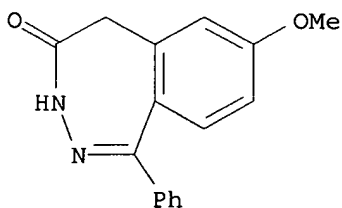
RN 41148-42-9 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA INDEX NAME)



RN 102693-05-0 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7-methoxy-1-phenyl- (9CI) (CA INDEX NAME)



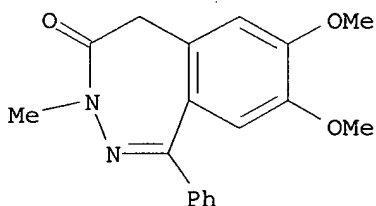
IT **41148-41-8**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(GYKI 52466 and related benzodiazepines as anticonvulsant agents in DBA/2 mice in relation to AMPA receptors and structure)

RN 41148-41-8 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)



2263980
 139 ANSWER 47 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1994:235934 CAPLUS

DN 120:235934

TI Autoradiographic localization and quantitative determination of specific binding sites of anxiolytic homophthalazines (formerly called 2,3-benzodiazepines) in the striato-pallido-nigral system of rats

AU Horvath, Edit J.; Palkovits, Miklos; Lenkei, Zsolt; Gyure, Katalin I.; Fekete, Marton I. K.; Aranyi, Peter

CS Institute for Drug Research, Budapest, H-1325, Hung.

SO Mol. Brain Res. (1994), 22(1-4), 211-18

CODEN: MBREE4; ISSN: 0169-328X

DT Journal

LA English

AB Homophthalazines (2,3-benzodiazepine-derivs., such as tofisopam, nerisopam, girisopam) constitute a drug family with strong anxiolytic and antipsychotic potencies. By autoradiog., all of these drugs showed a specific distribution pattern of binding sites exclusively in brain areas which relate to the striato-pallido-nigral system, while no specific label was found in any other brain areas in the rat. Quant. analyses of the autoradiograms by computerized densitometry, as well as by a receptor binding assay on 32 microdissected brain areas showed very high concns. of tritiated homophthalazines in the globus pallidus, caudate nucleus, putamen and the substantia nigra. Relatively high d. of binding sites was measured in the nucleus accumbens, the olfactory tubercle, the entopeduncular nucleus and the subthalamic nucleus. Concns. measured in the cerebral cortical areas, cerebellum or brainstem nuclei did not differ from the background. No significant differences were found between the homophthalazines investigated in terms of the distribution patterns or d. of binding sites.

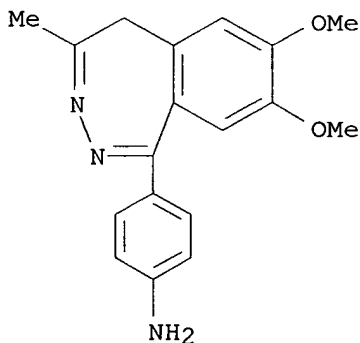
IT 102771-12-0, Nerisopam

RL: PROC (Process)

(uptake of, by brain striato-pallido-nigral system)

RN 102771-12-0 CAPLUS

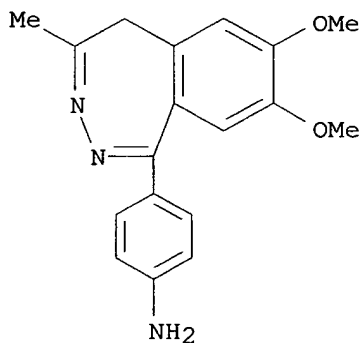
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
 (CA INDEX NAME)



see 63880

09/882,843

~~LA~~ ANSWER 48 OF 80 CAPLUS COPYRIGHT 2002 ACS
AN 1993:508793 CAPLUS
DN 119:108793
TI Anxiolytic profile of girisopam and GYKI 52322 (EGIS 6775). Comparison with chlordiazepoxide and buspirone
AU Horvath, Katalin; Andrasi, F.; Botka, P.; Hamori, T.
CS Inst. Drug Res., Budapest, H-1325, Hung.
SO Acta Physiol. Hung. (1992), 79(2), 153-61
CODEN: APHHDU; ISSN: 0231-424X
DT Journal
LA English
AB The 2,3-benzodiazepines girisopam and GYKI 52322 had anxiolytic properties in the lick conflict, the elevated plus maze, and the open field tests in rats; however, their pharmacol. profiles were considerably different from those of the ref. compds. chlordiazepoxide and buspirone. In the above tests, the order of anxiolytic potency was GYKI 52322 > chlordiazepoxide > girisopam > buspirone.
IT **102771-12-0**, GYKI 52322
RL: BIOL (Biological study)
(anxiolytic profile of)
RN 102771-12-0 CAPLUS
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
(CA INDEX NAME)



09/882,843

~~LA~~ 9 ANSWER 49 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1993:183407 CAPLUS

DN 118:183407

TI Drug for preventing and/or treating allergic disease

IN Mochizuki, Hidenori; Ishikawa, Hiroshi

PA Mochida Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 27 pp.

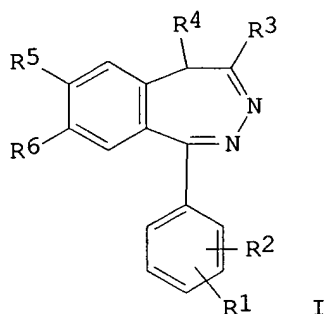
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9301815	A1	19930204	WO 1992-JP896	19920714
	W: HU, US				
	RW: FR				
	JP 05025145	A2	19930202	JP 1991-174007	19910715
	EP 548370	A1	19930630	EP 1992-914709	19920714
	R: FR				
	HU 64228	A2	19931228	HU 1993-1095	19920714
PRAI	JP 1991-174007		19910715		
	WO 1992-JP896		19920714		
OS	MARPAT 118:183407				
GI					



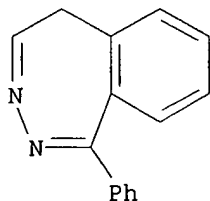
AB 1-Phenyl-5H-2,3-benzodiazepine derivs. (I; R1, R2, R5, R6 = H, OH, MeO, EtO; R3, R4 = H, Me, Et) are allergy inhibitors. The antiallergic activity of Tofisopam was demonstrated in mice.

IT 52095-33-7D, derivs.

RL: BIOL (Biological study)
(allergy inhibition by)

RN 52095-33-7 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-phenyl- (9CI) (CA INDEX NAME)



08863980
 D38 ANSWER 50 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1992:563752 CAPLUS

DN 117:163752

TI A novel specific binding site for anxiolytic homophthalazines in the rat brain

AU Salamon, Cecilia; Horvath, Edit J.; Fekete, Marton I. K.; Aranyi, Peter

CS Inst. Drug Res., Budapest, H-1325, Hung.

SO FEBS Lett. (1992), 308(2), 215-17

CODEN: FEBLAL; ISSN: 0014-5793

DT Journal

LA English

AB Radioligand binding studies were performed in order to elucidate the mechanism of action of anxiolytic-neuroleptic homophthalazines. Rat striatal membrane preps. were found to bind 3H-EGIS 6775 [3H-GYKI-52322, 3H-(1-(4-aminophenyl)-4-methyl-7,8-dimethoxy-5H-homophthalazine)] in a specific and displaceable manner. Several other brain regions tested were devoid of similar binding activity. Satn. anal. revealed that binding affinity was in the 10^{-8} - 10^{-7} M range. Binding was enhanced by Mg^{2+} ions and, to a smaller extent by Ca^{2+} ions. The binding principle was sensitive to heat or trypsin treatment. This specific binding site appears, according to competition studies, different from the receptors whose presence in the rat striatum has been reported earlier.

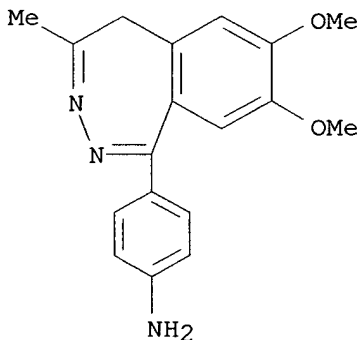
IT 102771-12-0, EGIS 6775

RL: PROC (Process)

(specific binding of, in brain striatum)

RN 102771-12-0 CAPLUS

CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
 (CA INDEX NAME)



09/882,843

DS9 ANSWER 51 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1992:551024 CAPLUS

DN 117:151024

TI Preparation of 1-(3-chlorophenyl)-4-hydroxymethyl-7,8-dimethoxy-5H-2,3-benzodiazepine as a CNS agent

IN Andrasi, Ferenc; Botka, Peter; Goldschmidt, Katalin; Hamori, Tamas; Horvath, Gyula; Korosi, Jenő; Moravcsik, Imre; Ruzs, Marta; Tomori, Eva; Zolyomi, Gabor

PA Egis Gyogyszergyar, Hung.

SO Brit. UK Pat. Appl., 19 pp.

CODEN: BAXXDU

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2248838	A1	19920422	GB 1991-22090	19911017
	GB 2248838	B2	19940406		
	HU 59381	A2	19920528	HU 1990-6469	19901017
	HU 207055	B	19930301		
	IL 99637	A1	19960618	IL 1991-99637	19911002
	DK 9101748	A	19920418	DK 1991-1748	19911016
	NO 9104056	A	19920421	NO 1991-4056	19911016
	RU 2058982	C1	19960427	RU 1991-5010047	19911016
	CZ 282249	B6	19970611	CZ 1991-3141	19911016
	SE 9103022	A	19920418	SE 1991-3022	19911017
	SE 506380	C2	19971208		
	FI 9104918	A	19920418	FI 1991-4918	19911017
	CA 2053622	AA	19920418	CA 1991-2053622	19911017
	FR 2668148	A1	19920424	FR 1991-12807	19911017
	FR 2668148	B1	19930730		
	AU 9185922	A1	19920430	AU 1991-85922	19911017
	AU 648111	B2	19940414		
	CN 1060838	A	19920506	CN 1991-109753	19911017
	CN 1033582	B	19961218		
	DE 4134402	A1	19920514	DE 1991-4134402	19911017
	NL 9101741	A	19920518	NL 1991-1741	19911017
	JP 04282374	A2	19921007	JP 1991-298535	19911017
	BE 1004995	A3	19930316	BE 1991-952	19911017
	US 5204343	A	19930420	US 1991-776771	19911017
	ES 2036137	A1	19930501	ES 1991-2303	19911017
	ES 2036137	B1	19940301		
	CH 682400	A	19930915	CH 1991-3051	19911017
	ZA 9108273	A	19941004	ZA 1991-8273	19911017
	AT 399506	B	19950526	AT 1991-2071	19911017
	PL 167108	B1	19950731	PL 1991-292091	19911017
PRAI	HU 1990-6469		19901017		

AB The title compd. (I), a CNS agent useful as an anxiolytic, was prepd. Thus, racemic 3-(3,4-dimethoxyphenyl)propane-1,2-diol was cyclocondensed with 3-ClC6H4CHO to give 1-(3-chlorophenyl)-3-hydroxymethyl-6,7-dimethoxyisochroman. This was acetylated by Ac2O then oxidized by Jones reagent to give 2-(3-acetoxyacetyl)-3'-chloro-4,5-dimethoxybenzophenone. The latter was cyclocondensed with H2NNH2 to give I. I at 50 mg/kg i.p. in rats gave an increase in no. of tolerated shocks from 5.7 \pm 0.6 to 13.8 \pm 3.7 in the "lick-conflict" test, demonstrating the anxiolytic effect of I. I had LD50 of 1309 mg/kg i.p. and \geq 2000 mg/kg orally in mice. Tablets contg. I were prepd.

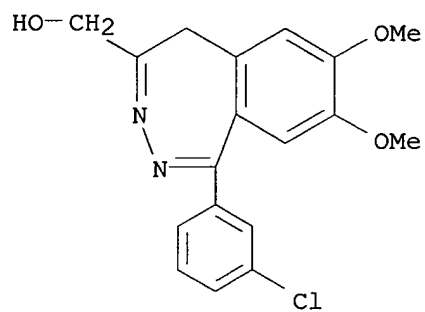
IT 142790-94-1P

09/882,843

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as CNS agent)

RN 142790-94-1 CAPLUS

CN 5H-2,3-Benzodiazepine-4-methanol, 1-(3-chlorophenyl)-7,8-dimethoxy- (9CI)
(CA INDEX NAME)



09/882,843

~~L39~~ ANSWER 52 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1992:490333 CAPLUS

~~DN~~ 117:90333

~~TI~~ Preparation of 4,6-dihydro-1H-2,4-benzodiazepines and analogs as antiarrhythmics

~~IN~~ Johnson, Robert Ed; Schlegel, Donald Charles

~~PA~~ Sterling Drug, Inc., USA

~~SO~~ Eur. Pat. Appl., 139 pp.

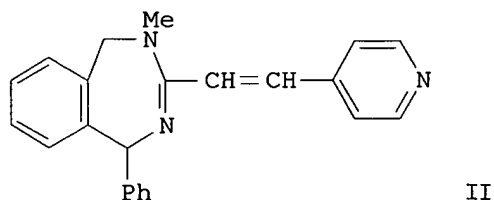
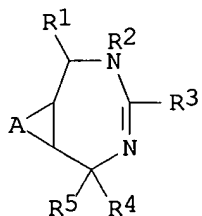
CODEN: EPXXDW

~~DT~~ Patent

~~LA~~ English

~~FAN.CNT~~ 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	EP 475527	A2	19920318	EP 1991-202290	19910906
	EP 475527	A3	19920617		
	EP 475527	B1	19980225		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AU 9182641	A1	19920312	AU 1991-82641	19910822
	AU 639821	B2	19930805		
	AT 163413	E	19980315	AT 1991-202290	19910906
	CA 2050962	AA	19920311	CA 1991-2050962	19910909
	JP 05339246	A2	19931221	JP 1991-229129	19910909
	RU 2114833	C1	19980710	RU 1991-5001677	19910909
	FI 9104258	A	19920311	FI 1991-4258	19910910
	NO 9103566	A	19920311	NO 1991-3566	19910910
	HU 64313	A2	19931228	HU 1991-2918	19910910
	IL 99452	A1	19961205	IL 1991-99452	19910911
	IL 113677	A1	19970610	IL 1991-113677	19910911
	AU 9337069	A1	19930729	AU 1993-37069	19930422
	AU 649919	B2	19940602		
	US 5380721	A	19950110	US 1994-250995	19940531
	US 5624922	A	19970429	US 1995-449457	19950524
	NO 9502465	A	19950620	NO 1995-2465	19950620
PRAI	US 1990-580065		19900910		
	US 1991-743853		19910613		
	NO 1991-3566		19910910		
	IL 1991-99452		19910911		
	US 1992-974396		19921110		
	US 1993-21926		19930224		
	US 1994-250995		19940531		
	US 1994-308893		19940919		
OS	MARPAT 117:90333				
GI					



AB Title compds., e.g., I [A = atoms to complete a fused cyclohexane or

(hetero) arom. ring, etc.; R1, R5 = H, alkyl, PhCH2, naphthyl, thienyl, pyridyl, Ph, etc.; R2 = H, alkyl, PhCH2, Ph, alkoxyethyl, pyrrolidinoethyl, etc.; R3 = Yp(CH2)mXnR8; R4 = H, (alkoxy)alkyl, allyl, alkoxy carbonyl, etc.; R8 = H, alkyl, thienyl, pyridyl, Ph, etc.; when n = 0 and m .noteq. 0; R8 may addnl. = halo, dialkylamino, etc.; X = SO0-2, CH(OH), CHNH2, CO, CO2, CH:CH, etc.; Y = NH, O, S, CHMe; m = 0-7, n, p = 0, 1] were prepd. Thus, 2-(MeNHCH2)C6H4CHPhNH2 was stirred 2 h with Me3Al in PhMe followed by 2 h reflux with, e.g., R8CH:CHCO2Me (R8 = 4-pyridyl) to give title compd. II.2HCl which increased effective refractory period in left-ventricularly-paced anesthetized guinea pigs by min. 20 ms at 0.02 mg/kg intravenously.

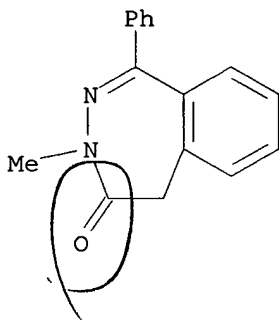
IT **35011-64-4**

RL: RCT (Reactant)

(reaction of, in prepn. of antiarrhythmic agents)

RN 35011-64-4 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)



L33 ANSWER 53 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1992:482866 CAPLUS

DN 117:82866

TI Pharmacokinetic and metabolism studies on girisopam by chromatographic and spectrometric methods in humans

AU Tomori, E.; Horvath, G.; Patfalusi, M.; Meszaros, S.; Vereczkey, L.

CS Inst. Drug Res., Budapest, H-1325, Hung.

SO J. Chromatogr. (1992), 578(1), 91-101

CODEN: JOCRAM; ISSN: 0021-9673

DT Journal

LA English

AB Girisopam possesses a selective anxiolytic action without muscle relaxant and anticonvulsive activity. After a 100-mg oral dose of ¹⁴C-labeled girisopam to seven male subjects, the mean recovery of ¹⁴C radioactivity was 51% in urine and 33% in feces. A high-performance liq. chromatog. method has been developed for studying girisopam in single-dose pharmacokinetic studies. The serum ext. was chromatographed on a normal-phase column using a mobile phase of hexane-ethanol-diethyl ether (66:9:25, vol./vol.) and UV detection at 235 nm. The recovery was 60% and the detection limit was 3 ng/mL, using 1 mL of serum. After a 20-min delay, girisopam is rapidly absorbed. After reaching a mean serum level of 178 ng/mL at a mean time of 2.0 h, the serum concn. of girisopam decreased with a mean elimination half-time of 22.2 h. The metabolites were sepd. by high-performance liq. chromatog., radio thin-layer chromatog. and gas chromatog. Their structures were detd. by liq. chromatog.-mass spectrometry, mass spectrometry and gas chromatog.-mass spectrometry. Their chem. structures were confirmed by comparison with synthesized ref. compds. The major urinary metabolites were 7-demethylgirisopam (I), 4'-hydroxygirisopam (II) and 4-hydroxymethyl-4-demethylgirisopam (III), which were conjugated, and 4-carboxy-4-demethylgirisopam (V), a compd. with an open-chain structure (VII) and traces of 4-demethyl-4-oxogirisopam (VIII) and 4-hydroxymethyl-4-demethylgirisopam (III), which were not conjugated. The metabolic profile in the serum consisted predominantly of the glucuronides of I, II and III. The non-conjugated metabolites were the metabolite with the open-chain structure (VII), III and V. Besides the parent compd., the feces sample contained conjugates of I and II.

IT 142790-94-1 142839-39-2 142839-41-6

142839-42-7 142839-43-8 142839-45-0

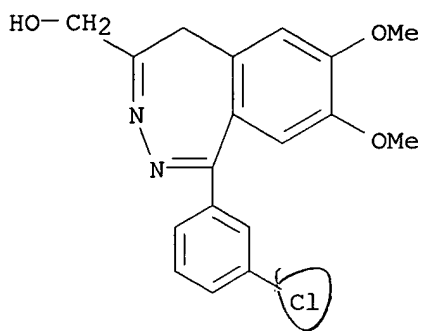
142839-47-2 142839-48-3

RL: PRP (Properties)

(characterization of, as girisopam metabolite, in humans)

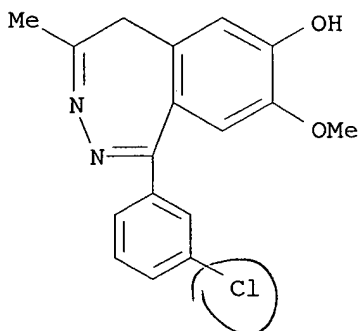
RN 142790-94-1 CAPLUS

CN 5H-2,3-Benzodiazepine-4-methanol, 1-(3-chlorophenyl)-7,8-dimethoxy- (9CI)
(CA INDEX NAME)



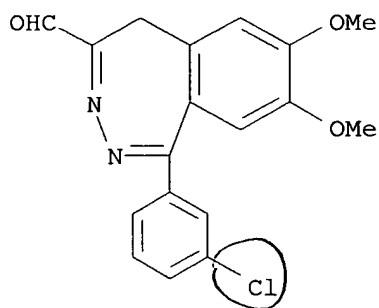
RN 142839-39-2 CAPLUS

CN 5H-2,3-Benzodiazepin-7-ol, 1-(3-chlorophenyl)-8-methoxy-4-methyl- (9CI)
(CA INDEX NAME)



RN 142839-41-6 CAPLUS

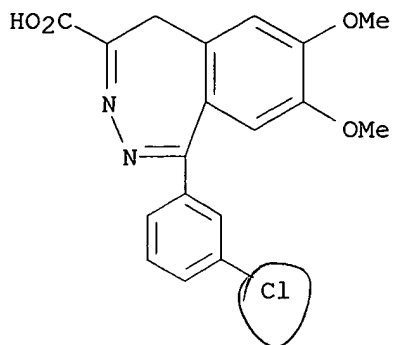
CN 5H-2,3-Benzodiazepine-4-carboxaldehyde, 1-(3-chlorophenyl)-7,8-dimethoxy-
(9CI) (CA INDEX NAME)



RN 142839-42-7 CAPLUS

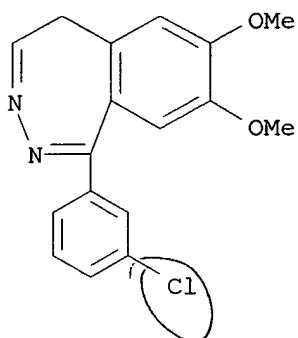
CN 5H-2,3-Benzodiazepine-4-carboxylic acid, 1-(3-chlorophenyl)-7,8-dimethoxy-
(9CI) (CA INDEX NAME)

09/882,843



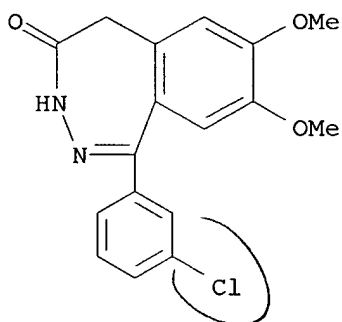
RN 142839-43-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy- (9CI) (CA INDEX NAME)



RN 142839-45-0 CAPLUS

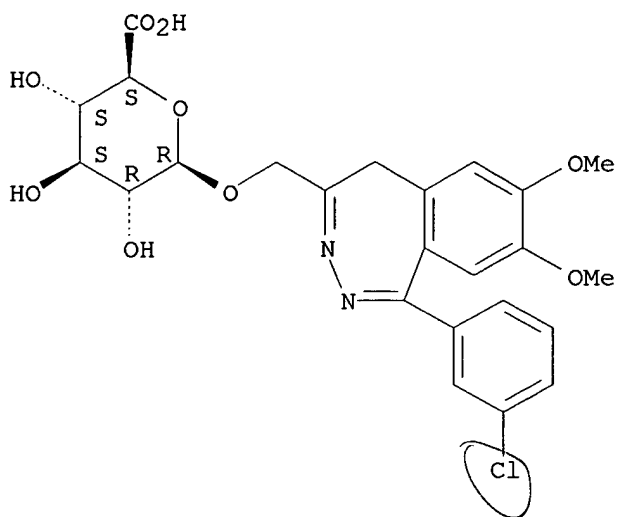
CN 4H-2,3-Benzodiazepin-4-one, 1-(3-chlorophenyl)-3,5-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)



RN 142839-47-2 CAPLUS

CN .beta.-D-Glucopyranosiduronic acid, [1-(3-chlorophenyl)-7,8-dimethoxy-5H-2,3-benzodiazepin-4-yl]methyl (9CI) (CA INDEX NAME)

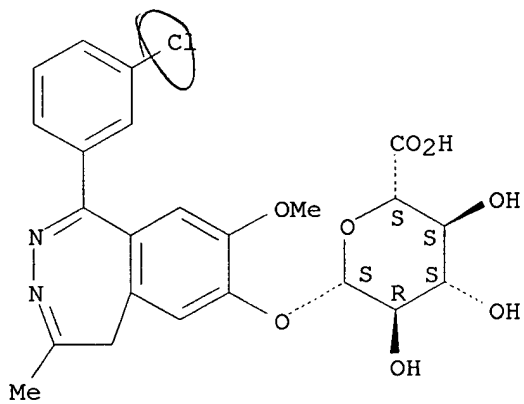
Absolute stereochemistry.



RN 142839-48-3 CAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 1-(3-chlorophenyl)-8-methoxy-4-methyl-5H-2,3-benzodiazepin-7-yl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



see 63980
 L88 ~~ANSWER 54 OF 80~~ CAPLUS COPYRIGHT 2002 ACS

AN 1991:669635 CAPLUS

Correction of: 1991:258875

DN 115:269635

Correction of: 114:258875

TI Spectrofluorometric analytical investigation of 1-(4-aminophenyl)-4-methyl-7,8-dimethoxy-5H-2,3-benzodiazepine

AU Hornyak, I.; Kasa, I.; Kozma, L.; Korosi, J.; Botka, P.

CS Dep. Phys. Chem., Tech. Univ., Budapest, H-1111, Hung.

SO J. Lumin. (1991), 48-49(Pt. 1), 435-7

CODEN: JLUMA8; ISSN: 0022-2313

DT Journal

LA English

AB A sensitive fluorometric method for the detn. of 1-(4-aminophenyl)-4-methyl-7,8-dimethoxy-5H-2,3-benzodiazepine (5×10^{-8} to 5×10^{-5}) g mL⁻¹ is described. The method is based on acid hydrolysis and aldol condensation in alk. soln. to give 4-(4-aminophenyl)-6,7-dimethoxy-2-naphthol, which shows intense fluorescence at 430 nm.

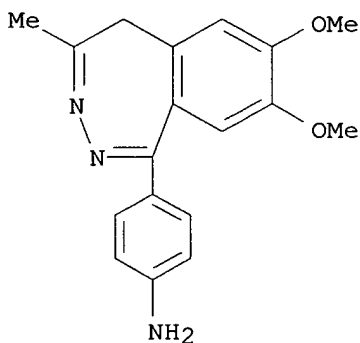
IT 102771-12-0

RL: ANT (Analyte); ANST (Analytical study)

(detn. of, fluorometric)

RN 102771-12-0 CAPLUS

CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
 (CA INDEX NAME)



09/882,843

L33 ~~ANSWER 55 OF 80~~ CAPLUS COPYRIGHT 2002 ACS

AN 1991:258875 CAPLUS

DN 114:258875

TI Spectrofluorometric analytical investigation of 1-(4-aminophenyl)-4-methyl-7,8-dimethoxy-5H-2,3-benzodiazepine

AU Hornyak, I.; Kasa, I.; Kozma, L.; Korosi, J.; Botka, P.

CS Dep. Phys. Chem., Tech. Univ., Budapest, H-1111, Hung.

SO J. Lumin. (1991), 48-49(Pt. 1), 435-7

CODEN: JLUMA8; ISSN: 0022-2313

DT Journal

LA English

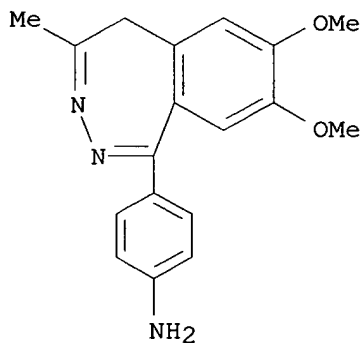
AB A sensitive fluorometric method for the detn. of 1-(4-aminophenyl)-4-methyl-7,8-dimethoxy-5H-2,3-benzodiazepine (5×10^{-8} - 5×10^{-5}) g mL⁻¹ is described. The method is based on acid hydrolysis and aldol condensation in alk. soln. to give 4-(4-aminophenyl)-6,7-dimethoxy-2-naphthol, which shows intense fluorescence at 430 nm.

IT 102771-12-0

RL: ANT (Analyte); ANST (Analytical study)
(detn. of, fluorometric)

RN 102771-12-0 CAPLUS

CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
(CA INDEX NAME)



see 63086
 L39 ~~ANSWER 56 OF 80~~ CAPLUS COPYRIGHT 2002 ACS

AN 1990:234705 CAPLUS

DN 112:234705

TI Investigation of conformational diastereomers of 2,3-benzodiazepines by high performance liquid chromatography

AU Fellegvari, I.; Visy, J.; Valko, K.; Lang, T.; Simonyi, M.

CS Cent. Res. Inst. Chem., Hung. Acad. Sci., Budapest, H-1525, Hung.

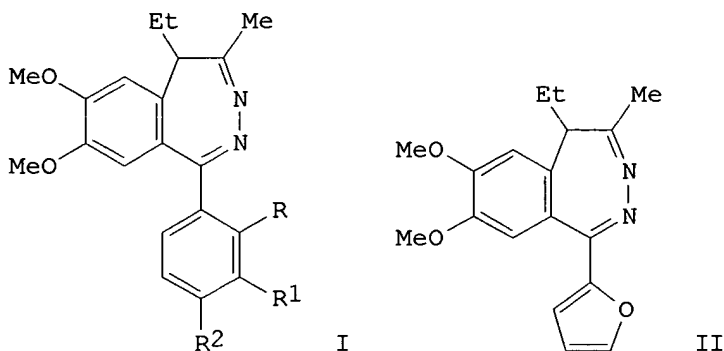
SO J. Liq. Chromatogr. (1989), 12(14), 2719-32

CODEN: JLCHD8; ISSN: 0148-3919

DT Journal

LA English

GI



AB 4-Methyl-5-ethyl-5H-2,3-benzodiazepines I (R = H, F; R1 = H, MeO, EtO; R2 = H, NO2, MeO, NH2) and II can exist in 2 conformations which interconvert into each other in ethanolic solns. The kinetic properties of interconversion for the 6 derivs. were investigated by HPLC using a silica stationary phase. The rate consts. ($k_1 + k_2$), half lives and equil. consts. (K) of interconversion were calcd. from the concn. ratios obtained at various time intervals after dissolving the compds. in EtOH. Correlation was found between K and ($k_1 + k_2$) for all compds. except I (R = R1 = H, R2 = NH2) which contained a basic substituent and exhibited an extremely high rate of interconversion. Hammett correlation was found for the equil. const. suggesting a decline from linearity for higher Δ values. The rate of interconversion decreased as the no. of non-basic substituents in the 1-Ph ring increased.

IT 75114-00-0 102771-31-3 126673-22-1
 126673-23-2

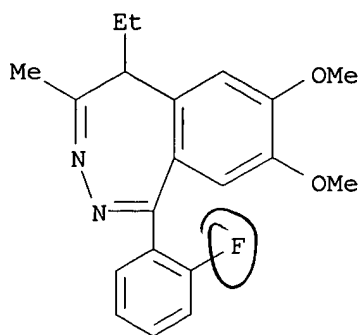
RL: PROC (Process)

(kinetics of conformational inversion of)

RN 75114-00-0 CAPLUS

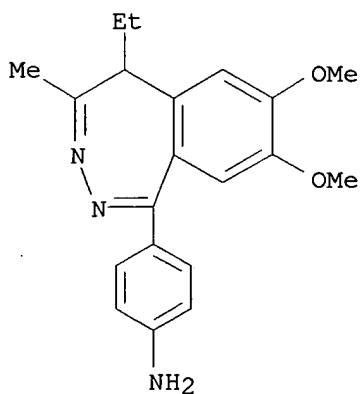
CN 5H-2,3-Benzodiazepine, 5-ethyl-1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl-
 (9CI) (CA INDEX NAME)

09/882,843



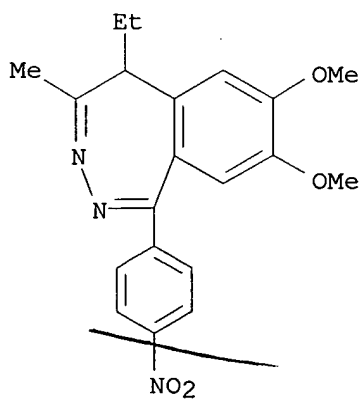
RN 102771-31-3 CAPLUS

CN Benzenamine, 4-(5-ethyl-7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-
(9CI) (CA INDEX NAME)



RN 126673-22-1 CAPLUS

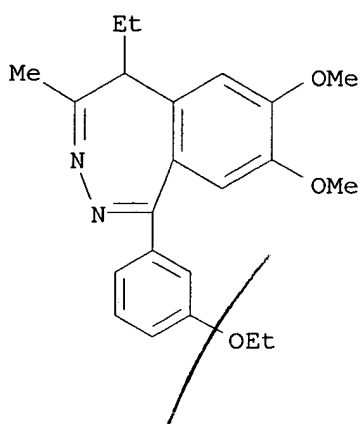
CN 5H-2,3-Benzodiazepine, 5-ethyl-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



RN 126673-23-2 CAPLUS

09/882,843

CN 5H-2,3-Benzodiazepine, 1-(3-ethoxyphenyl)-5-ethyl-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)



see 63 980

09/882,843

~~L39~~ ANSWER 57 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1990:191392 CAPLUS

DN 112:191392

TI The role of configuration and conformation in the binding of
2,3-benzodiazepines to human serum albumin

AU Visy, Julia; Simonyi, Miklos

CS Cent. Res. Inst. Chem., Hung. Acad. Sci., Budapest, H-1525, Hung.

SO Chirality (1989), 1(4), 271-5

CODEN: CHRLEP; ISSN: 0899-0042

DT Journal

LA English

AB 2,3-Benzodiazepines contg. a center of asymmetry at C-5 possess both
central and helical chiralities, and the soln. of their racemates contains
4 mol. species. The binding of these compds. to human serum albumin was
studied by affinity chromatog. The binding strength depended both on the
steric orientation of the 5-Et substituent and on the conformation of the
diazepine ring. Conformation P (defined by the pos. sign of
C-1-N-2-N-3-C-4 torsion angle) was favored, while the quasiaxial
orientation of the 5-Et substituent was not favored by the albumin mol.

IT 75114-00-0 102771-30-2 102771-31-3

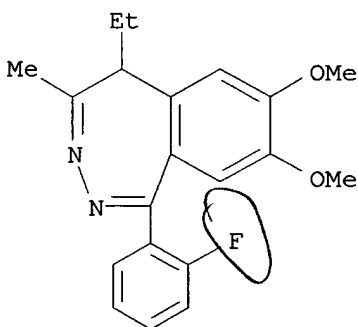
126673-22-1 126673-23-2

RL: BIOL (Biological study)

(albumin binding of, configuration and conformation in relation to, of
humans)

RN 75114-00-0 CAPLUS

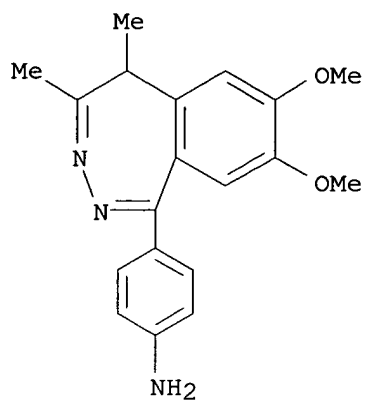
CN 5H-2,3-Benzodiazepine, 5-ethyl-1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)



RN 102771-30-2 CAPLUS

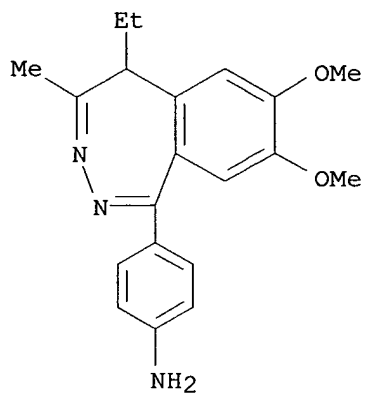
CN Benzenamine, 4-(7,8-dimethoxy-4,5-dimethyl-5H-2,3-benzodiazepin-1-yl)-
(9CI) (CA INDEX NAME)

09/882,843



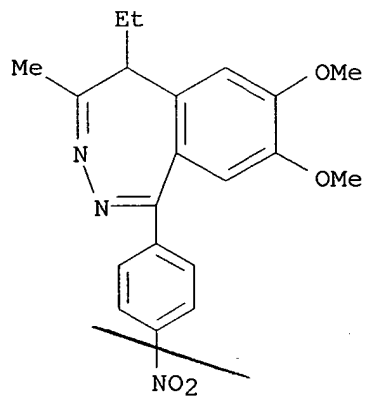
RN 102771-31-3 CAPLUS

CN Benzenamine, 4-(5-ethyl-7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-
(9CI) (CA INDEX NAME)



RN 126673-22-1 CAPLUS

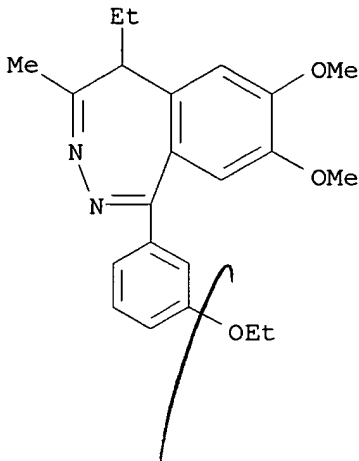
CN 5H-2,3-Benzodiazepine, 5-ethyl-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



09/882,843

RN 126673-23-2 CAPLUS

CN	5H-2,3-Benzodiazepine, 1-(3-ethoxyphenyl)-5-ethyl-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)
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see 63880
 L39 ANSWER 58 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1989:567157 CAPLUS

DN 111:167157

TI A new psychoactive 5H-2,3-benzodiazepine with a unique spectrum of activity

AU Horvath, K.; Andrasi, F.; Berzsenyi, P.; Patfalusi, M.; Patthy, M.; Szabo, G.; Sebestyen, L.; Bagdy, E.; Korosi, J.; et al.

CS Inst. Drug Res., Budapest, H-1325, Hung.

SO Arzneim.-Forsch. (1989), 39(8), 894-9

CODEN: ARZNAD; ISSN: 0004-4172

DT Journal

LA English

AB The neuropharmacol. effects of 1-(4-aminophenyl)-4-methyl-7,8-dimethoxy-5H-2,3-benzodiazepine (GYKI 52,322) were investigated and compared with those of chlordiazepoxide and chlorpromazine. This novel 2,3-benzodiazepine had neuroleptic activity in the apomorphine-climbing (ED50 = 1.15 mg/kg, i.p.) and swim-induced grooming (ED50 = 6.9 mg/kg, i.p.) tests in mice and it inhibited the conditioned avoidance response in rats (ED50 = 8.2 mg/kg, i.p. and 9.8 mg/kg, orally). However, it did not antagonize apomorphine-evoked vomiting in dogs; or stereotypy, hypermotility, and turning in rats even at as high a dose as 50 mg/kg, i.p. On the other hand, it was active in the hole board test in mice (MED (minimal ED) = 0.5 mg/kg, i.p.) and in the lick conflict assay in rats (MED = 5 mg/kg, i.p.), indicating an anxiolytic property. It had antiaggressive effects in the fighting mice test (ED50 = 8.1 mg/kg, orally) and the carbachol-rage procedure in cats (active at 10 mg/kg, i.p.). According to the biochem. findings, this compd. did not bind to the central dopamine receptors (IC50 > 10⁻⁴ M), but it had affinity for the 5-HT1 receptors (IC50 = 7.1 .times. 10⁻⁶ M) and inhibited brain cAMP-phosphodiesterase (IC50 = 2.4 .times. 10⁻⁵ M). The substance caused no elevation of dopamine turnover and serum prolactin level suggesting fewer side effects. The term "atypical neuroleptic agent" is proposed to characterize this mol.

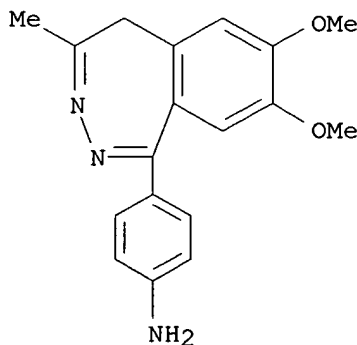
IT 102771-12-0, GYKI 52322

RL: BIOL (Biological study)

(neuropharmacol. of, as atypical neuroleptic)

RN 102771-12-0 CAPLUS

CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
 (CA INDEX NAME)



09/882,843

~~LA~~ 9 ANSWER 59 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1989:63830 CAPLUS

DN 110:63830

TI Separation of conformational diastereomers of 2,3-benzodiazepines by HPLC

AU Fellegvari, I.; Valko, K.; Simonyi, M.; Sandor, P.; Lang, T.

CS Cent. Res. Inst. Chem., Hung. Acad. Sci., Budapest, Hung.

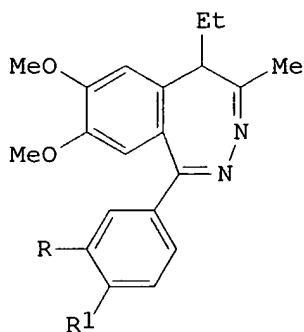
SO Symp. Biol. Hung. (1988), 37(Chromatography '87), 193-203

CODEN: SYBHAK; ISSN: 0082-0695

DT Journal

LA English

GI



I, R=R¹=MeO

II, R=H, R¹=NH₂

AB A HPLC method was developed for the sepn. and study of interconversion of 2,3-benzodiazepine conformers. Tofisopam (I) in EtOH prefers a conformation in which the C-5 Et group is in pseudo-equatorial position. The equil. distribution in EtOH was attained after 12 h. The sepd. conformers also showed interconversion if the fractions were dried within 2-3 min. The half-life of the interconversion obsd. by chromatog. was shorter for the other conformers. The conformer ratio of GYKI-52671 (II) was independent of time.

IT **102771-31-3**, GYKI 52671

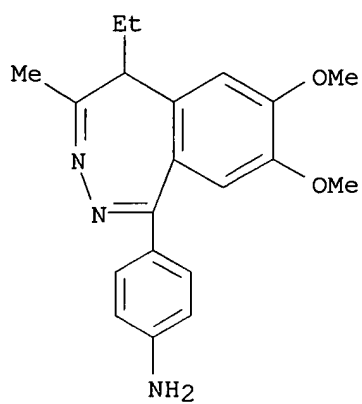
RL: ANST (Analytical study)

(conformational isomers in, sepn. of, by HPLC)

RN 102771-31-3 CAPLUS

CN Benzenamine, 4-(5-ethyl-7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-
(9CI) (CA INDEX NAME)

09/882,843



09/882,843

~~L33~~ ANSWER 60 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~DN~~ 1986:539625 CAPLUS

DN 105:139625

TI Coating pharmaceutical compositions with film

IN Fekete, Pal; Bezzegh, Denes; Bokros, Sandor; Szentgyorgyi, Bela, Mrs.

PA EGIS Gyogyszergyar, Hung.

SO Hung. Teljes, 24 pp.

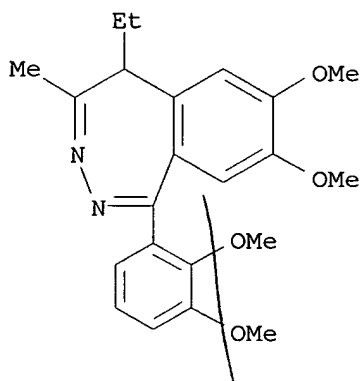
CODEN: HUXXB

DT Patent

LA Hungarian

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	HU 37568	A2	19860123	HU 1982-2344	19820720
	HU 190693	B	19861028		
AB	Solid pharmaceuticals are coated with a cellulose ether aq. dispersion contg. a pigment, 3-25% dimethylpolysiloxane and 0.5-15% Mg stearate. Thus, imipramine-HCl tablets were coated with a compn. contg. hydroxypropyl methylcellulose 0.08, Fe oxide pigment 0.08, dimethylpolysiloxane 0.04, Mg stearate 0.004, EtOH 0.3 and water 0.6 kg. The compn. yields smooth coats, with good mech. properties.				
IT	104346-54-5				
	RL: BIOL (Biological study)				
	(tablets, coating of, dimethylpolysiloxane-contg. compn. for)				
RN	104346-54-5 CAPLUS				
CN	5H-2,3-Benzodiazepine, 1-(2,3-dimethoxyphenyl)-5-ethyl-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)				



09/882,843

109 ANSWER 61 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1986:533915 CAPLUS

DN 105:133915

TI 5H-2,3-benzodiazepines

IN Lang, Tibor; Korosi, Jenő; Andrasi, Ferenc; Hamori, Tamas; Zolyomi, Gabor; Elekes, Istvan; Botka, Peter; Siniger, Eleonora; Goldschmidt, Katalin; et al.

PA EGIS Gyogyszergyar, Hung.

SO Fr. Demande, 25 pp.

CODEN: FRXXBL

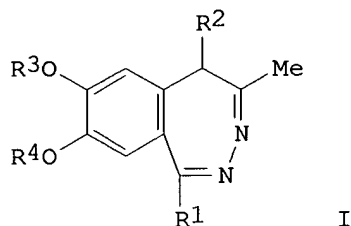
DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2566774	A1	19860103	FR 1985-9793	19850627
	FR 2566774	B1	19890317		
	HU 37925	A2	19860328	HU 1984-2479	19840627
	HU 191702	B	19870330		
	ES 544633	A1	19860116	ES 1985-544633	19850627
PRAI	HU 1984-2479		19840627		

GI



AB Title compds. I (R1 = Ph, furyl, naphthyl, thienyl, halo-, hydroxy-, or alkylphenyl, etc.; R2 = H, alkyl; R3 and R4 are alkyl or R3R4 = CH2) were prepd. as antiaggressive agents. A 2-acetonylbenzophenone deriv. was treated with N2H4 to give I (R1 = 3-ClC6H4, R2 = H, R3 = R4 = Me). In mice, selected I showed antiaggressive activity with ED50 of 16-50 mg/kg orally.

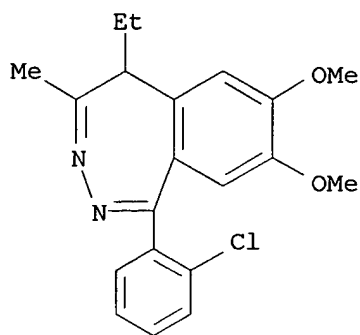
IT 75114-09-9P 75114-10-2P 75114-27-1P
82230-54-4P 82230-55-5P 82230-56-6P
82230-57-7P 82230-58-8P 82230-59-9P
82230-60-2P 82230-61-3P 102771-13-1P
102771-33-5P 104277-81-8P 104277-83-0P
104277-86-3P 104277-88-5P 104277-90-9P
104277-92-1P 104277-97-6P 104277-99-8P
104278-00-4P 104278-01-5P 104278-04-8P
104278-05-9P 104278-09-3P 104278-13-9P
104278-23-1P 104278-24-2P 104278-27-5P
104299-63-0P 104328-12-3P 104328-13-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiaggressive agent)

RN 75114-09-9 CAPLUS

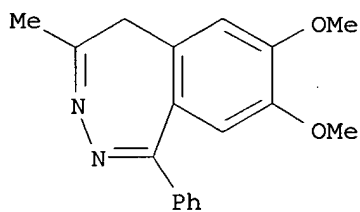
CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-5-ethyl-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)

09/882,843



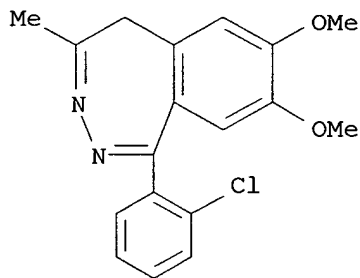
RN 75114-10-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 75114-27-1 CAPLUS

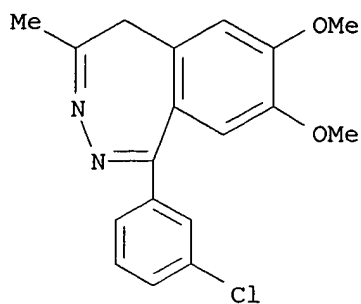
CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 82230-54-4 CAPLUS

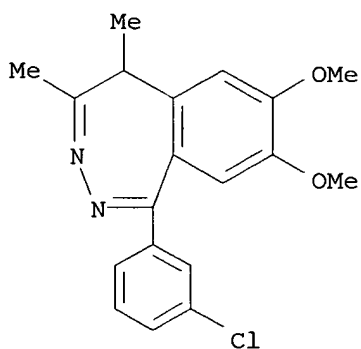
CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

09/882,843

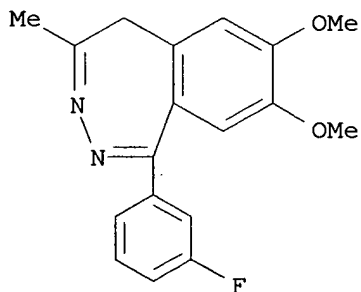


● HCl

RN 82230-55-5 CAPLUS
CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy-4,5-dimethyl-
(9CI) (CA INDEX NAME)

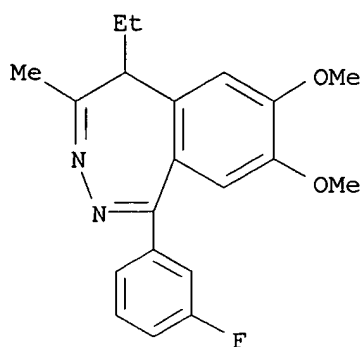


RN 82230-56-6 CAPLUS
CN 5H-2,3-Benzodiazepine, 1-(3-fluorophenyl)-7,8-dimethoxy-4-methyl- (9CI)
(CA INDEX NAME)



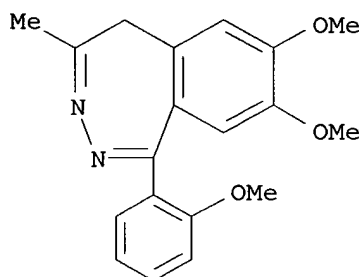
RN 82230-57-7 CAPLUS
CN 5H-2,3-Benzodiazepine, 5-ethyl-1-(3-fluorophenyl)-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)

09/882,843



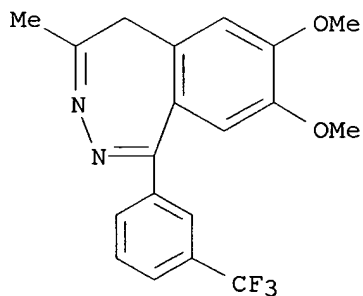
RN 82230-58-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-(2-methoxyphenyl)-4-methyl- (9CI)
(CA INDEX NAME)



RN 82230-59-9 CAPLUS

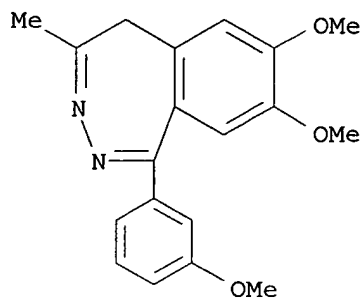
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-[3-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)



RN 82230-60-2 CAPLUS

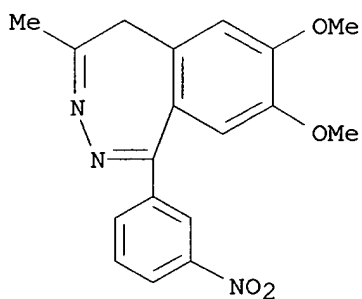
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-(3-methoxyphenyl)-4-methyl- (9CI)
(CA INDEX NAME)

09/882,843



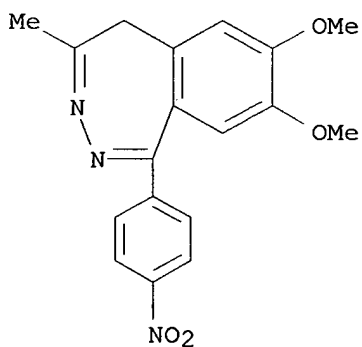
RN 82230-61-3 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(3-nitrophenyl)- (9CI)
(CA INDEX NAME)



RN 102771-13-1 CAPLUS

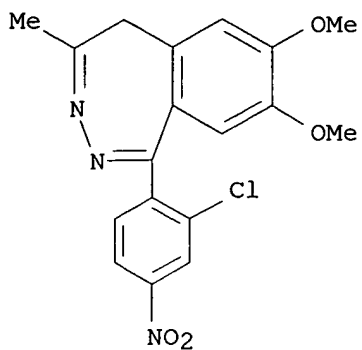
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



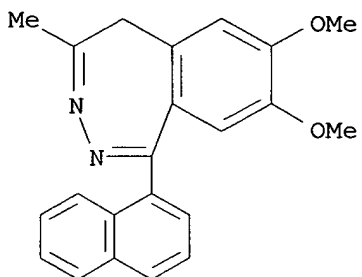
RN 102771-33-5 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chloro-4-nitrophenyl)-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)

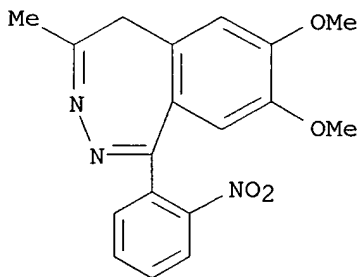
09/882,843



RN 104277-81-8 CAPLUS
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(1-naphthalenyl)- (9CI)
(CA INDEX NAME)

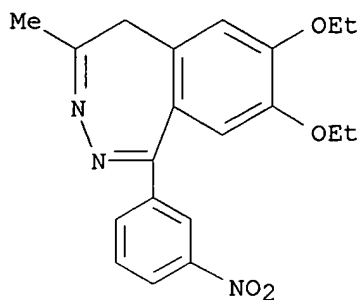


RN 104277-83-0 CAPLUS
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(2-nitrophenyl)- (9CI)
(CA INDEX NAME)



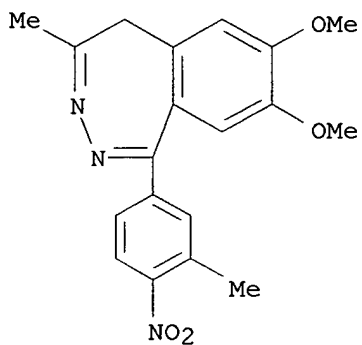
RN 104277-86-3 CAPLUS
CN 5H-2,3-Benzodiazepine, 7,8-diethoxy-4-methyl-1-(3-nitrophenyl)- (9CI) (CA
INDEX NAME)

09/882,843



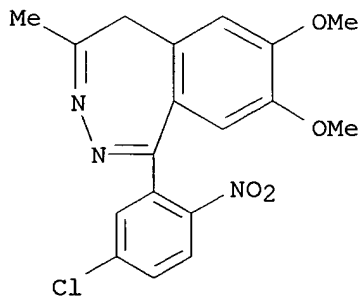
RN 104277-88-5 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-
(9CI) (CA INDEX NAME)



RN 104277-90-9 CAPLUS

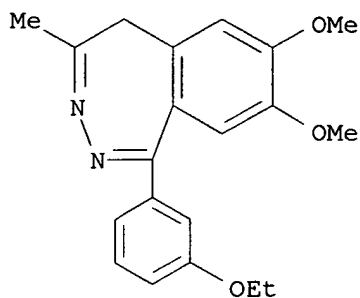
CN 5H-2,3-Benzodiazepine, 1-(5-chloro-2-nitrophenyl)-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)



RN 104277-92-1 CAPLUS

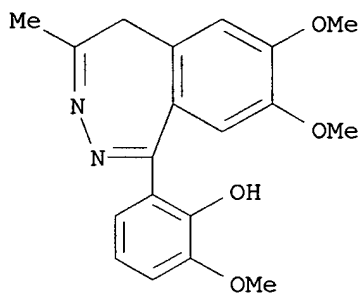
CN 5H-2,3-Benzodiazepine, 1-(3-ethoxyphenyl)-7,8-dimethoxy-4-methyl- (9CI)
(CA INDEX NAME)

09/882,843



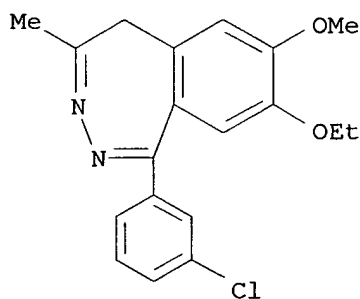
RN 104277-97-6 CAPLUS

CN Phenol, 2-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-6-methoxy-
(9CI) (CA INDEX NAME)



RN 104277-99-8 CAPLUS

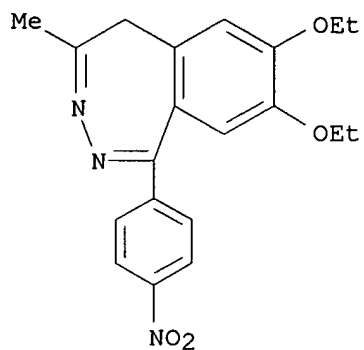
CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-8-ethoxy-7-methoxy-4-methyl-
(9CI) (CA INDEX NAME)



RN 104278-00-4 CAPLUS

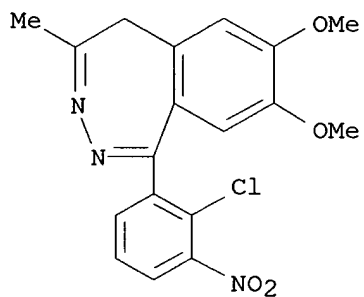
CN 5H-2,3-Benzodiazepine, 7,8-diethoxy-4-methyl-1-(4-nitrophenyl)- (9CI) (CA
INDEX NAME)

09/882,843



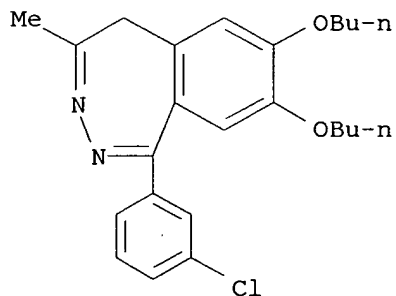
RN 104278-01-5 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chloro-3-nitrophenyl)-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)



RN 104278-04-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dibutoxy-1-(3-chlorophenyl)-4-methyl-,
monohydrochloride (9CI) (CA INDEX NAME)

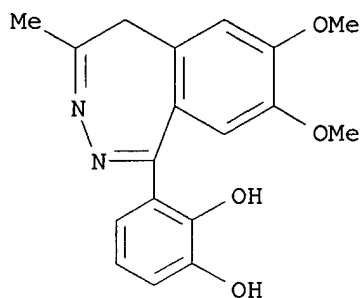


● HCl

RN 104278-05-9 CAPLUS

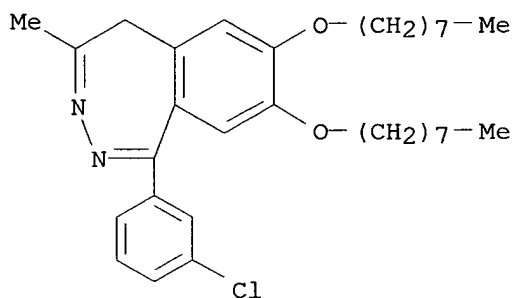
CN 1,2-Benzenediol, 3-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-
(9CI) (CA INDEX NAME)

09/882,843



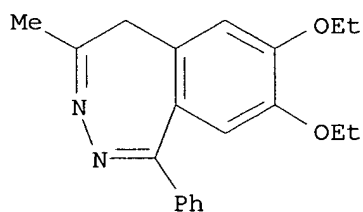
RN 104278-09-3 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-4-methyl-7,8-bis(octyloxy)-
(9CI) (CA INDEX NAME)



RN 104278-13-9 CAPLUS

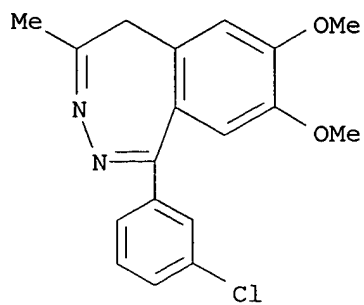
CN 5H-2,3-Benzodiazepine, 7,8-diethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX
NAME)



RN 104278-23-1 CAPLUS

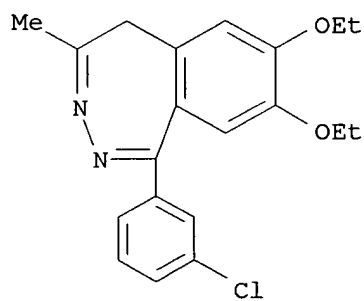
CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl-,
monohydrobromide (9CI) (CA INDEX NAME)

09/882,843



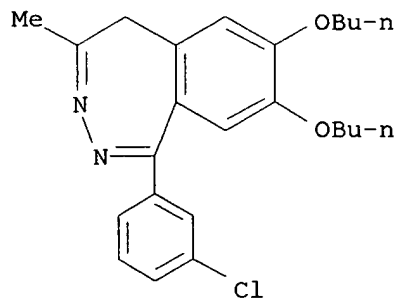
● HBr

RN 104278-24-2 CAPLUS
CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-diethoxy-4-methyl-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

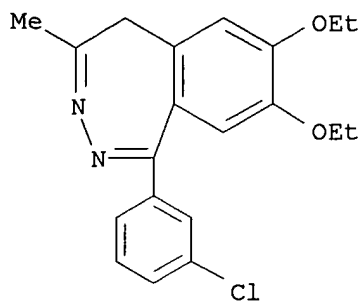
RN 104278-27-5 CAPLUS
CN 5H-2,3-Benzodiazepine, 7,8-dibutoxy-1-(3-chlorophenyl)-4-methyl- (9CI)
(CA INDEX NAME)



RN 104299-63-0 CAPLUS

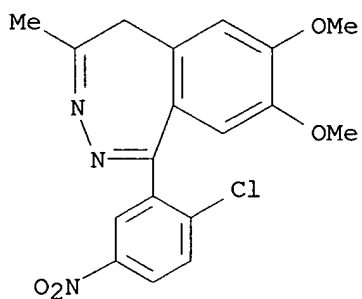
09/882,843

CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-diethoxy-4-methyl- (9CI)
(CA INDEX NAME)



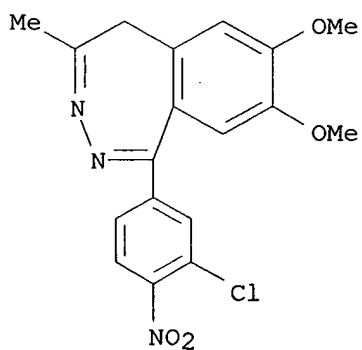
RN 104328-12-3 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chloro-5-nitrophenyl)-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 104328-13-4 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3-chloro-4-nitrophenyl)-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)



09/882,843

~~139~~ ANSWER 62 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1986:460584 CAPLUS

DN 105:60584

TI Derivatives of 2,3-benzodiazepine

AU Gatta, F.; Piazza, D.; Del Giudice, M. R.; Massotti, M.

CS Lab. Chim. Farm., Ist. Super. Sanita, Rome, Italy

SO Farmaco, Ed. Sci. (1985), 40(12), 942-55

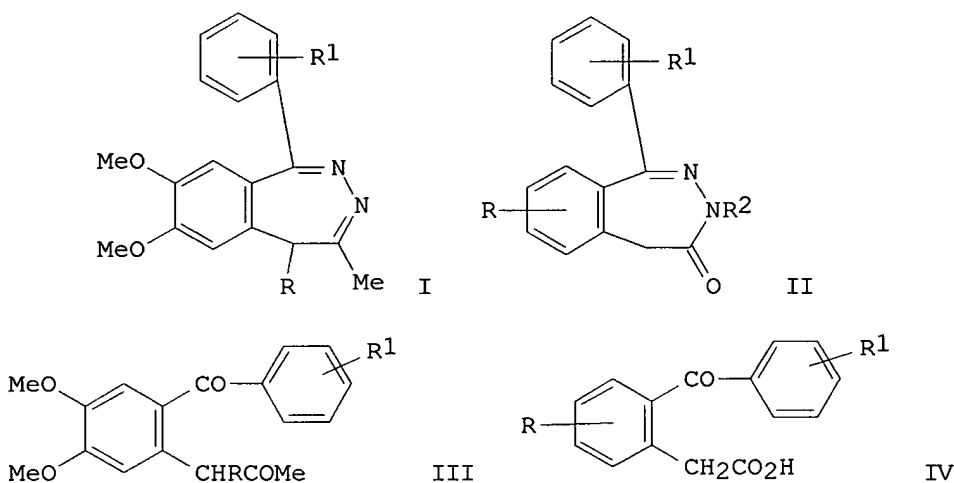
CODEN: FRPSAX; ISSN: 0430-0920

DT Journal

LA English

OS CASREACT 105:60584

GI



AB 2,3-Benzodiazepines [I, R = H, Me or Et, R1 = H, Cl, F or 3,4-(MeO)2] or II [R = 7-MeO or 7,8-(MeO)2, R1 = H, MeO, or Cl, R2 = H or Me] were prepd. by condensation of ketones (III or IV) with hydrazines.

1-Aryl-6,7-dimethoxyisochromans, obtained by condensation of 3,4-dimethoxyphenylalkanols with arom. aldehydes, were oxidized by CrO3 to give III. Redn. of I with NaBH4 gave the corresponding 3,4-dihydro derivs. while refluxing in Ac2O gave 3-acetyl-1-aryl-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepines. The compds. were evaluated with respect to their ability to bind to benzodiazepine receptors by displacement of specific 3H-diazepam binding. Only II (R = 7-MeO, R1 = 3-MeO, R2 = H) showed an affinity for the receptors similar to other know benzodiazepines. Other compds. exhibited lower inhibitory concns.

IT 75114-27-1P 102693-05-0P 102693-06-1P

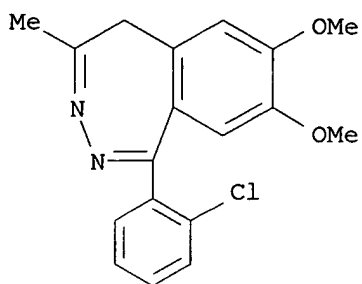
102693-16-3P 102719-51-7P 102733-45-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and biol. activity of)

RN 75114-27-1 CAPLUS

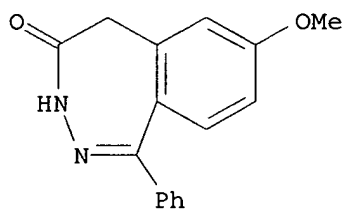
CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl- (9CI)
(CA INDEX NAME)

09/882,843



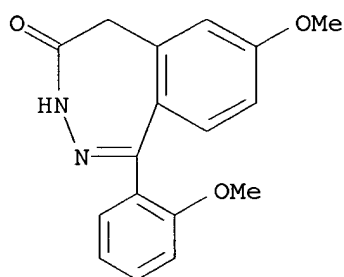
RN 102693-05-0 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7-methoxy-1-phenyl- (9CI) (CA INDEX NAME)



RN 102693-06-1 CAPLUS

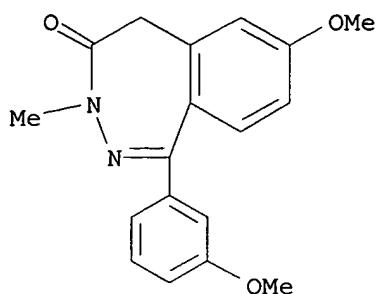
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7-methoxy-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 102693-16-3 CAPLUS

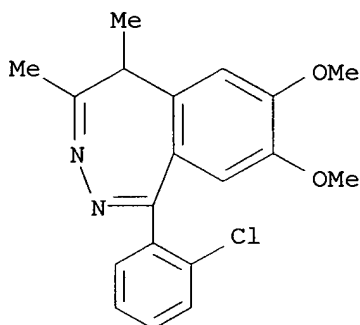
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7-methoxy-1-(3-methoxyphenyl)-3-methyl- (9CI) (CA INDEX NAME)

09/882,843



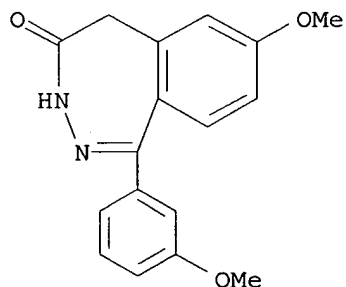
RN 102719-51-7 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4,5-dimethyl-
(9CI) (CA INDEX NAME)



RN 102733-45-9 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7-methoxy-1-(3-methoxyphenyl)-
(9CI) (CA INDEX NAME)

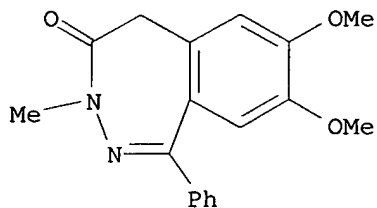


IT 41148-41-8P 41148-42-9P 62079-07-6P
75114-09-9P 75114-10-2P 84351-25-7P
84351-27-9P 102693-08-3P 102693-10-7P
102693-12-9P 102693-14-1P 102693-15-2P
102693-18-5P 102693-20-9P 102693-21-0P
102693-23-2P 102719-38-0P 102719-55-1P
102719-58-4P 102727-87-7P 102727-89-9P
102727-92-4P 102728-06-3P 102728-07-4P

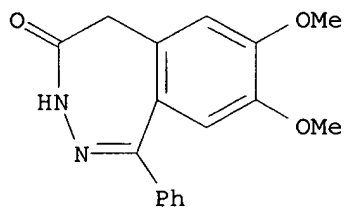
RL: SPN (Synthetic preparation); PREP (Preparation)

09/882,843

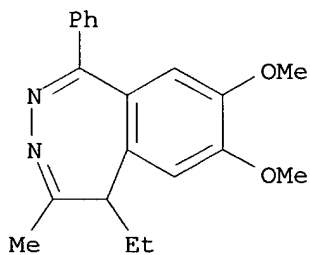
(prepn. of)
RN 41148-41-8 CAPLUS
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-phenyl-
(9CI) (CA INDEX NAME)



RN 41148-42-9 CAPLUS
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA
INDEX NAME)

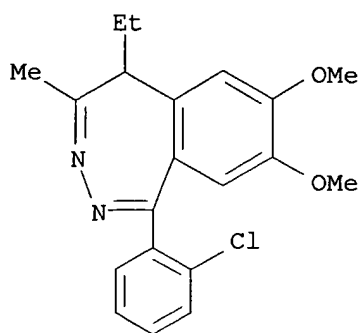


RN 62079-07-6 CAPLUS
CN 5H-2,3-Benzodiazepine, 5-ethyl-7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA
INDEX NAME)



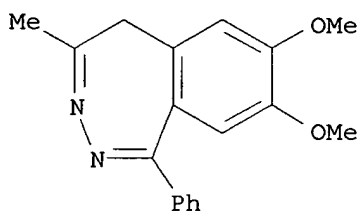
RN 75114-09-9 CAPLUS
CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-5-ethyl-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)

09/882,843



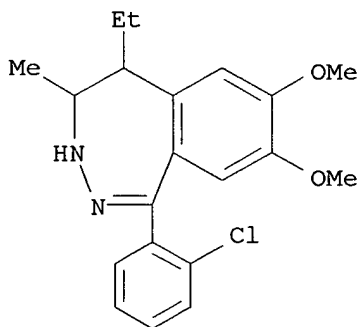
RN 75114-10-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 84351-25-7 CAPLUS

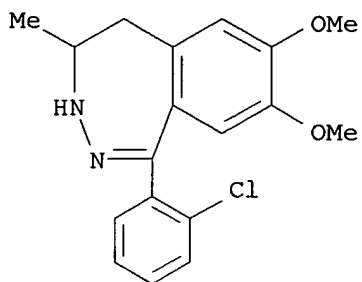
CN 3H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-5-ethyl-4,5-dihydro-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 84351-27-9 CAPLUS

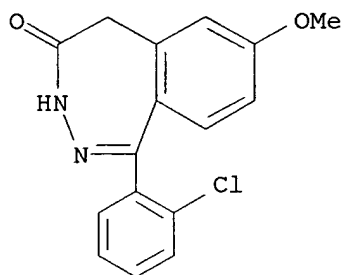
CN 3H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)

09/882,843



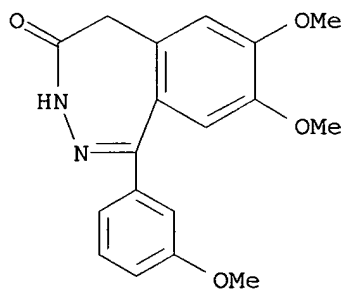
RN 102693-08-3 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 1-(2-chlorophenyl)-3,5-dihydro-7-methoxy-
(9CI) (CA INDEX NAME)



RN 102693-10-7 CAPLUS

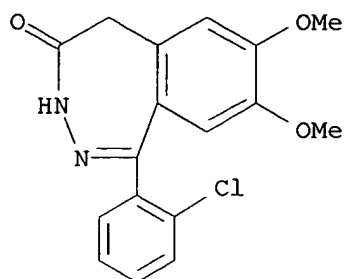
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-(3-methoxyphenyl)-
(9CI) (CA INDEX NAME)



RN 102693-12-9 CAPLUS

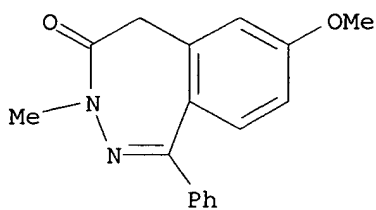
CN 4H-2,3-Benzodiazepin-4-one, 1-(2-chlorophenyl)-3,5-dihydro-7,8-dimethoxy-
(9CI) (CA INDEX NAME)

09/882,843



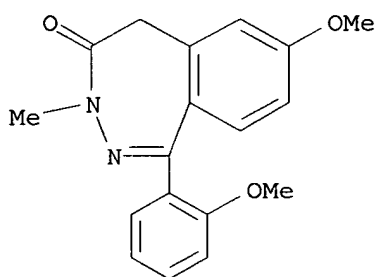
RN 102693-14-1 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7-methoxy-3-methyl-1-phenyl- (9CI)
(CA INDEX NAME)



RN 102693-15-2 CAPLUS

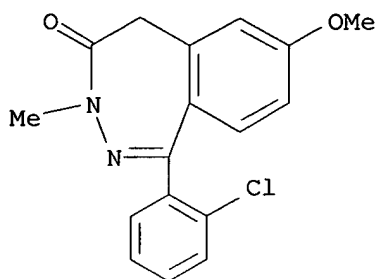
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7-methoxy-1-(2-methoxyphenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 102693-18-5 CAPLUS

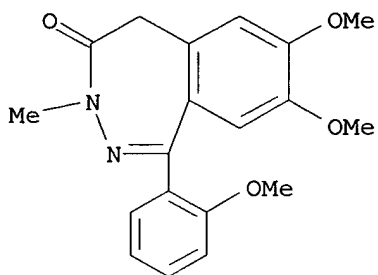
CN 4H-2,3-Benzodiazepin-4-one, 1-(2-chlorophenyl)-3,5-dihydro-7-methoxy-3-methyl- (9CI) (CA INDEX NAME)

09/882,843



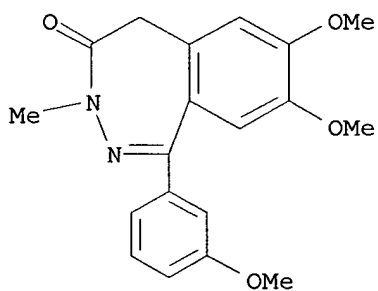
RN 102693-20-9 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-(2-methoxyphenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 102693-21-0 CAPLUS

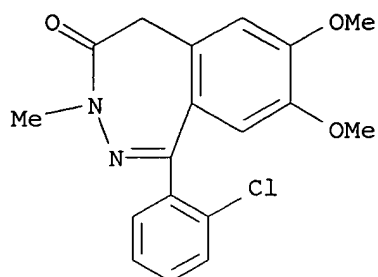
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-(3-methoxyphenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 102693-23-2 CAPLUS

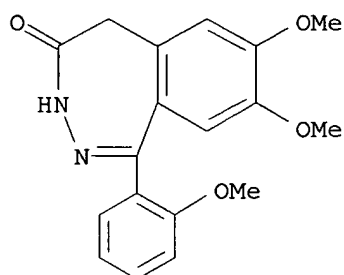
CN 4H-2,3-Benzodiazepin-4-one, 1-(2-chlorophenyl)-3,5-dihydro-7,8-dimethoxy-3-methyl- (9CI) (CA INDEX NAME)

09/882,843



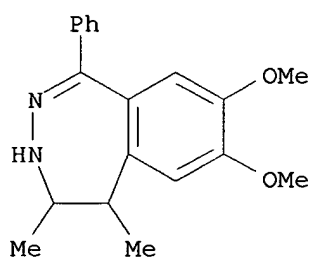
RN 102719-38-0 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-(2-methoxyphenyl)-(9CI) (CA INDEX NAME)



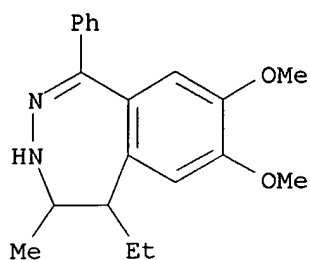
RN 102719-55-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4,5-dimethyl-1-phenyl-(9CI) (CA INDEX NAME)

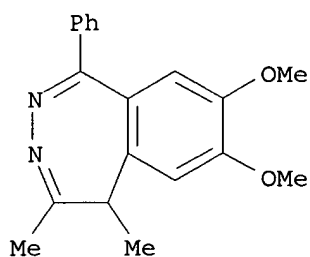


RN 102719-58-4 CAPLUS

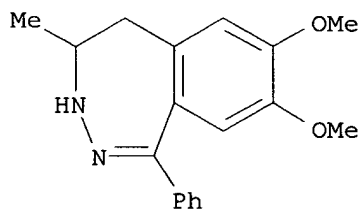
CN 3H-2,3-Benzodiazepine, 5-ethyl-4,5-dihydro-7,8-dimethoxy-4-methyl-1-phenyl-(9CI) (CA INDEX NAME)



RN 102727-87-7 CAPLUS
 CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4,5-dimethyl-1-phenyl- (9CI) (CA INDEX NAME)

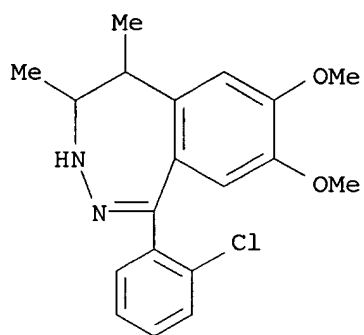


RN 102727-89-9 CAPLUS
 CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



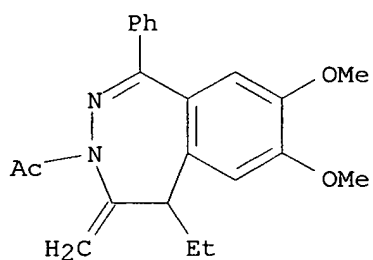
RN 102727-92-4 CAPLUS
 CN 3H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4,5-dimethyl- (9CI) (CA INDEX NAME)

09/882,843



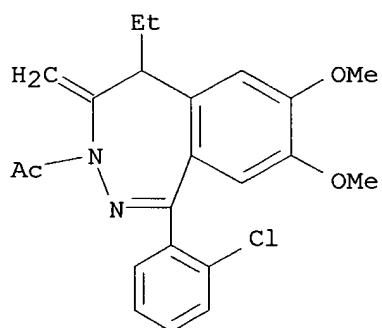
RN 102728-06-3 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-5-ethyl-4,5-dihydro-7,8-dimethoxy-4-methylene-1-phenyl- (9CI) (CA INDEX NAME)



RN 102728-07-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(2-chlorophenyl)-5-ethyl-4,5-dihydro-7,8-dimethoxy-4-methylene- (9CI) (CA INDEX NAME)



L39 ANSWER 63 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1986:400639 CAPLUS

DN 105:639

TI 1-(Aminophenyl)-4-methyl-5H-2,3-benzodiazepinedervatives, their
preparation, and drugs containing themIN Lang, Tibor; Korosi, Jenő; Andrási, Ferenc; Botka, Peter; Hamori, Tamas;
Berzsenyi, Pal; Goldschmidt, Katalin; Zolyomi, Gabor; Elekes, Istvan;
Lang, Zsuzsanna

PA EGYT Gyógyszervegyészeti Gyar, Hung.

SO Ger. Offen., 33 pp.

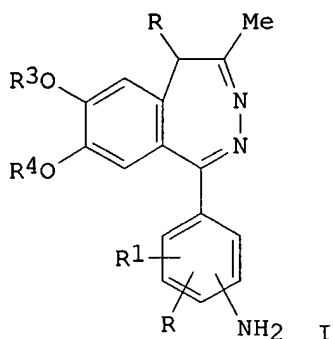
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3527117	A1	19860130	DE 1985-3527117	19850729
	DE 3527117	C2	19960808		
	HU 38324	A2	19860528	HU 1984-2882	19840727
	HU 191698	B	19870330		
	CH 667090	A	19880915	CH 1985-3011	19850711
	BE 902953	A1	19860122	BE 1985-11304	19850722
	ES 545559	A1	19860716	ES 1985-545559	19850724
	AT 8502201	A	19910115	AT 1985-2201	19850725
	AT 393123	B	19910826		
	SE 8503613	A	19860128	SE 1985-3613	19850726
	SE 465777	B	19911028		
	SE 465777	C	19920220		
	FI 8502906	A	19860128	FI 1985-2906	19850726
	FI 84821	B	19911015		
	FI 84821	C	19920127		
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	NO 162115	B	19890731		
	NO 162115	C	19891108		
	DK 8503406	A	19860128	DK 1985-3406	19850726
	DK 158727	B	19900709		
	DK 158727	C	19901210		
	GB 2162184	A1	19860129	GB 1985-18971	19850726
	GB 2162184	B2	19871231		
	FR 2568252	A1	19860131	FR 1985-11444	19850726
	FR 2568252	B1	19870320		
	NL 8502141	A	19860217	NL 1985-2141	19850726
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	JP 04013347	B4	19920309		
	DD 236527	A5	19860611	DD 1985-279022	19850726
	US 4614740	A	19860930	US 1985-759169	19850726
	CS 251795	B2	19870813	CS 1985-5526	19850726
	PL 145089	B1	19880831	PL 1985-254701	19850726
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PRAI	HU 1984-2882		19840727		
GI					



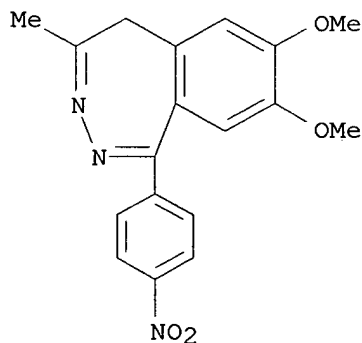
AB The title compds. I (R, R1 = H, Cl, Cl-4 alkyl or alkoxy; R2 = H, Cl-4 alkyl; R3, R4 = Cl-4 alkyl, or R3R4 = CH2) and their salts show anti-aggressive, anxiolytic, narcosis-potentiating, and hypnotic activity on the central nervous system and inhibit psychomotor hyperactivity. I (R3R4 = CH2) also showed muscle relaxant and spasmolytic activity. For example, 1-(4'-aminophenyl)-4-methyl-7,8-dimethoxy-5H-2,3-benzodiazepine was prepd. by redn. of the corresponding nitro compd. with H2 and a Pd/C catalyst.

IT **102771-13-1 102771-33-5**

RL: RCT (Reactant)
(hydrogenation of)

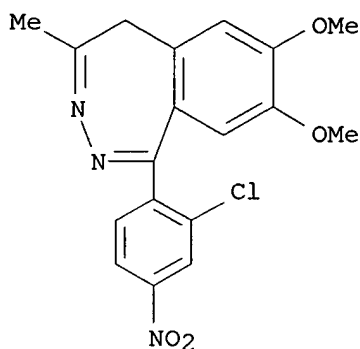
RN 102771-13-1 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



RN 102771-33-5 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chloro-4-nitrophenyl)-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)

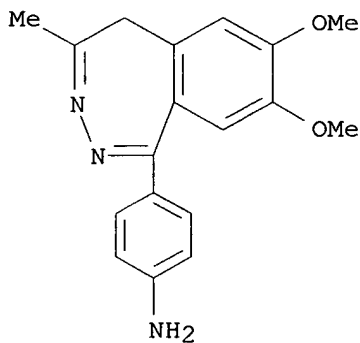


IT 102771-12-0P 102771-14-2P 102771-15-3P
 102771-16-4P 102771-17-5P 102771-18-6P
 102771-19-7P 102771-20-0P 102771-21-1P
 102771-22-2P 102771-28-8P 102771-29-9P
 102771-30-2P 102771-31-3P 102771-32-4P
 102771-36-8P 102771-37-9P 102771-38-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of and aggression and anxiety inhibition by)

RN 102771-12-0 CAPLUS

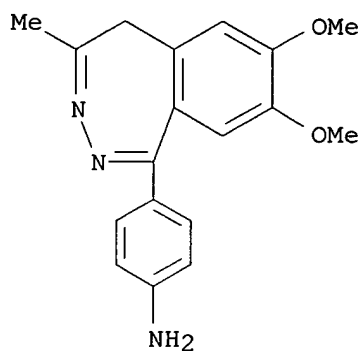
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
 (CA INDEX NAME)



RN 102771-14-2 CAPLUS

CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-,
 monohydrochloride (9CI) (CA INDEX NAME)

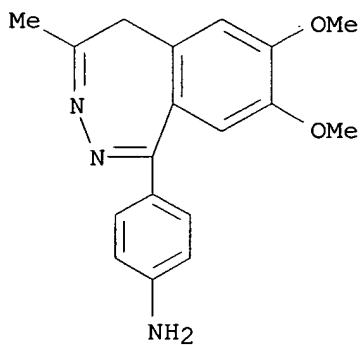
09/882,843



● HCl

RN 102771-15-3 CAPLUS

CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 102771-16-4 CAPLUS

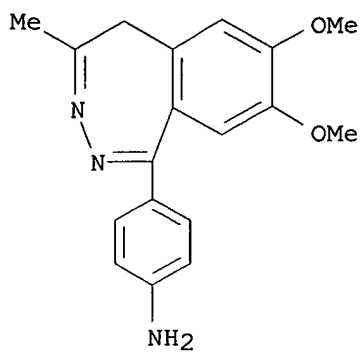
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 102771-12-0

CMF C18 H19 N3 O2

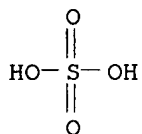
09/882,843



CM 2

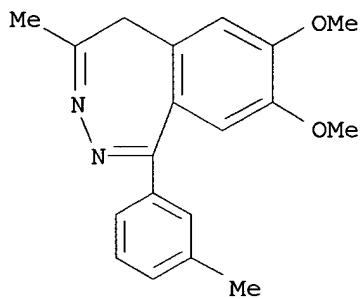
CRN 7664-93-9

CMF H2 O4 S



RN 102771-17-5 CAPLUS

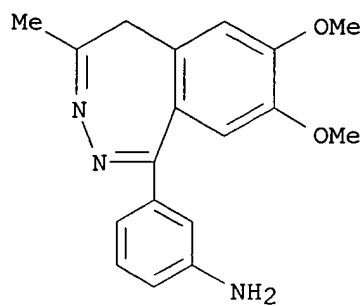
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(3-methylphenyl)- (9CI)
(CA INDEX NAME)



RN 102771-18-6 CAPLUS

CN Benzenamine, 3-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-,
monohydrochloride (9CI) (CA INDEX NAME)

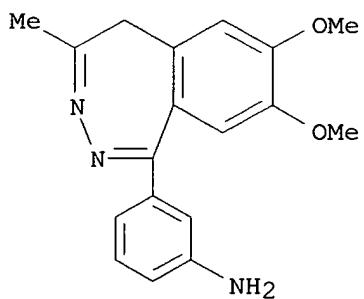
09/882,843



● HCl

RN 102771-19-7 CAPLUS

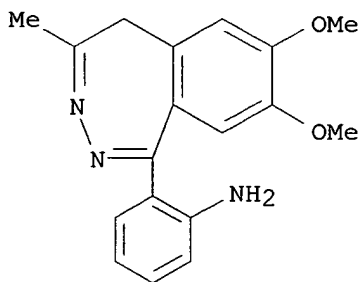
CN Benzenamine, 3-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 102771-20-0 CAPLUS

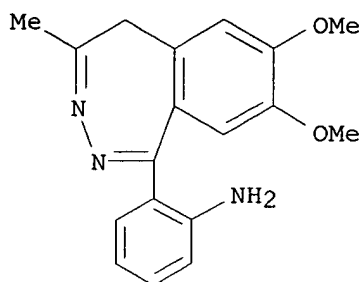
CN Benzenamine, 2-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 102771-21-1 CAPLUS

09/882,843

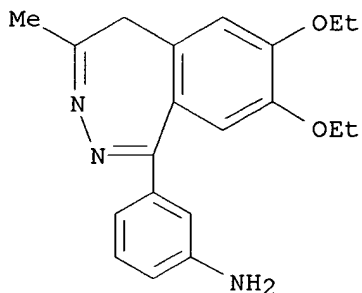
CN Benzenamine, 2-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

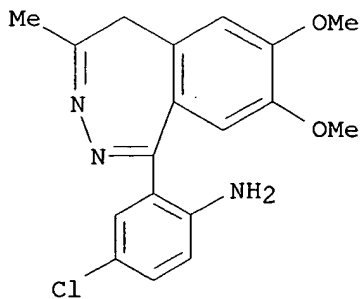
RN 102771-22-2 CAPLUS

CN Benzenamine, 3-(7,8-diethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
(CA INDEX NAME)



RN 102771-28-8 CAPLUS

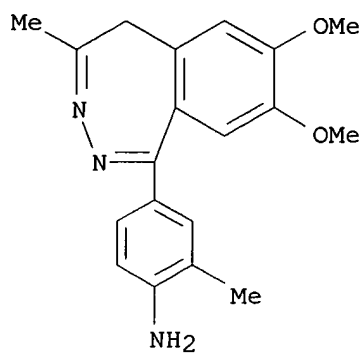
CN Benzenamine, 4-chloro-2-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 102771-29-9 CAPLUS

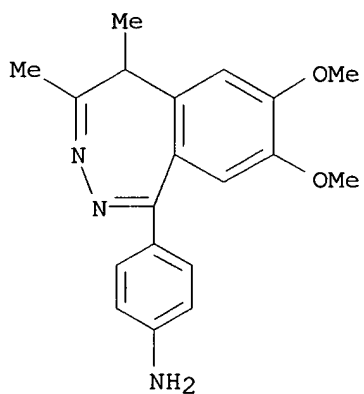
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-2-methyl- (9CI) (CA INDEX NAME)

09/882,843



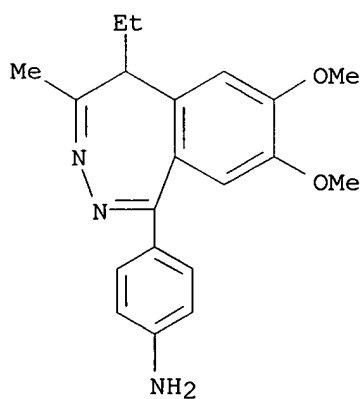
RN 102771-30-2 CAPLUS

CN Benzenamine, 4-(7,8-dimethoxy-4,5-dimethyl-5H-2,3-benzodiazepin-1-yl)-
(9CI) (CA INDEX NAME)



RN 102771-31-3 CAPLUS

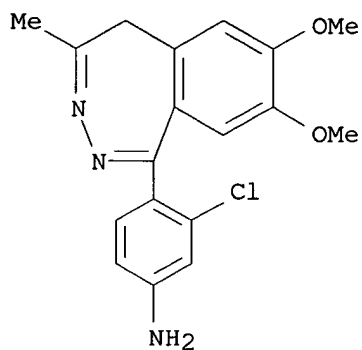
CN Benzenamine, 4-(5-ethyl-7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-
(9CI) (CA INDEX NAME)



RN 102771-32-4 CAPLUS

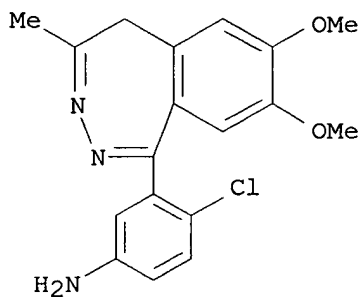
09/882,843

CN Benzenamine, 3-chloro-4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-
(9CI) (CA INDEX NAME)



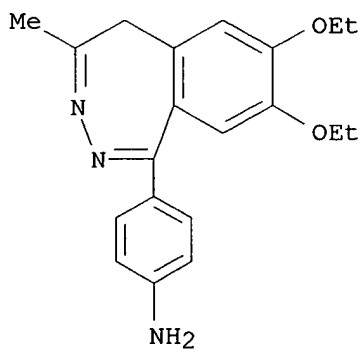
RN 102771-36-8 CAPLUS

CN Benzenamine, 4-chloro-3-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-
(9CI) (CA INDEX NAME)



RN 102771-37-9 CAPLUS

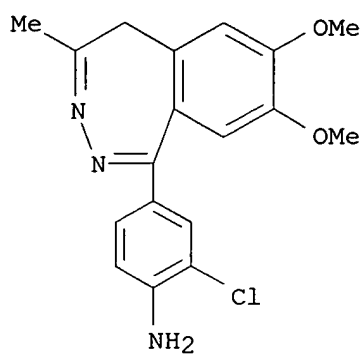
CN Benzenamine, 4-(7,8-diethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (9CI)
(CA INDEX NAME)



RN 102771-38-0 CAPLUS

CN Benzenamine, 2-chloro-4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-
(9CI) (CA INDEX NAME)

09/882,843



09/882,843

LB9 ANSWER 64 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1985:24603 CAPLUS

DN 102:24603

TI A convenient preparation of ^{14}C -labeled 5H-2,3-benzodiazepines

AU Zolyomi, G.; Lang, T.; Korosi, J.; Hamori, T.

CS Inst. Drug Res., Budapest, H-1325, Hung.

SO J. Labelled Compd. Radiopharm. (1984), 21(8), 751-7

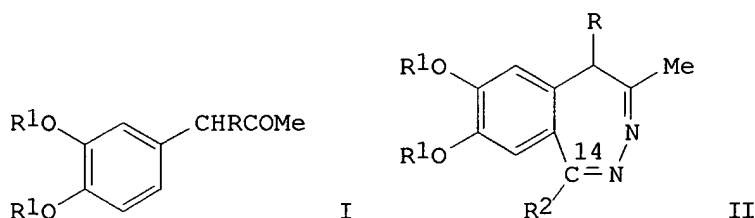
CODEN: JLCRD4; ISSN: 0362-4803

DT Journal

LA English

OS CASREACT 102:24603

GI



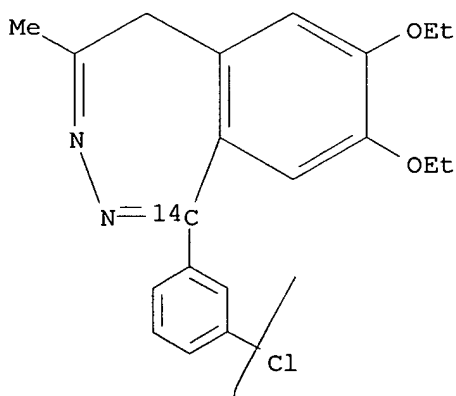
AB Phenylacetones I ($\text{R} = \text{Et}$, $\text{R}_1 = \text{Me}$; $\text{R} = \text{H}$, $\text{R}_1 = \text{Me}$, Et) were acylated with $\text{HO}^{14}\text{C}\text{R}_2$ [$\text{R}_2 = \text{C}_6\text{H}_3(\text{OMe})_{2-3,4}$; $\text{C}_6\text{H}_4\text{Cl}-3$] and treated with H_2NNH_2 to give benzodiazepines II in 10.5-23.0% chem. yield, with activities of 0.73-0.74 GBq/mmol. II [$\text{R} = \text{Et}$, $\text{R}_1 = \text{Me}$, $\text{R}_2 = \text{C}_6\text{H}_3(\text{OMe})_{2-3,4}$] is ^{14}C -labeled tofisopam.

IT **93635-49-5P 93635-51-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 93635-49-5 CAPLUS

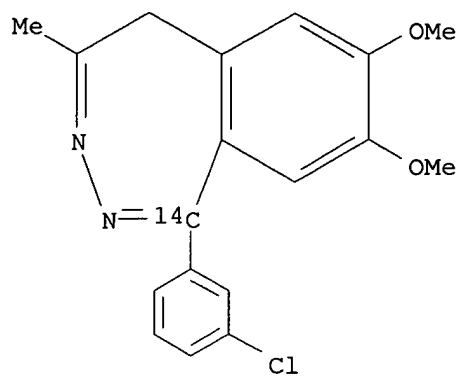
CN 5H-2,3-Benzodiazepine-1- ^{14}C , 1-(3-chlorophenyl)-7,8-diethoxy-4-methyl-
(9CI) (CA INDEX NAME)



RN 93635-51-9 CAPLUS

CN 5H-2,3-Benzodiazepine-1- ^{14}C , 1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)

09/882,843



~~139~~ ANSWER 65 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1984:209765 CAPLUS

~~DN~~ 100:209765

TI Heterocyclic compounds, VI. Formation of isomers in the acylation of compounds with a 1-aryl-4-methyl-5H-2,3-benzodiazepine skeleton

AU Korosi, Jenő; Lang, Tibor; Sohar, Pal; Neszmelyi, András; Horvath, Gyula; Zolyomi, Gábor

CS Inst. Res. Med. Prep., Budapest, H-1325, Hung.

SO Chem. Ber. (1984), 117(4), 1476-86

CODEN: CHBEAM; ISSN: 0009-2940

DT Journal

LA German

OS CASREACT 100:209765

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

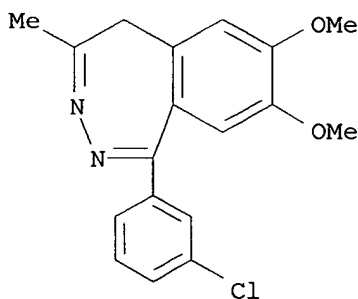
AB Acetylating benzodiazepine I with hot Ac₂O gave 1:1 proportions of isomers II (R = Me) and III (R = Me). Acetylating I in pyridine gave exclusively II (R = Me) whereas I.HCl in hot Ac₂O gave only III (R = Me). II and III (R = Me) could not be mutually converted into one another. III (R = Me) was prepd. from II (R = Me) via quaternary salt IV (R₁ = Ac). Although III (R = Me) was resistant to aq. hydrolysis, II (R = Me) was cleaved to benzene deriv. V (R = Me). II, III, and V (R = Et) were prepd. with (EtCO)₂O and III (R = Ph) from IV (R₁ = H) and Bz₂O. I-13C and III-13C (R = Me) were prepd. for 13C NMR assignments. Analogs of II and III (R = Me) were also prepd.

IT **90140-69-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and acetylation of)

RN 90140-69-5 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

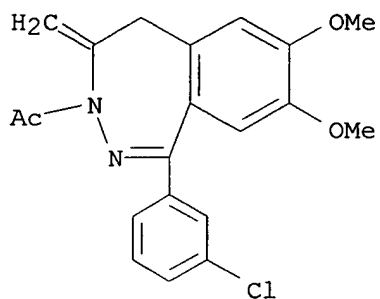
IT **90140-67-3P**

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

09/882,843

RN 90140-67-3 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-acetyl-1-(3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methylene- (9CI) (CA INDEX NAME)



09/882,843

~~139~~ ANSWER 66 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~IN~~ 1984:51401 CAPLUS

~~DN~~ 100:51401

TI Chromium trioxide oxidation products from 4-spiro-1-phenylisochromans

AU Gatta, Franco; Settimj, Guido

CS Lab. Chim. Farm., Ist. Super. Sanita, Rome, 00161, Italy

SO J. Heterocycl. Chem. (1983), 20(5), 1267-70

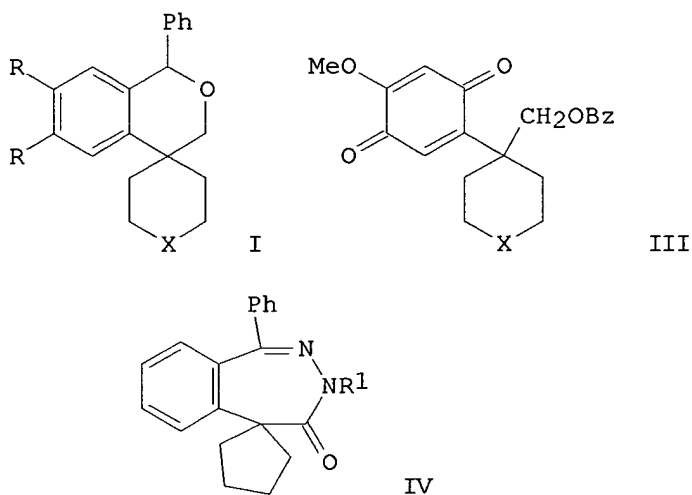
CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

OS CASREACT 100:51401

GI



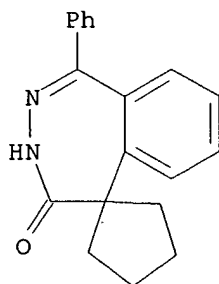
AB CrO₃ oxidn. of 1-phenylisochroman-4-spiro-1'-cyclopentane (I, X = bond, R = H) in HOAc led to the expected 1-(2-benzoylphenyl)cyclopentanecarboxylic acid (II), while I (X = bond, NMe, R = OMe) gave a mixt. of their 1-hydroxy derivs. and the p-benzoquinones III. Cyclization of II with R₁NHNH₂ (R₁ = H, Me) led to the spirobenzodiazepin-4-ones IV.

IT **88346-41-2P 88346-42-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 88346-41-2 CAPLUS

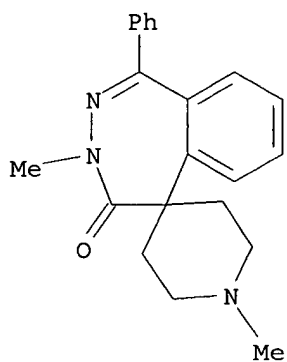
CN Spiro[5H-2,3-benzodiazepine-5,1'-cyclopentan]-4(3H)-one, 1-phenyl- (9CI)
(CA INDEX NAME)



09/882,843

RN 88346-42-3 CAPLUS

CN Spiro[5H-2,3-benzodiazepine-5,4'-piperidin]-4(3H)-one,
1',3-dimethyl-1-phenyl- (9CI) (CA INDEX NAME)



09/882,843

~~LS~~ ANSWER 67 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1983:53947 CAPLUS

~~DN~~ 98:53947

TI 3,4-Dihydro-5H-2,3-benzodiazepine derivatives

IN Korosi, Jeno; Lang, Tibor; Andrasi, Ferenc; Szekely, Jozsef; Hamori, Tamas; Balogh, Tibor; Ila, Lajos; Goldschmidt, Katalin; Sineger, Eleonora; Moravcsik, Imre

PA E. Gy. T. Gyogyszervegyeszeti Gyar, Hung.

SO Belg., 24 pp.
CODEN: BEXXAL

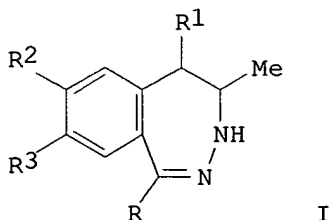
DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	BE 892395	A1	19820908	BE 1982-10448	19820308
	HU 27685	O	19831028	HU 1981-620	19810312
	HU 186760	B	19850930		
	IL 65076	A1	19841231	IL 1982-65076	19820222
	US 4423044	A	19831227	US 1982-352346	19820225
	FR 2501686	A1	19820917	FR 1982-3989	19820310
	FR 2501686	B1	19850301		
	NO 8200798	A	19820913	NO 1982-798	19820311
	FI 8200839	A	19820913	FI 1982-839	19820311
	SE 8201537	A	19820913	SE 1982-1537	19820311
	DK 8201068	A	19820913	DK 1982-1068	19820311
	AU 8281308	A1	19820916	AU 1982-81308	19820311
	AU 550388	B2	19860320		
	NL 8201005	A	19821001	NL 1982-1005	19820311
	JP 57159772	A2	19821001	JP 1982-38847	19820311
	GB 2097387	A	19821103	GB 1982-7168	19820311
	GB 2097387	B2	19840919		
	ES 510348	A1	19830201	ES 1982-510348	19820311
	CA 1178584	A1	19841127	CA 1982-398115	19820311
	CH 648553	A	19850329	CH 1982-1490	19820311
	AT 8200969	A	19861015	AT 1982-969	19820311
	AT 383120	B	19870525		
	DE 3209100	A1	19821028	DE 1982-3209100	19820312
	DD 204698	A5	19831207	DD 1982-238112	19820312
	CS 224646	P	19840116	CS 1982-1739	19820312
	SU 1151206	A3	19850415	SU 1982-3404160	19820312
PRAI	HU 1981-620		19810312		

GI



AB Benzodiazepines I (R = Ph, substituted Ph; R1 = H, alkyl; R2, R3 = H, alkoxy, cycloalkoxy, PhCH2O) were prepd. by borohydride redn. of

3,4-didehydro derivs. of I. Thus, I (R = 3-ClC₆H₄, R₁ = Me, R₂ = R₃ = OMe, II) was obtained in 85.5% yield by NaBH₄ redn. of its 3,4-didehydro deriv. At 25 mg/kg orally in mice II had 3.63 times the central nervous system depressant activity of tofisopam.

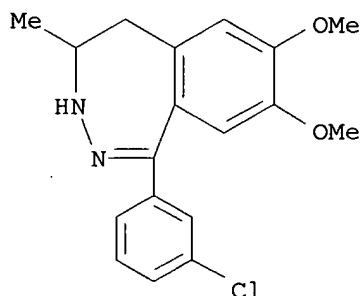
IT 84351-14-4P 84351-21-3P 84351-25-7P

84351-27-9P 84351-28-0P 84351-30-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and central nervous system depressant activity of)

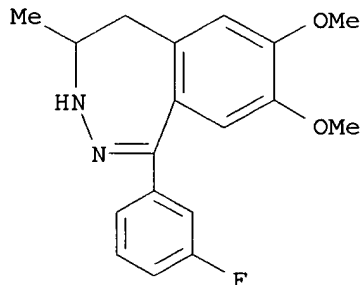
RN 84351-14-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 84351-21-3 CAPLUS

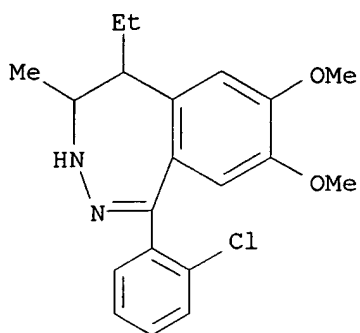
CN 3H-2,3-Benzodiazepine, 1-(3-fluorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 84351-25-7 CAPLUS

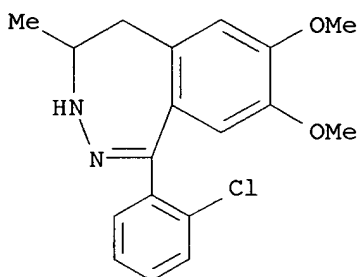
CN 3H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-5-ethyl-4,5-dihydro-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)

09/882,843



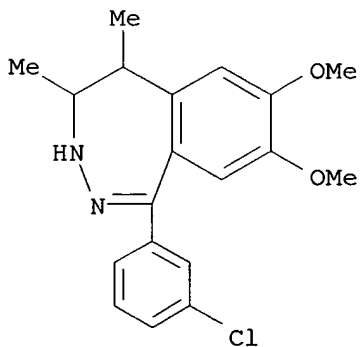
RN 84351-27-9 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 84351-28-0 CAPLUS

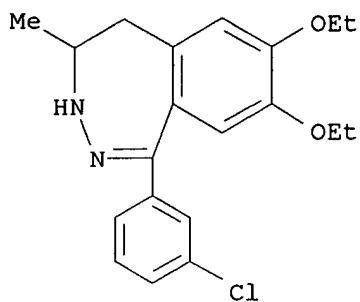
CN 3H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4,5-dimethyl- (9CI) (CA INDEX NAME)



RN 84351-30-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-diethoxy-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)

09/882,843

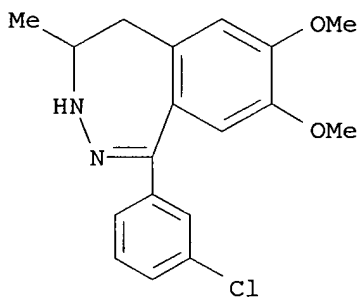


IT **84351-15-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 84351-15-5 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

09/882,843

~~L39~~ ANSWER 68 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1982:423830 CAPLUS

DN 97:23830

TI 5H-2,3-Benzodiazepine derivatives

IN Korosi, Jenő; Lang, Tibor; Székely, József; Andrasi, Ferenc; Zolyomi, Gábor; Borsi, József; Goldschmidt, Katali; Hamori, Tamas; Szabo, Gabriella; et al.

PA Hung.

SO U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 86,047, abandoned.

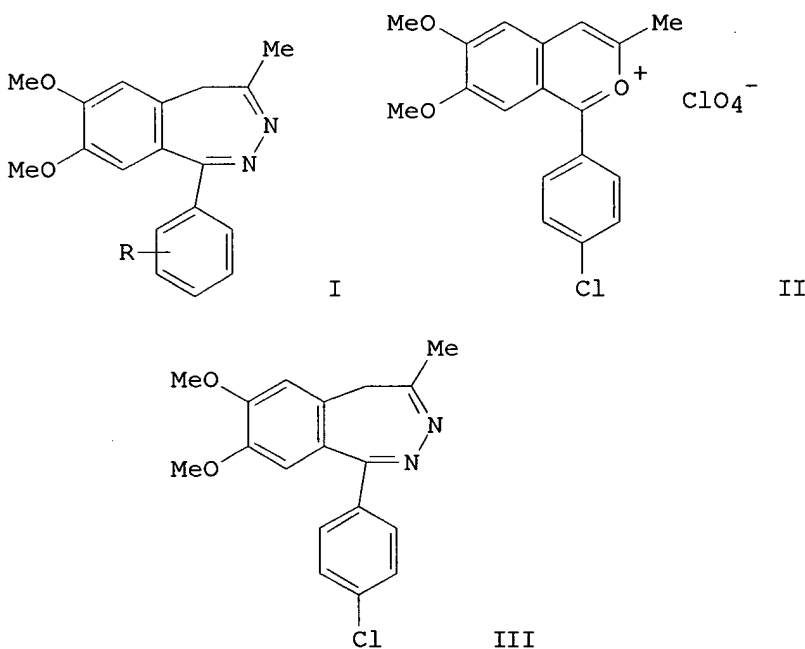
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4322346	A	19820330	US 1980-191811	19800926
	HU 21372	O	19811128	HU 1978-GO1426	19781019
	HU 179018	B	19820828		
PRAI	HU 1978-GO1426		19781019		
	US 1979-86047		19791018		
GI					



AB Benzodiazepines I (R = halogen, CF₃) were prep'd. Thus, treatment of pyrylium salt II with N₂H₄ gave 72.5% III. I (R = 3-Cl) had a tranquilizer activity ED₅₀ in the mouse fighting test of 16 mg/kg orally.

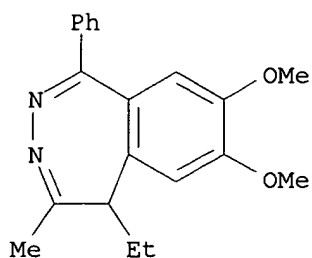
IT 62079-07-6P 75114-00-0P 75114-09-9P
75114-82-8P 82230-55-5P 82230-56-6P
82230-57-7P 82230-58-8P 82230-59-9P
82230-60-2P

09/882,843

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and tranquilizing activity of)

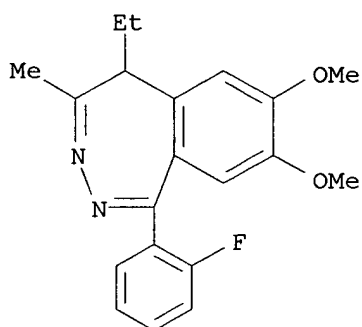
RN 62079-07-6 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



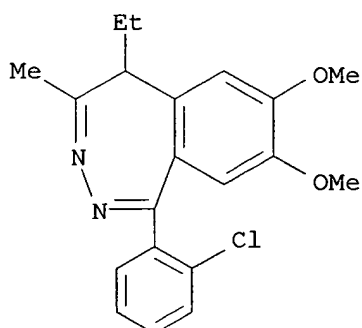
RN 75114-00-0 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 75114-09-9 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-5-ethyl-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)

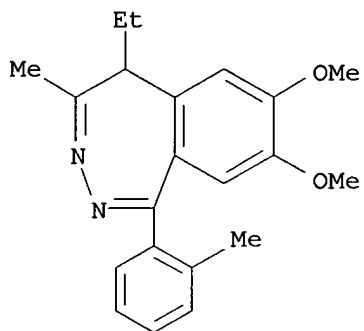


RN 75114-82-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-7,8-dimethoxy-4-methyl-1-(2-methylphenyl)-

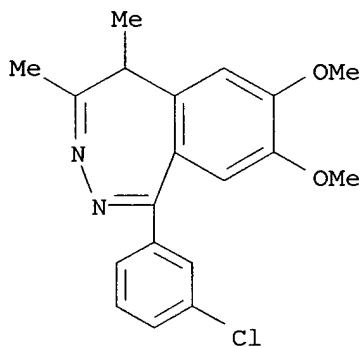
09/882,843

(9CI) (CA INDEX NAME)



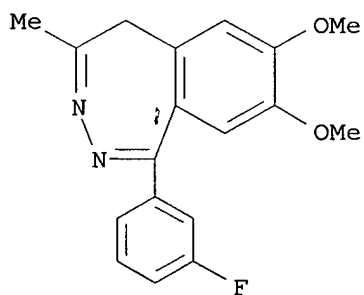
RN 82230-55-5 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy-4,5-dimethyl-
(9CI) (CA INDEX NAME)



RN 82230-56-6 CAPLUS

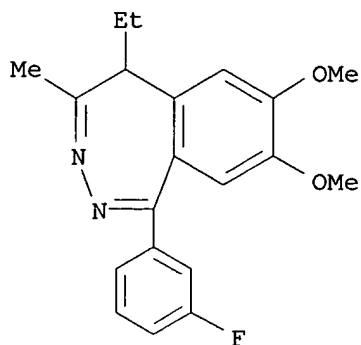
CN 5H-2,3-Benzodiazepine, 1-(3-fluorophenyl)-7,8-dimethoxy-4-methyl- (9CI)
(CA INDEX NAME)



RN 82230-57-7 CAPLUS

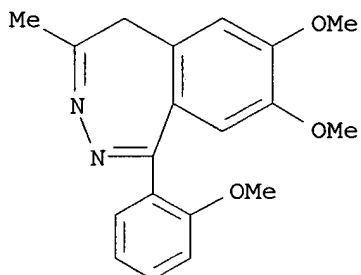
CN 5H-2,3-Benzodiazepine, 5-ethyl-1-(3-fluorophenyl)-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)

09/882,843



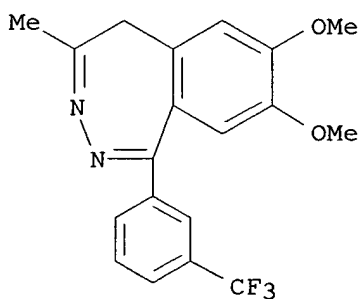
RN 82230-58-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-(2-methoxyphenyl)-4-methyl- (9CI)
(CA INDEX NAME)



RN 82230-59-9 CAPLUS

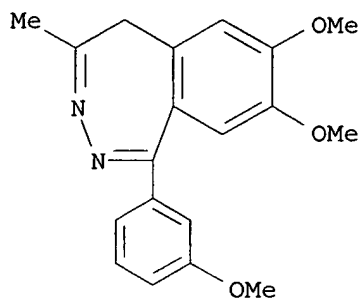
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 82230-60-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-(3-methoxyphenyl)-4-methyl- (9CI)
(CA INDEX NAME)

09/882,843



IT 75113-86-9P 75113-87-0P 75114-10-2P

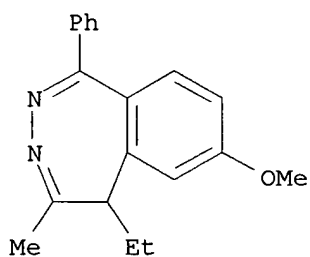
75114-14-6P 75114-25-9P 75114-30-6P

82230-54-4P 82230-61-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

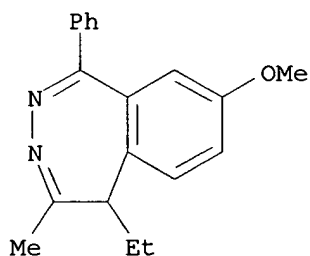
RN 75113-86-9 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-7-methoxy-4-methyl-1-phenyl- (9CI) (CA
INDEX NAME)



RN 75113-87-0 CAPLUS

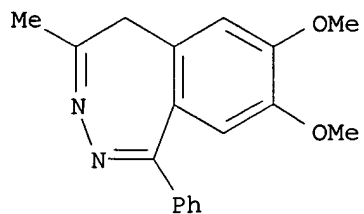
CN 5H-2,3-Benzodiazepine, 5-ethyl-8-methoxy-4-methyl-1-phenyl- (9CI) (CA
INDEX NAME)



RN 75114-10-2 CAPLUS

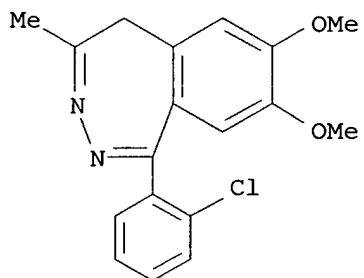
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX
NAME)

09/882,843



RN 75114-14-6 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

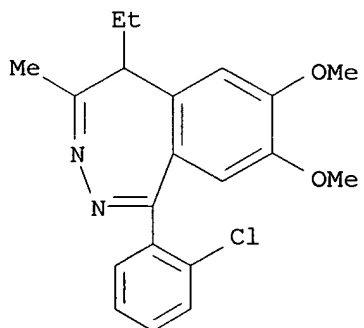
RN 75114-25-9 CAPLUS

CN Thiocyanic acid, compd. with 1-(2-chlorophenyl)-5-ethyl-7,8-dimethoxy-4-
methyl-5H-2,3-benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 75114-09-9

CMF C20 H21 Cl N2 O2



CM 2

09/882,843

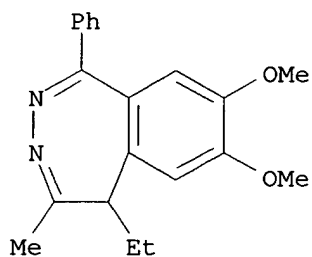
CRN 463-56-9
CMF C H N S

HS-C \equiv N

RN 75114-30-6 CAPLUS
CN Thiocyanic acid, compd. with 5-ethyl-7,8-dimethoxy-4-methyl-1-phenyl-5H-2,3-benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 62079-07-6
CMF C20 H22 N2 O2



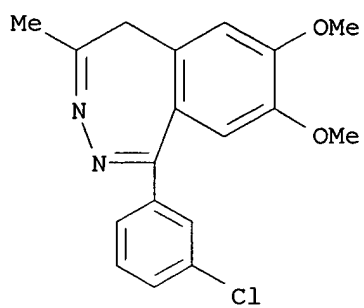
CM 2

CRN 463-56-9
CMF C H N S

HS-C \equiv N

RN 82230-54-4 CAPLUS
CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

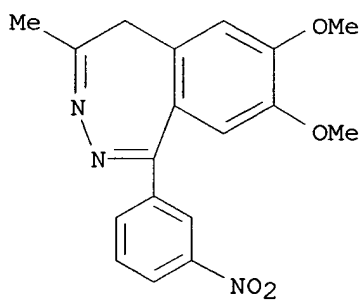
09/882,843



● HCl

RN 82230-61-3 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(3-nitrophenyl)- (9CI)
(CA INDEX NAME)



~~139~~ ANSWER 69 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1981:208827 CAPLUS

DN 94:208827

TI Synthesis of substituted [1,2]diazepino[4,5,6-cd]indol-4-ones

AU Hiremath, Shivayogi P.; Goudar, Naganagouda N.; Purohit, Muralidhar G.

CS Dep. Chem., Karnatak Univ., Gulbarga, 585 105, India

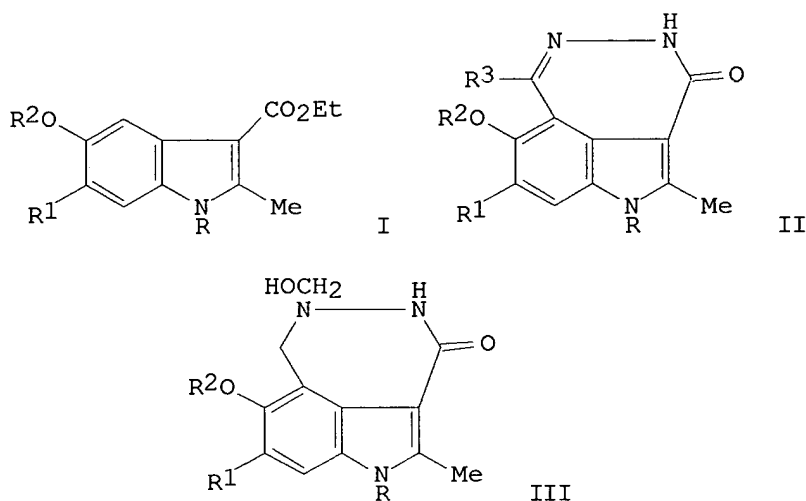
SO Indian J. Chem., Sect. B (1980), 19B(10), 848-51

CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

GI



AB Various substituted Et 5-hydroxy-2-methylindole-3-carboxylates I ($R = R_1 = R_2 = H$; $R = R_2 = H$, $R_1 = Br$; $R = PhCH_2$, $R_1 = H$, $R_2 = Me$) were prepd. by Nenitzescu reaction. These on condensation with $H_2NNH_2 \cdot H_2O$ give the resp. carboxyhydrazides, which react with formamide, Ac_2O - $AcOH$, and $BzCl$ to furnish the corresponding acyl derivs. The acyl derivs. on cyclodehydration with $POCl_3$ afford the corresponding diazepinoindolones II ($R_3 = Me, H, Ph$). The hydrazides were treated with formalin to get N-hydroxymethylhydrazides, which on treatment with another mol. of formalin in presence of H_2SO_4 give 2-hydroxymethyldiazepinoindoles III.

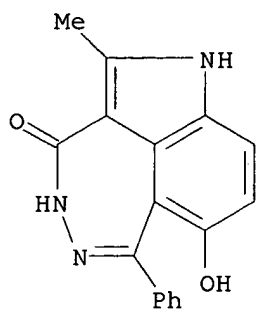
IT **77294-43-0P 77294-45-2P 77294-57-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 77294-43-0 CAPLUS

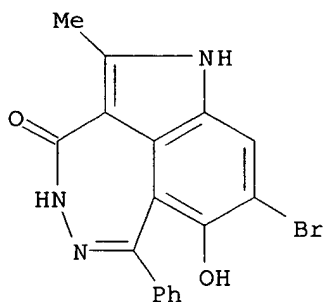
CN 3H-Pyrrolo[4,3,2-ef][2,3]benzodiazepin-3-one, 1,4-dihydro-7-hydroxy-2-methyl-6-phenyl- (9CI) (CA INDEX NAME)

09/882,843



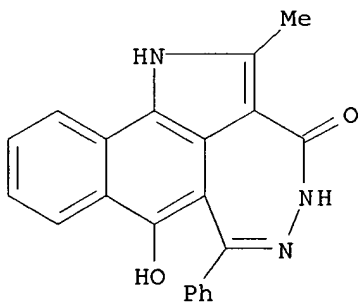
RN 77294-45-2 CAPLUS

CN 3H-Pyrrolo[4,3,2-ef][2,3]benzodiazepin-3-one, 8-bromo-1,4-dihydro-7-hydroxy-2-methyl-6-phenyl- (9CI) (CA INDEX NAME)



RN 77294-57-6 CAPLUS

CN 3H-Benzo[h]pyrrolo[4,3,2-ef][2,3]benzodiazepin-3-one, 1,4-dihydro-7-hydroxy-2-methyl-6-phenyl- (9CI) (CA INDEX NAME)



09/882,843

~~129~~ ANSWER 70 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1981:103443 CAPLUS

~~DN~~ 94:103443

~~TI~~ Benzodiazepines

~~IN~~ Korosi, Jeno; Lang, Tibor; Szekely, Jozsef; Anrasi, Ferenc; Zolyomi, Gabor; Borsy, Jozsef; Goldschmidt, Katalin; Hamori, Tames; Szabo, Gabriella; et al.

~~PA~~ E. Gy. T. Gyogyszervegyeszeti Gyar, Hung.

~~SO~~ Brit. UK Pat. Appl., 14 pp.

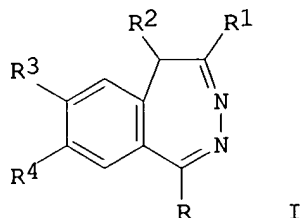
CODEN: BAXXDU

~~DT~~ Patent

~~LA~~ English

~~FAN.CNT~~ 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	GB 2034706	A	19800611	GB 1979-36185	19791018
	GB 2034706	B2	19820804		
PRAI	HU 1978-G1426		19781019		
GI					



~~AB~~ Benzodiazepines I (R = H, C1-5 alkyl, dialkylaminoalkyl, NH₂, alkylamino, dialkylamino, styryl, optionally substituted aralkyl or aryl, heterocyclyl contg. 1-2 N, O, and/or S atoms; R₁ = H, C1-4 alkyl, CH₂OH, CHO, CO₂H, alkoxy carbonyl, heterocyclyl; R₂ = H, C1-4 alkyl, dialkylaminoalkyl, alkylamino, dialkylamino, aryl; R₃, R₄ = H, halo, NO₂, NH₂, acyloxy, C1-3 alkyl, C1-5 alkoxy, dialkylaminoalkoxy, aralkoxy or R₃R₄ = methylenedioxy or carbonic acid residue) were prepd. I have significant effects on the central nervous system, decreasing spontaneous motor activity and potentiating the effect of narcotics (assessed in mice). E.g., I (R = C₆H₄Cl-4, R₁ = Me, R₂ = H, R₃ = R₄ = OMe) was prepd. by cyclocondensation of 1-(4-chlorophenyl)-3-methyl-6,7-dimethoxy-2-benzopyrylium perchlorate with N₂H₄.H₂O (MeOH, reflux).

~~IT~~ 62079-07-6P 75113-86-9P 75113-87-0P
75113-89-2P 75114-00-0P 75114-09-9P
75114-10-2P 75114-12-4P 75114-13-5P
75114-14-6P 75114-15-7P 75114-16-8P
75114-25-9P 75114-26-0P 75114-27-1P
75114-28-2P 75114-30-6P 75114-82-8P
75114-83-9P

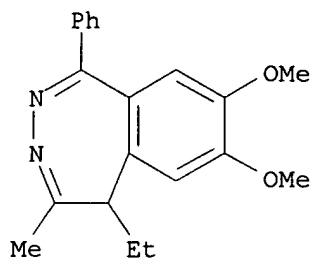
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as motor activity suppressant and narcotic potentiator)

~~RN~~ 62079-07-6 CAPLUS

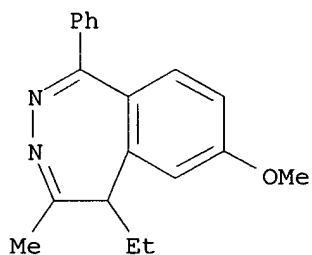
~~CN~~ 5H-2,3-Benzodiazepine, 5-ethyl-7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)

09/882,843



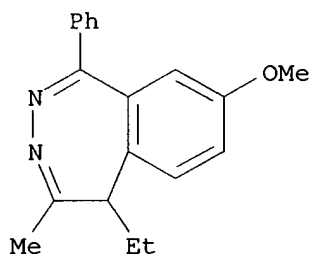
RN 75113-86-9 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-7-methoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



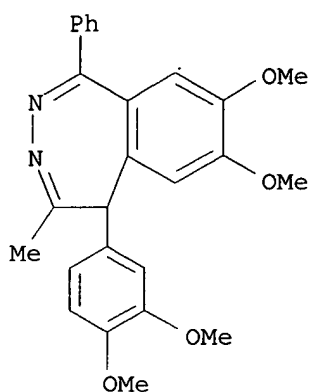
RN 75113-87-0 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-8-methoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)

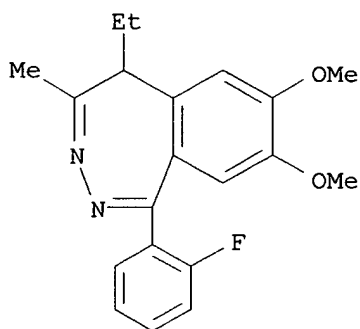


RN 75113-89-2 CAPLUS

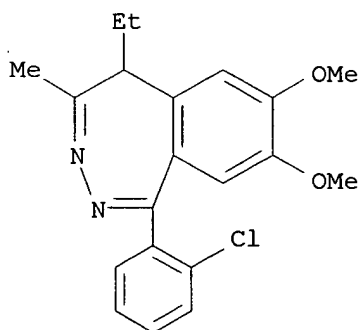
CN 5H-2,3-Benzodiazepine, 5-(3,4-dimethoxyphenyl)-7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



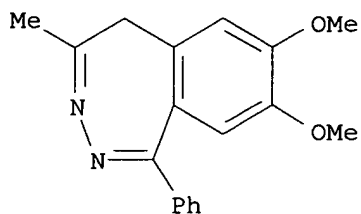
RN 75114-00-0 CAPLUS
 CN 5H-2,3-Benzodiazepine, 5-ethyl-1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl-
 (9CI) (CA INDEX NAME)



RN 75114-09-9 CAPLUS
 CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-5-ethyl-7,8-dimethoxy-4-methyl-
 (9CI) (CA INDEX NAME)

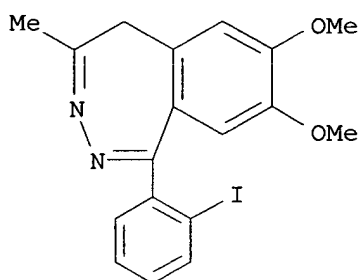


RN 75114-10-2 CAPLUS
 CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX
 NAME)



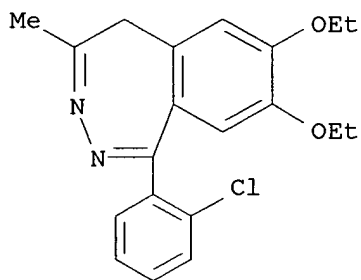
RN 75114-12-4 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-iodophenyl)-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 75114-13-5 CAPLUS

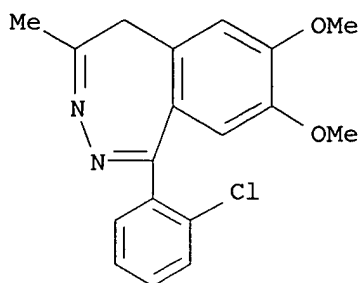
CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-diethoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 75114-14-6 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

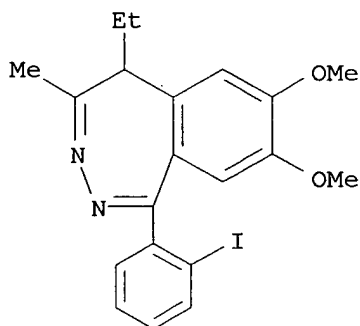
09/882,843



● HCl

RN 75114-15-7 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-1-(2-iodophenyl)-7,8-dimethoxy-4-methyl-,
monohydrochloride (9CI) (CA INDEX NAME)

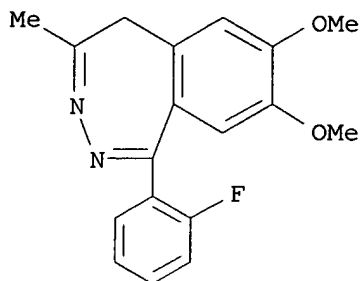


● HCl

RN 75114-16-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl-,
monohydrochloride (9CI) (CA INDEX NAME)

09/882,843



● HCl

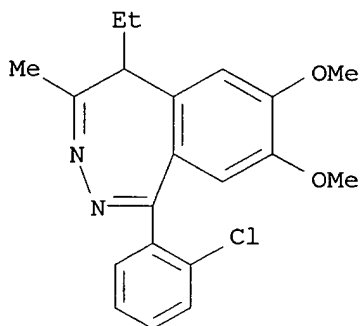
RN 75114-25-9 CAPLUS

CN Thiocyanic acid, compd. with 1-(2-chlorophenyl)-5-ethyl-7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 75114-09-9

CMF C20 H21 Cl N2 O2



CM 2

CRN 463-56-9

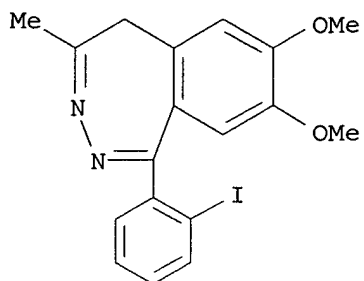
CMF C H N S

HS-C≡N

RN 75114-26-0 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-iodophenyl)-7,8-dimethoxy-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

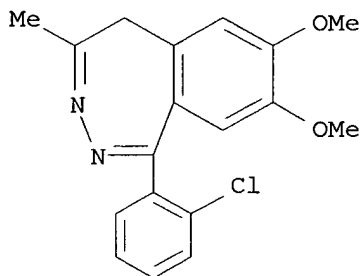
09/882,843



● HCl

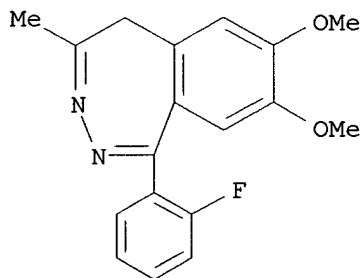
RN 75114-27-1 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl- (9CI)
(CA INDEX NAME)



RN 75114-28-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl- (9CI)
(CA INDEX NAME)



RN 75114-30-6 CAPLUS

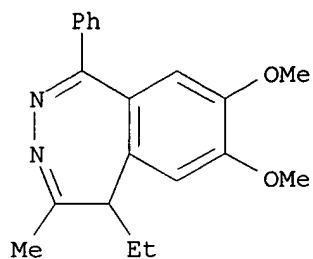
CN Thiocyanic acid, compd. with 5-ethyl-7,8-dimethoxy-4-methyl-1-phenyl-5H-2,3-benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 62079-07-6

09/882,843

CMF C20 H22 N2 O2



CM 2

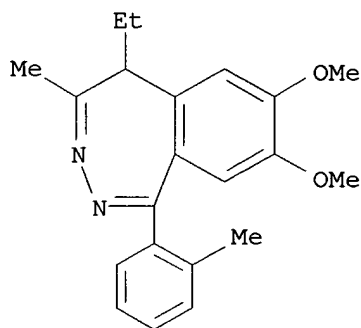
CRN 463-56-9

CMF C H N S

HS-C≡N

RN 75114-82-8 CAPLUS

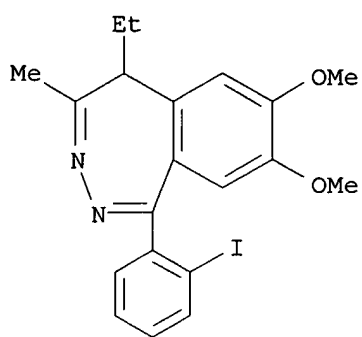
CN 5H-2,3-Benzodiazepine, 5-ethyl-7,8-dimethoxy-4-methyl-1-(2-methylphenyl)-
(9CI) (CA INDEX NAME)



RN 75114-83-9 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-1-(2-iodophenyl)-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)

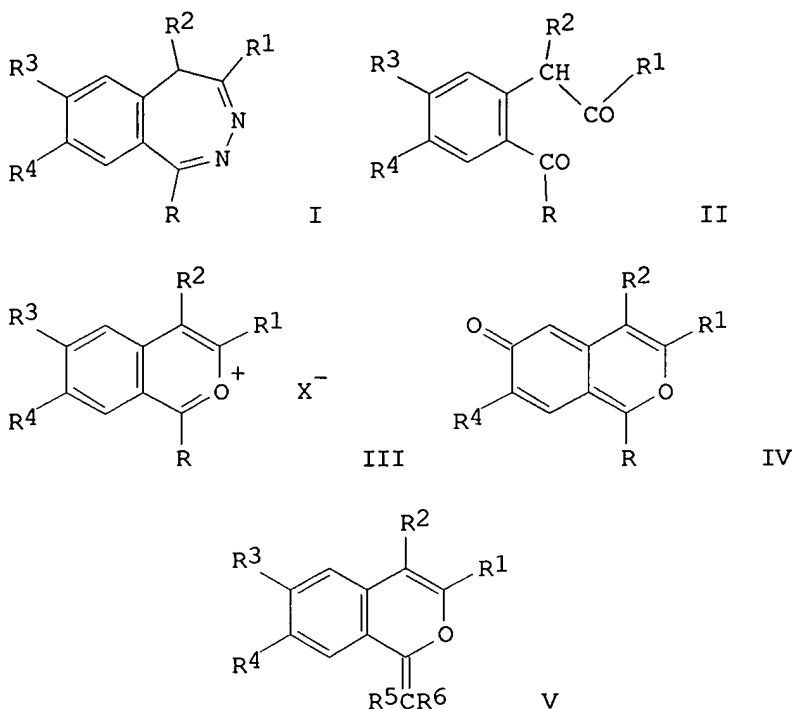
09/882,843



09/882,843

~~100~~ ANSWER 71 OF 80 CAPLUS COPYRIGHT 2002 ACS
AN 1981:65733 CAPLUS
DN 94:65733
TI Benzodiazepines
PA E. Gy. T. Gyogyszervegyeszeti Gyar, Hung.
SO Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 55092377	A2	19800712	JP 1979-134718	19791018
	JP 63050354	B4	19881007		
	HU 21372	O	19811128	HU 1978-GO1426	19781019
	HU 179018	B	19820828		
	AT 7906472	A	19830615	AT 1979-6472	19791004
	AT 373589	B	19840210		
	SE 7908481	A	19800420	SE 1979-8481	19791012
	SE 439919	B	19850708		
	SE 439919	C	19851017		
	BE 879404	A1	19800415	BE 1979-9569	19791015
	FI 7903209	A	19800420	FI 1979-3209	19791016
	FI 66604	B	19840731		
	FI 66604	C	19841112		
	FR 2439189	A1	19800516	FR 1979-25698	19791016
	FR 2439189	B1	19841130		
	AU 532079	B2	19830915	AU 1979-51817	19791016
	CH 643835	A	19840629	CH 1979-9292	19791016
	CS 236456	B2	19850515	CS 1979-7020	19791016
	DD 146596	C	19810218	DD 1979-216290	19791017
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	DK 155327	B	19890328		
	DK 155327	C	19890821		
	NL 7907692	A	19800422	NL 1979-7692	19791018
	NL 190552	B	19931116		
	NL 190552	C	19940418		
	NO 7903349	A	19800422	NO 1979-3349	19791018
	NO 152048	B	19850415		
	NO 152048	C	19850724		
	ES 485163	A1	19800616	ES 1979-485163	19791018
	CA 1125749	A1	19820615	CA 1979-337955	19791018
	PL 124063	B1	19821231	PL 1979-219034	19791018
	SU 1402258	A3	19880607	SU 1979-2832177	19791018
PRAI	HU 1978-GO1426		19781019		
GI					



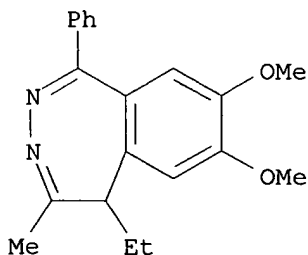
AB 5H-2,3-Benzodiazepines I [R = H, alkyl, (substituted) amino, halo, OH, acyloxy, NO₂, etc.; R₁ = H, alkyl, CHO, CO₂N, aryl, aralkoxy; R₂ = H, alkyl, (substituted) amino, aryl; R₃, R₄ = H, halo, NO₂, OH, NH₂, alkoxy, aryl, etc.] were prepd. by cyclization of N₂H₄ with II, III, IV, or V [X = anion, R₅, R₆ = H, alkyl, halo, OH, (substituted) amino, acyloxy, NO₂, etc.]. I are enhancers of anesthetics. Thus, heating III (R = 4-ClC₆H₄, R₁ = Me, R₂ = H, R₃ = R₄ = MeO, X = ClO₄) with N₂H₄ in MeOH to boil gave 72.5% corresponding I.

IT **62079-07-6P 75114-00-0P 75114-09-9P**
75114-82-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and anesthetic enhancing activity of)

RN 62079-07-6 CAPLUS

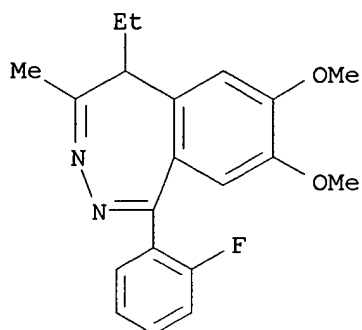
CN 5H-2,3-Benzodiazepine, 5-ethyl-7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 75114-00-0 CAPLUS

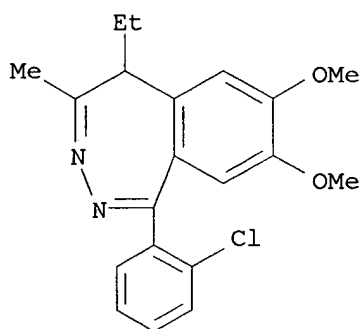
09/882,843

CN 5H-2,3-Benzodiazepine, 5-ethyl-1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)



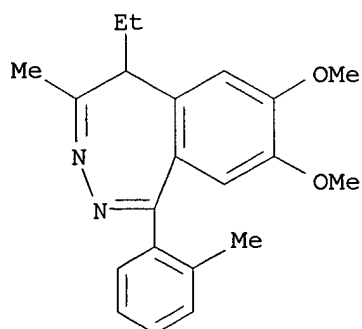
RN 75114-09-9 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-5-ethyl-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)



RN 75114-82-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-7,8-dimethoxy-4-methyl-1-(2-methylphenyl)-
(9CI) (CA INDEX NAME)



IT 75113-86-9P 75113-87-0P 75113-89-2P
75114-10-2P 75114-12-4P 75114-13-5P

09/882,843

75114-14-6P 75114-15-7P 75114-16-8P

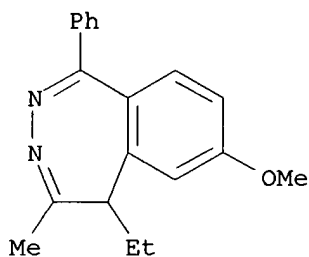
75114-25-9P 75114-26-0P 75114-30-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

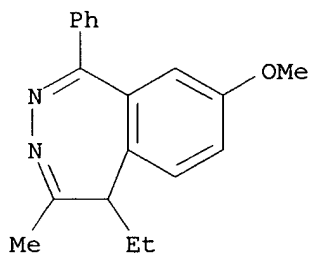
RN 75113-86-9 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-7-methoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



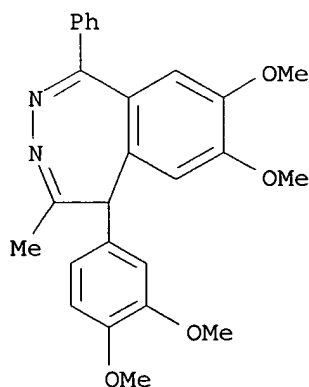
RN 75113-87-0 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-8-methoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 75113-89-2 CAPLUS

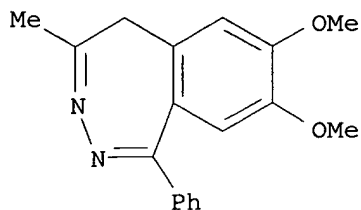
CN 5H-2,3-Benzodiazepine, 5-(3,4-dimethoxyphenyl)-7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 75114-10-2 CAPLUS

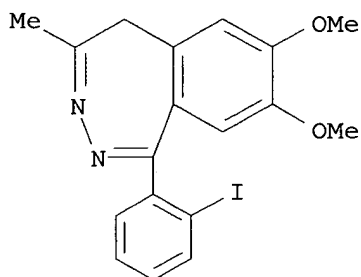
09/882,843

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



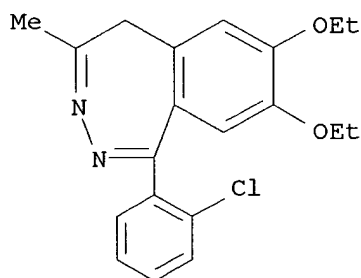
RN 75114-12-4 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-iodophenyl)-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 75114-13-5 CAPLUS

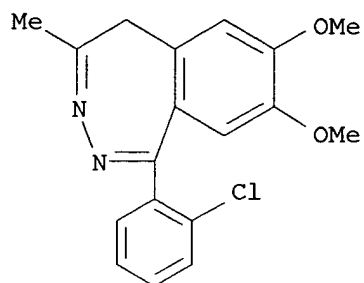
CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-diethoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 75114-14-6 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

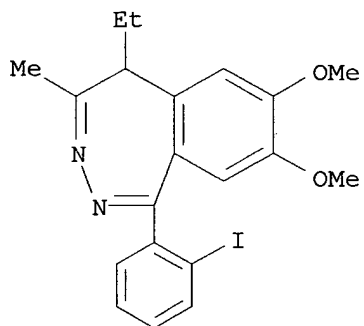
09/882,843



● HCl

RN 75114-15-7 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-1-(2-iodophenyl)-7,8-dimethoxy-4-methyl-,
monohydrochloride (9CI) (CA INDEX NAME)

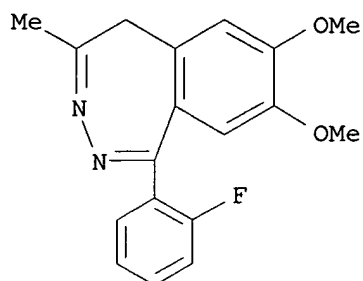


● HCl

RN 75114-16-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl-,
monohydrochloride (9CI) (CA INDEX NAME)

09/882,843



● HCl

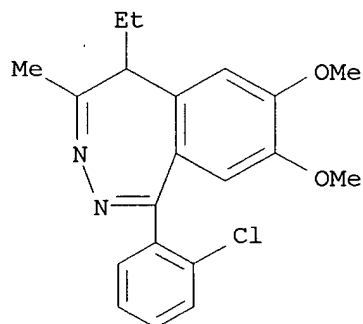
RN 75114-25-9 CAPLUS

CN Thiocyanic acid, compd. with 1-(2-chlorophenyl)-5-ethyl-7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 75114-09-9

CMF C20 H21 Cl N2 O2



CM 2

CRN 463-56-9

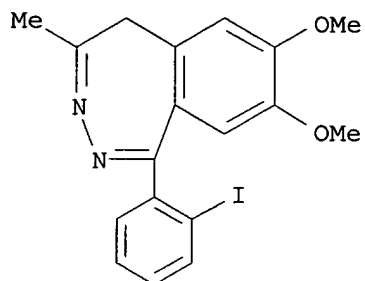
CMF C H N S

HS-C≡N

RN 75114-26-0 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-iodophenyl)-7,8-dimethoxy-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

09/882,843



● HCl

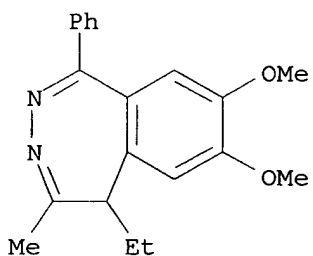
RN 75114-30-6 CAPLUS

CN Thiocyanic acid, compd. with 5-ethyl-7,8-dimethoxy-4-methyl-1-phenyl-5H-2,3-benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 62079-07-6

CMF C20 H22 N2 O2



CM 2

CRN 463-56-9

CMF C H N S

HS-C≡N

09/882,843

L39 ANSWER 72 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1980:568318 CAPLUS

DN 93:168318

TI 5H-2,3-Benzodiazepine derivatives and their pharmaceutical use

IN Korosi, Jenó; Lang, Tibor; Székely, József; Andrasi, Ferenc; Zolyomi, Gábor; Borsy, József; Goldschmidt, Katalin; Hamori, Tamás; Szabo, Gabriella; et al.

PA E. Gy. T. Gyógyszervegyészeti Gyár, Hung.

SO Ger. Offen., 78 pp.

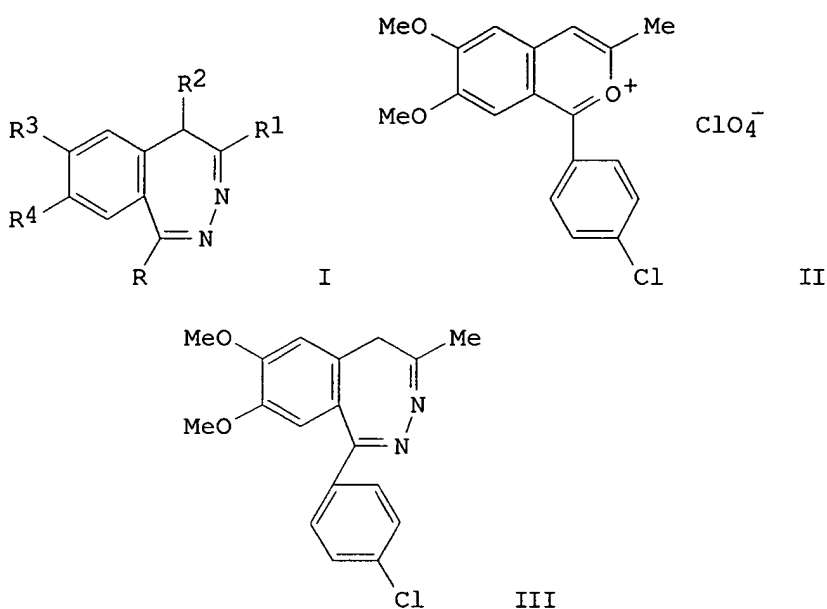
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2940483	A1	19800430	DE 1979-2940483	19791005
	DE 2940483	C2	19890622		
	HU 21372	O	19811128	HU 1978-GO1426	19781019
	HU 179018	B	19820828		
	AT 7906472	A	19830615	AT 1979-6472	19791004
	AT 373589	B	19840210		
	SE 7908481	A	19800420	SE 1979-8481	19791012
	SE 439919	B	19850708		
	SE 439919	C	19851017		
	BE 879404	A1	19800415	BE 1979-9569	19791015
	FI 7903209	A	19800420	FI 1979-3209	19791016
	FI 66604	B	19840731		
	FI 66604	C	19841112		
	FR 2439189	A1	19800516	FR 1979-25698	19791016
	FR 2439189	B1	19841130		
	AU 532079	B2	19830915	AU 1979-51817	19791016
	CH 643835	A	19840629	CH 1979-9292	19791016
	CS 236456	B2	19850515	CS 1979-7020	19791016
	DD 146596	C	19810218	DD 1979-216290	19791017
	DK 7904401	A	19800420	DK 1979-4401	19791018
	DK 155327	B	19890328		
	DK 155327	C	19890821		
	NL 7907692	A	19800422	NL 1979-7692	19791018
	NL 190552	B	19931116		
	NL 190552	C	19940418		
	NO 7903349	A	19800422	NO 1979-3349	19791018
	NO 152048	B	19850415		
	NO 152048	C	19850724		
	ES 485163	A1	19800616	ES 1979-485163	19791018
	CA 1125749	A1	19820615	CA 1979-337955	19791018
	PL 124063	B1	19821231	PL 1979-219034	19791018
	SU 1402258	A3	19880607	SU 1979-2832177	19791018
PRAI	HU 1978-GO1426		19781019		
GI					



AB Benzodiazepines I [R = H, C1-5 alkyl, alkylamino, dialkylaminoalkyl, dialkylamino (optionally substituted), styryl, C7-10 phenylalkyl, O-, N-, or S-heterocyclyl; R1 = H, C1-4 alkyl, CH₂OH, CHO, CO₂H, carbalkoxy, optionally substituted acyl or aryl; R2 = H, optionally substituted acyl, aralkoxy, C1-4 alkyl, dialkylaminoalkyl or (di)alkylamino; R3R4 = OCH₂O, carbonic acid moiety; R3, R4 independently = H, halo, NO₂, NH₂, OH, acyloxy, C1-3 alkyl optionally substituted with dialkylamino, carbalkoxy, C1-5 alkoxy, dialkylaminoalkyl, halo (un)substituted aralkoxy], useful as central nervous system depressants and narcotics potentiators (data tabulated), were prepd. by several methods. Thus, treating NH₂NH₂.H₂O with benzopyrylium salt II suspended in boiling MeOH gave 70.8% recrystd. benzodiazepine III. I (R = Ph, R1 = Me, R2 = Et, R3 = R4 = MeO) had ED₅₀ 35 mg/kg (mice) in inhibiting aggressiveness and gave 181% increase at 25 mg/kg (mice) in potentiation of hexobarbital Na.

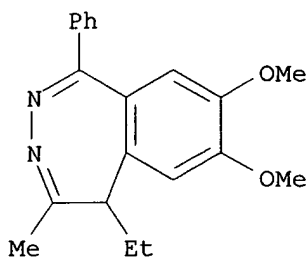
IT **62079-07-6P 75114-09-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and antiaggressive and hexobarbital-potentiating activity of)

RN 62079-07-6 CAPLUS

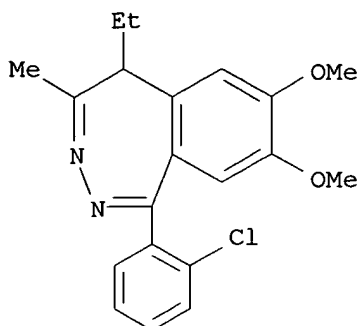
CN 5H-2,3-Benzodiazepine, 5-ethyl-7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



09/882,843

RN 75114-09-9 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-5-ethyl-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)

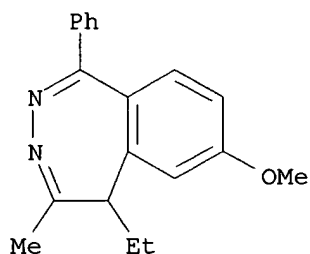


IT 75113-86-9P 75113-87-0P 75113-89-2P
75114-00-0P 75114-10-2P 75114-12-4P
75114-13-5P 75114-14-6P 75114-15-7P
75114-16-8P 75114-25-9P 75114-26-0P
75114-27-1P 75114-28-2P 75114-30-6P
75114-82-8P 75114-83-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

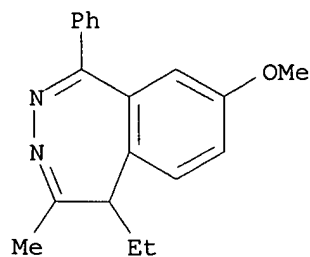
RN 75113-86-9 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-7-methoxy-4-methyl-1-phenyl- (9CI) (CA
INDEX NAME)



RN 75113-87-0 CAPLUS

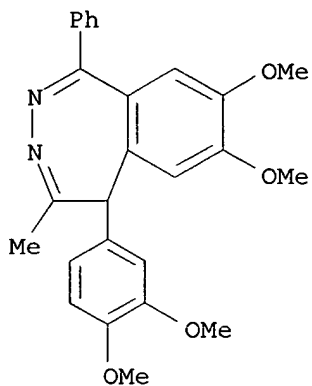
CN 5H-2,3-Benzodiazepine, 5-ethyl-8-methoxy-4-methyl-1-phenyl- (9CI) (CA
INDEX NAME)



09/882,843

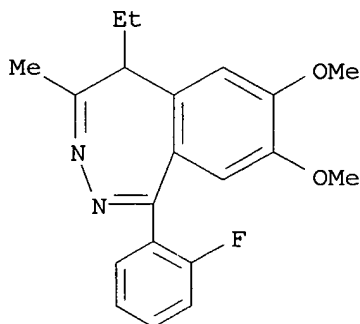
RN 75113-89-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-(3,4-dimethoxyphenyl)-7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



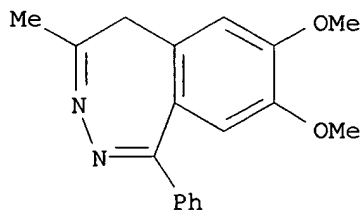
RN 75114-00-0 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 75114-10-2 CAPLUS

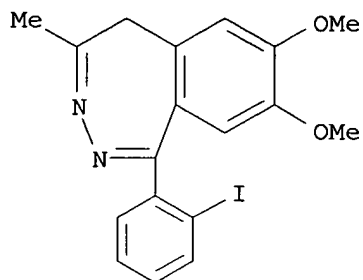
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 75114-12-4 CAPLUS

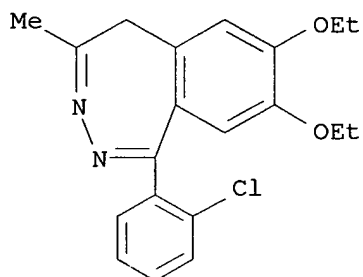
CN 5H-2,3-Benzodiazepine, 1-(2-iodophenyl)-7,8-dimethoxy-4-methyl- (9CI) (CA INDEX NAME)

09/882,843



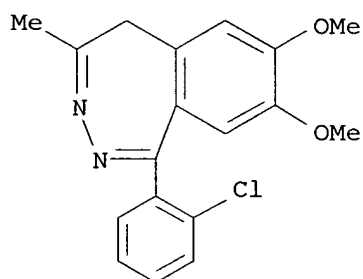
RN 75114-13-5 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-diethoxy-4-methyl- (9CI)
(CA INDEX NAME)



RN 75114-14-6 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl-,
monohydrochloride (9CI) (CA INDEX NAME)

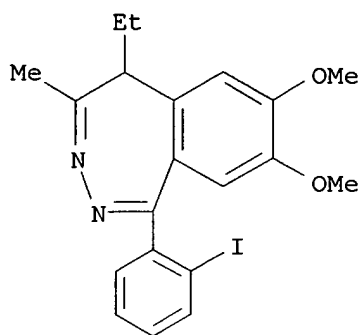


● HCl

RN 75114-15-7 CAPLUS

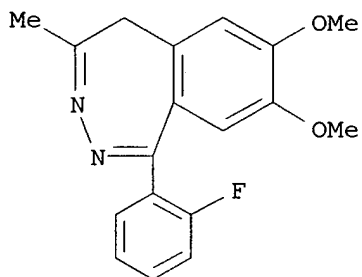
CN 5H-2,3-Benzodiazepine, 5-ethyl-1-(2-iodophenyl)-7,8-dimethoxy-4-methyl-,
monohydrochloride (9CI) (CA INDEX NAME)

09/882,843



● HCl

RN 75114-16-8 CAPLUS
CN 5H-2,3-Benzodiazepine, 1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl-,
monohydrochloride (9CI) (CA INDEX NAME)



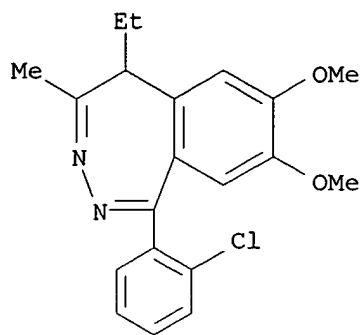
● HCl

RN 75114-25-9 CAPLUS
CN Thiocyanic acid, compd. with 1-(2-chlorophenyl)-5-ethyl-7,8-dimethoxy-4-
methyl-5H-2,3-benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 75114-09-9
CMF C20 H21 Cl N2 O2

09/882,843



CM 2

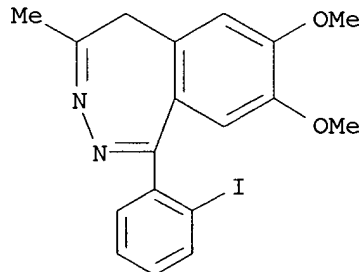
CRN 463-56-9

CMF C H N S

HS-C≡N

RN 75114-26-0 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-iodophenyl)-7,8-dimethoxy-4-methyl-,
monohydrochloride (9CI) (CA INDEX NAME)

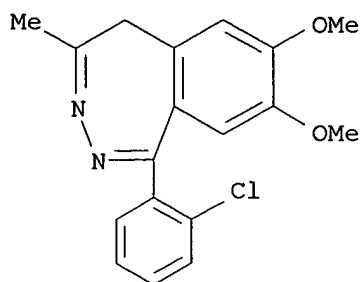


● HCl

RN 75114-27-1 CAPLUS

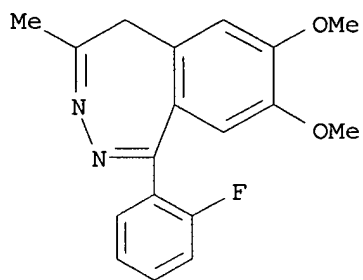
CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl- (9CI)
(CA INDEX NAME)

09/882,843



RN 75114-28-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl- (9CI)
(CA INDEX NAME)



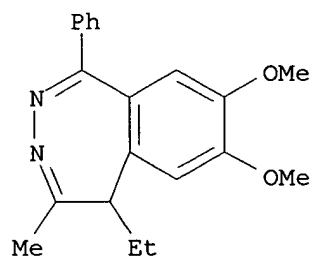
RN 75114-30-6 CAPLUS

CN Thiocyanic acid, compd. with 5-ethyl-7,8-dimethoxy-4-methyl-1-phenyl-5H-
2,3-benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 62079-07-6

CMF C20 H22 N2 O2



CM 2

CRN 463-56-9

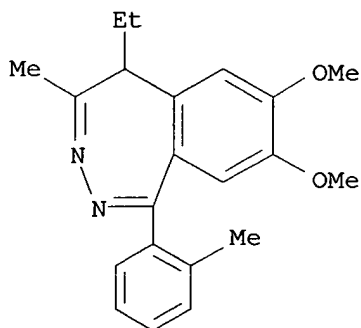
CMF C H N S

09/882,843

HS-C \equiv N

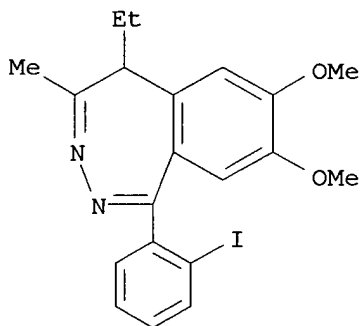
RN 75114-82-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-7,8-dimethoxy-4-methyl-1-(2-methylphenyl)-
(9CI) (CA INDEX NAME)



RN 75114-83-9 CAPLUS

CN 5H-2,3-Benzodiazepine, 5-ethyl-1-(2-iodophenyl)-7,8-dimethoxy-4-methyl-
(9CI) (CA INDEX NAME)



09/882,843

~~LS9~~ ANSWER 73 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1980:567330 CAPLUS

~~DN~~ 93:167330

~~TI~~ 2,3-Benzodiazepines: 2-amino-3-isoquinolinones from ring contraction of 4-oxo-2,3-benzodiazepines

~~AU~~ Flammang, Michel

~~CS~~ Lab. Chim. Org. Ther., Fac. Pharm., Strasbourg, 67048, Fr.

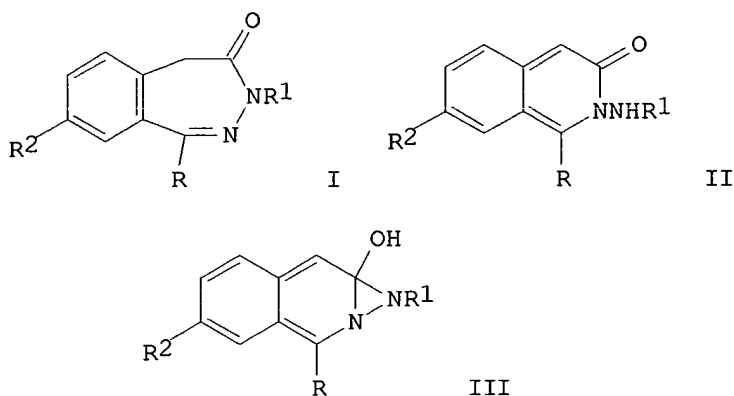
~~SO~~ C. R. Seances Acad. Sci., Ser. C (1980), 290(17), 349-51

CODEN: CHDCAQ; ISSN: 0567-6541

~~DT~~ Journal

~~LA~~ French

~~GI~~



AB Heating I (R = Me, PhCH₂, aryl; R₁ = H, Me, Ph; R₂ = H, Cl, MeO) in acid gives II via ring contraction to III. This reaction depends mainly on the nature of the substituents R and R₁. The best yields of II are obtained when R and R₁ are alkyl and aryl groups, resp. The reaction mechanism is discussed.

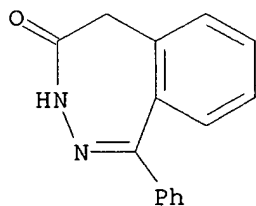
IT **35011-63-3 35011-64-4**

RL: RCT (Reactant)

(valence tautomerization of, in acid, mechanism of ring contraction and)

RN 35011-63-3 CAPLUS

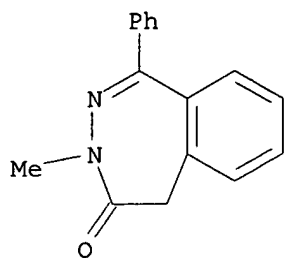
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-1-phenyl- (9CI) (CA INDEX NAME)



RN 35011-64-4 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)

09/882,843



09/882,843

L3 ~~ANSWER 74 OF 80~~ CAPLUS COPYRIGHT 2002 ACS

AN 1976:446605 CAPLUS

DN 85:46605

TI 2,3-Benzodiazepine systems. II. 4-Oxo-3,5-dihydro(4H)-2,3-benzodiazepines. Synthesis and pharmacological study

AU Flammang, Michel; Wermuth, Camille G.

CS Fac. Pharm., Univ. Louis Pasteur, Strasbourg, Fr.

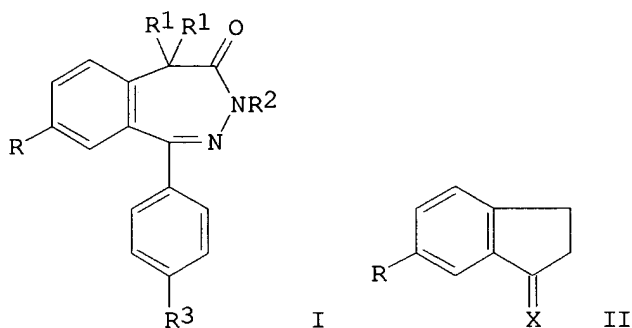
SO Eur. J. Med. Chem. - Chim. Ther. (1976), 11(1), 83-7

CODEN: EJMCA5

DT Journal

LA French

GI



AB Benzodiazepinones I (R = H, Cl; R1 = H, Me; R2 = H, Me, morpholinoethyl, morpholinopropyl, pyrrolidinoethyl; R3 = H, OMe, Cl) (11 compds.) were prepd. by treating 4-RC6H4CHO with CH2(CO2H)2, cyclizing 4-RC6H4CH:CHCO2H, treating II (X = O) with 4-R3C6H4MgBr, dehydrating II (X = OH, C6H4R3-4), oxidizing the indenenes, and condensing 4,2-R(4-R3C6H4CO)C6H3CH2CO2H with R2NHNH2. I had much lower tranquilizing activity than diazepam.

IT 59749-66-5P 59749-67-6P 59749-71-2P

59749-72-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and tranquilizing activity of)

RN 59749-66-5 CAPLUS

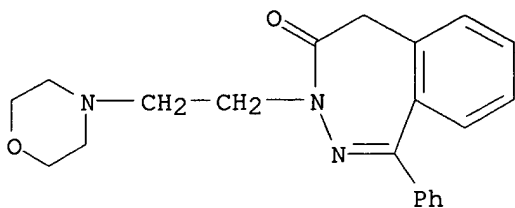
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-3-[2-(4-morpholinyl)ethyl]-1-phenyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 37922-67-1

CMF C21 H23 N3 O2

09/882,843



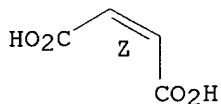
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



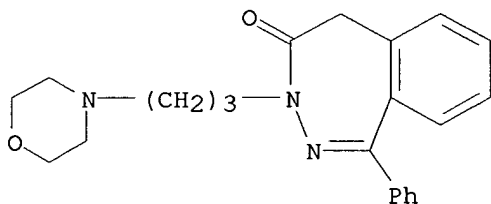
RN 59749-67-6 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-3-[3-(4-morpholinyl)propyl]-1-phenyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 47538-48-7

CMF C22 H25 N3 O2



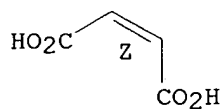
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.

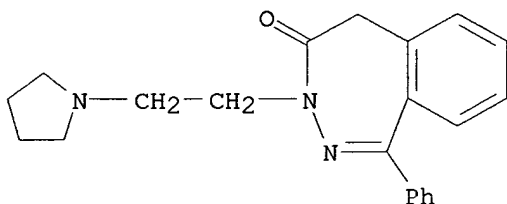


09/882,843

RN 59749-71-2 CAPLUS
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-1-phenyl-3-[2-(1-pyrrolidinyl)ethyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

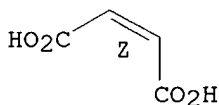
CRN 47437-66-1
CMF C21 H23 N3 O



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

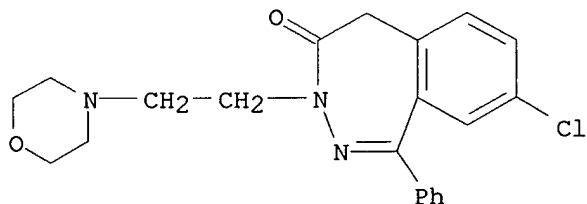
Double bond geometry as shown.



RN 59749-72-3 CAPLUS
CN 4H-2,3-Benzodiazepin-4-one, 8-chloro-3,5-dihydro-3-[2-(4-morpholinyl)ethyl]-1-phenyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 47538-39-6
CMF C21 H22 Cl N3 O2

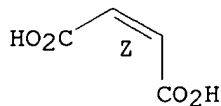


CM 2

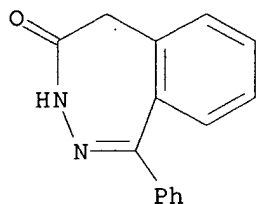
09/882,843

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

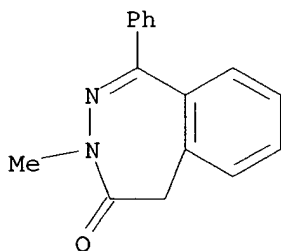
Double bond geometry as shown.



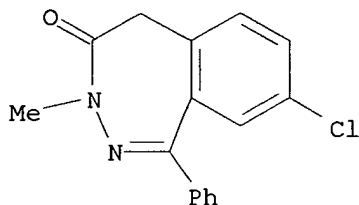
IT 35011-63-3P 35011-64-4P 37388-25-3P
59749-70-1P 59749-73-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 35011-63-3 CAPLUS
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-1-phenyl- (9CI) (CA INDEX NAME)



RN 35011-64-4 CAPLUS
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)



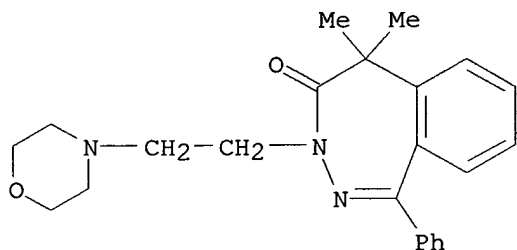
RN 37388-25-3 CAPLUS
CN 4H-2,3-Benzodiazepin-4-one, 8-chloro-3,5-dihydro-3-methyl-1-phenyl- (9CI)
(CA INDEX NAME)



09/882,843

RN 59749-70-1 CAPLUS

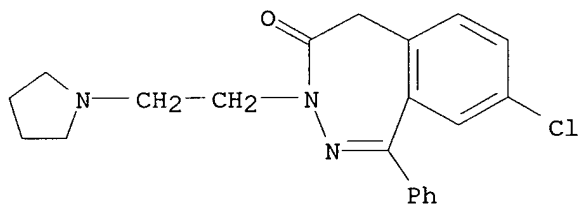
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-5,5-dimethyl-3-[2-(4-morpholinyl)ethyl]-1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 59749-73-4 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 8-chloro-3,5-dihydro-1-phenyl-3-[2-(1-pyrrolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

09/882,843

~~LS~~ ANSWER 75 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AM~~ 1974:47957 CAPLUS

~~DN~~ 80:47957

TI Cyclization of .alpha.-(o-alkenylaryl)diazoalkanes. Route to 2,3-benzodiazepines via a novel 1,7-electrocyclic ring closure

AU Reid, Andrew A.; Sharp, John T.; Sood, Hem R.; Thorogood, Peter B.

CS Dep. Chem., Univ. Edinb., Edinburgh, Scot.

SO J. Chem. Soc., Perkin Trans. 1 (1973), (21), 2543-51

CODEN: JCPRB4

DT Journal

LA English

GI For diagram(s), see printed CA Issue.

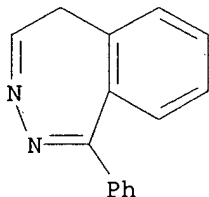
AB Addnl. data considered in abstracting and indexing are available from a source cited in the original document. .alpha.-(o-Alkenylaryl)diazo alkanes, generated from tosylhydrazine salts, cyclized to give 41-88% 1H-2,3-benzodiazepines, which isomerized to the 5H-isomers under basic conditions. The barriers to ring inversion for both isomers were estd. from NMR data.

IT **52095-33-7P 52095-34-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

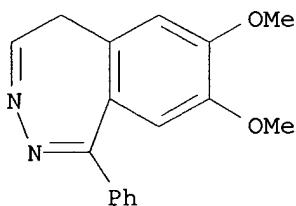
RN 52095-33-7 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-phenyl- (9CI) (CA INDEX NAME)



RN 52095-34-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-phenyl- (9CI) (CA INDEX NAME)



09/882,843

~~189~~ ANSWER 76 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1973:11443 CAPLUS

~~DN~~ 78:11443

TI Derivatives of 3,5-dihydro-4H-benzo[2,3]diazepin-4-one

AU Nagarajan, K.; David, J.; Shah, R. K.

CS Ciba Res. Cent., Bombay, India

SO J. Med. Chem. (1972), 15(10), 1091-2

CODEN: JMCMAR

DT Journal

LA English

AB 1-Phenyl-3-methyl-3,5-dihydro-8-chloro-4H-benzo[2,3]diazepin-4-one (I) [37388-25-3], an isomer of diazepam, and related compds. were much less potent as psychoactive agents than diazepam. I gave sedation and ptosis in mice at <250 mg/kg perorally or 50 mg/kg i.p. A by-product, 1-methyl-2-amino-2,3-dihydro-3-oxo-6,7-dimethoxyisoquinoline (II) [37388-26-4], also produced dose-dependent sedation, ptosis, and ataxia at 100 mg/kg perorally and 50 mg/kg i.p. I was synthesized by conversion of 2-benzoyl-4-chlorophenylacetic acid to the methylhydrazone and thermally cyclizing.

IT 37388-25-3 41148-40-7 41148-41-8

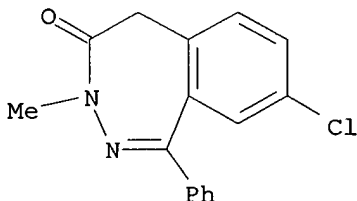
41148-42-9 41148-44-1

RL: BIOL (Biological study)

(nervous system response to)

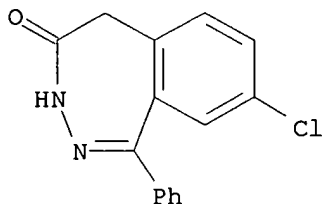
RN 37388-25-3 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 8-chloro-3,5-dihydro-3-methyl-1-phenyl- (9CI)
(CA INDEX NAME)



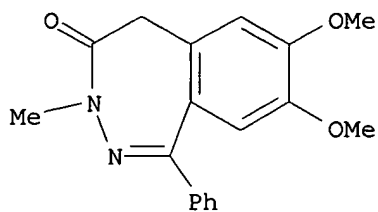
RN 41148-40-7 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 8-chloro-3,5-dihydro-1-phenyl- (9CI) (CA INDEX NAME)



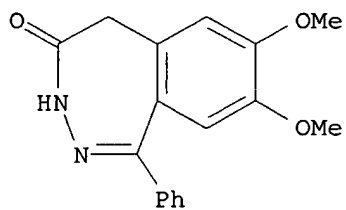
RN 41148-41-8 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)



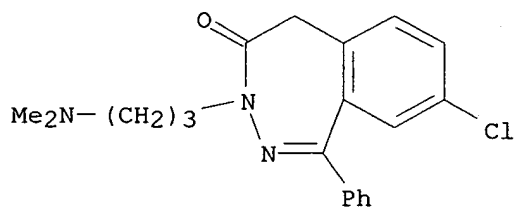
RN 41148-42-9 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-7,8-dimethoxy-1-phenyl- (9CI) (CA INDEX NAME)



RN 41148-44-1 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 8-chloro-3-[3-(dimethylamino)propyl]-3,5-dihydro-1-phenyl- (9CI) (CA INDEX NAME)



09/882,843

~~LA~~9 ANSWER 77 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1972:564775 CAPLUS

DN 77:164775

TI Pharmacologically active 1-phenyl-8-chloro-3-substituted-5H-2,3-benzodiazepin-4-ones

IN Wermuth, Camille Georges

PA Synthelabo S. A.

SO Fr. Demande, 5 pp. Addn. to Fr. 2,085,645 (CA 77; 101685x).

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2104998	A2	19720428	FR 1970-33342	19700915
	FR 2104998	A6	19720428		
	FR 2104998	B2	19740201		

GI For diagram(s), see printed CA Issue.

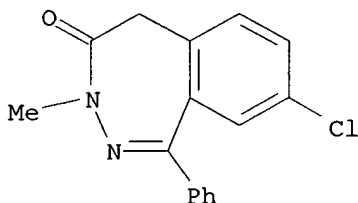
AB The benzodia-zepinones (I, n = 1,2; R = H, morpholino, 1-pyrrolidinyl) and their acid salts, useful as nervous system depressants, were prepd. by treating H₂NNH(CH₂)_nR (II) with 2,4-BzClC₆H₃-CH₂CO₂H (III). Thus, III in BuOH was refluxed with II (n = 2, R = morpholino) to give 53 I (n = 2, R = morpholino), isolated as the maleate.

IT **37388-25-3P 37537-60-3P 37537-61-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 37388-25-3 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 8-chloro-3,5-dihydro-3-methyl-1-phenyl- (9CI)
(CA INDEX NAME)



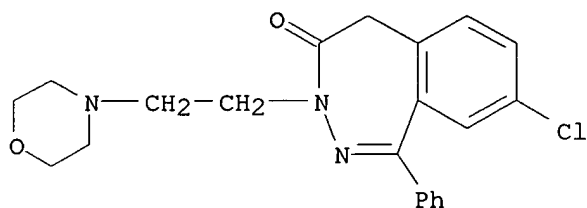
RN 37537-60-3 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 8-chloro-3,5-dihydro-3-[2-(4-morpholinyl)ethyl]-1-phenyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 47538-39-6

CMF C21 H22 Cl N3 O2



09/882,843

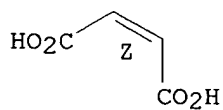
CM 2

CRN 110-16-7

CMF C4 H4 O4

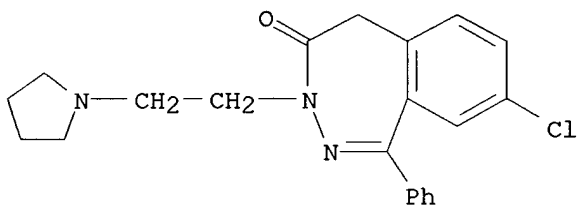
CDES 2:Z

Double bond geometry as shown.



RN 37537-61-4 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 8-chloro-3,5-dihydro-1-phenyl-3-[2-(1-pyrrolidinyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

~~139~~ ANSWER 78 OF 80 CAPLUS COPYRIGHT 2002 ACS

~~AM~~ 1972:501685 CAPLUS

~~DN~~ 77:101685

TI 2,3-Benzodiazepin-4-ones with tranquilizer activity

IN Wermuth, Camille Georges

PA Synthelabo S. A.

SO Fr. Demande, 14 pp.

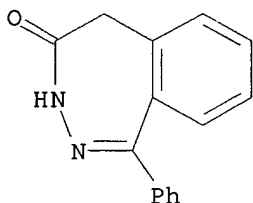
CODEN: FRXXBL

DT Patent

LA French

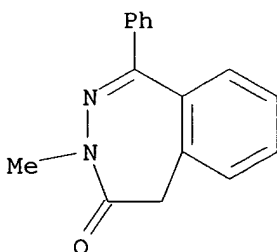
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2085645	A5	19711231	FR 1970-14608	19700422
	FR 2085645	B1	19730608		
GI	For diagram(s), see printed CA Issue.				
AB	The title compds. (I), useful as tranquilizers in mice, were prepd. by cyclization of substituted .omicron.-benzophenylacetic acids with H ₂ NNHR ₁ and/or treatment of I (R ₁ = H) with Na and R ₁ X (X = Cl or iodo). About 10 I (R = H, Cl, OMe; R ₁ = H, Me, morpholino-or pyrrolidinoalkyl; R ₂ = H, Me) were prepd.				
IT	35011-63-3P 35011-64-4P 37922-65-9P 37922-66-0P 37922-67-1P 37922-68-2P 37922-71-7P 37922-72-8P 37922-73-9P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	35011-63-3 CAPLUS				
CN	4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-1-phenyl- (9CI) (CA INDEX NAME)				



RN 35011-64-4 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 37922-65-9 CAPLUS

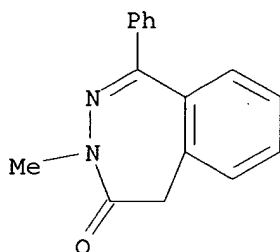
CN 3H-2,3-Benzodiazepinium, 4,5-dihydro-2,3(or 3,3)-dimethyl-4-oxo-1-phenyl-, iodide (9CI) (CA INDEX NAME)

09/882,843

CM 1

CRN 35011-64-4

CMF C16 H14 N2 O



CM 2

CRN 74-88-4

CMF C H3 I

H₃C-I

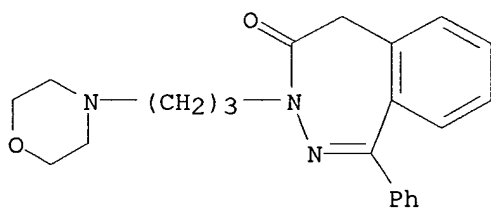
RN 37922-66-0 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-3-[3-(4-morpholinyl)propyl]-1-phenyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 47538-48-7

CMF C22 H25 N3 O2



CM 2

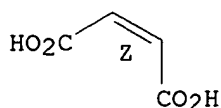
CRN 110-16-7

CMF C4 H4 O4

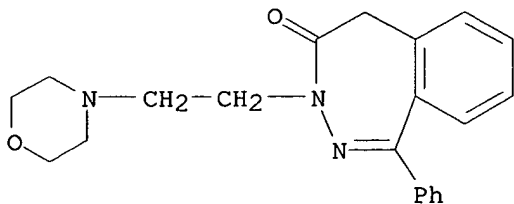
CDES 2:Z

Double bond geometry as shown.

09/882,843



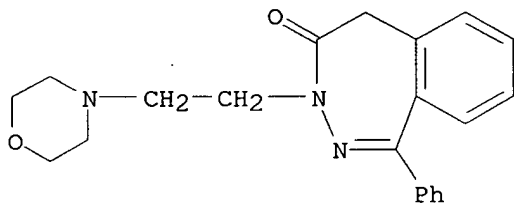
RN 37922-67-1 CAPLUS
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-3-[2-(4-morpholinyl)ethyl]-1-phenyl- (9CI) (CA INDEX NAME)



RN 37922-68-2 CAPLUS
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-3-[2-(4-morpholinyl)ethyl]-1-phenyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

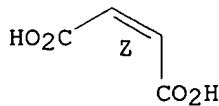
CRN 37922-67-1
CMF C21 H23 N3 O2



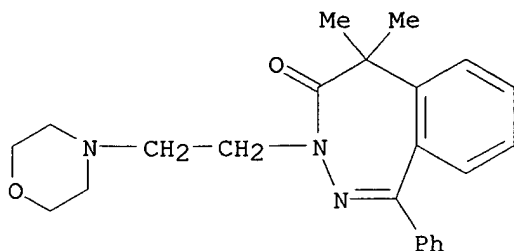
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

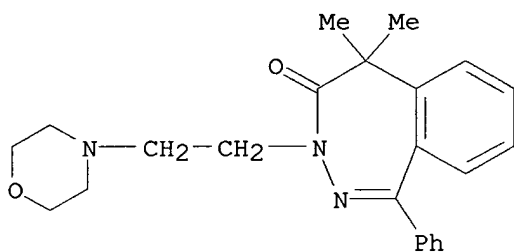
Double bond geometry as shown.



RN 37922-71-7 CAPLUS
CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-5,5-dimethyl-3-[2-(4-morpholinyl)ethyl]-1-phenyl- (9CI) (CA INDEX NAME)



RN 37922-72-8 CAPLUS
 CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-5,5-dimethyl-3-[2-(4-morpholinyl)ethyl]-1-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

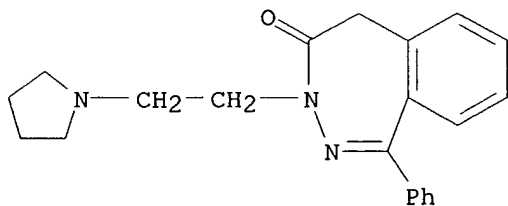


●x HCl

RN 37922-73-9 CAPLUS
 CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-1-phenyl-3-[2-(1-pyrrolidinyl)ethyl]-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 47437-66-1
 CMF C21 H23 N3 O

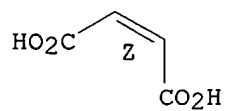


CM 2

CRN 110-16-7
 CMF C4 H4 O4
 CDES 2:Z

09/882,843

Double bond geometry as shown.



09/882,843

~~L39~~ ANSWER 79 OF 80 CAPLUS COPYRIGHT 2002 ACS

AN 1972:25257 CAPLUS

DN 76:25257

TI Preparative route to a new type of benzodiazepinone. 1-Aryl-3,4-dihydro-5H-2,3-benzodiazepin-4-one

AU Wermuth, C. G.; Flammang, M.

CS Lab. Chim. Org., Univ. Louis Pasteur, Strasbourg, Fr.

SO Tetrahedron Lett. (1971), (45), 4293-6

CODEN: TELEAY

DT Journal

LA French

GI For diagram(s), see printed CA Issue.

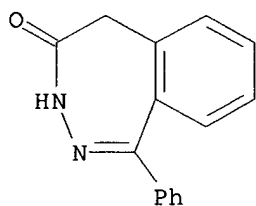
AB (2-Benzoylphenyl)acetic acid or a similar compd. is treated with N₂H₄ or substituted hydrazine to prep. 7 I [R = H, Me, Ph, or 2-morpholinoethyl, R₁ = H, Me, Ph, or 4-MeOC₆H₄, and R₂ = H (or Cl in 1 compd.)] in acceptable yields and contg. only traces of isoquinolones.

IT **35011-63-3P 35011-64-4P 35255-49-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

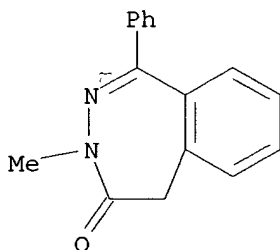
RN 35011-63-3 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-1-phenyl- (9CI) (CA INDEX NAME)



RN 35011-64-4 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, 3,5-dihydro-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 35255-49-3 CAPLUS

CN 4H-2,3-Benzodiazepin-4-one, chloro-3,5-dihydro-3-[2-(4-morpholinyl)ethyl]-1-phenyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

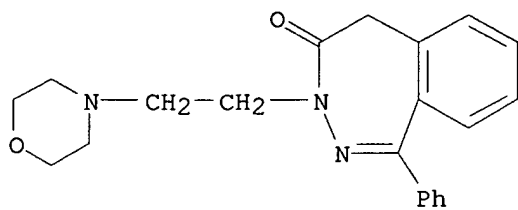
CRN 50855-47-5

CMF C21 H22 Cl N3 O2

CCI IDS

CDES *

09/882,843



D1-C1

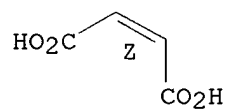
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



09/882,843

~~LN~~ 9 ANSWER 80 OF 80 CAPLUS COPYRIGHT 2002 ACS
~~AN~~ 1967:85775 CAPLUS
DN 66:85775
TI Diaza analog of cyclohept[fg]acenaphthylene
AU Bergmann, Ernst D.; Agranat, Israel
CS Hebrew Univ., Jerusalem, Israel
SO Tetrahedron, Suppl. (1966), (No. 8) (Pt. 1), 135-9
CODEN: TETSAE
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB 1,4,5,8-Tetrabenzoylnaphthalene gives with hydrazine 1,2,5,8-tetraphenyl-
6,7 -diazacyclohept[fg]acenaphthylene (I). 1,8-Dibenzoylnaphthalene, on
the other hand, is converted under the same conditions to
1,2-diphenylacenaphthylene. The theoretical implications of these
findings are discussed.
IT **13638-47-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 13638-47-6 CAPLUS
CN Acenaphtho[5,6-de][1,2]diazepine, 1,4,7,8-tetraphenyl- (8CI) (CA INDEX
NAME)

